EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
S1	126	564/32	US-PGPUB; USPAT; EPO; DERWENT	OR	ON	2007/07/04 19:16
S2	76	564/61	US-PGPUB; USPAT; EPO; DERWENT	OR	ON	2007/07/03 15:23
S3	596	514/886	US-PGPUB; USPAT; EPO; DERWENT	OR	ON	2007/07/03 15:23
S4	10	S1 and S2	US-PGPUB; USPAT; EPO; DERWENT	OR	ON	2007/07/03 15:26
S5	0	S4 and S3	US-PGPUB; USPAT; EPO; DERWENT	OR	ON	2007/07/03 15:23
S6	1043378	tetrahydro naphthalene derivatives	US-PGPUB; USPAT; EPO; DERWENT	OR	ON	2007/07/03 15:26
S7	387	S3 and S6	US-PGPUB; USPAT; EPO; DERWENT	OR	ON	2007/07/03 15:26
S8	1627829	alkyl substituted ureas	US-PGPUB; USPAT; EPO; DERWENT	OR	ON	2007/12/04 08:13
S9	51990	aryl substituted ureas	US-PGPUB; USPAT; EPO; DERWENT	AND	ON	2007/12/04 08:13
S10	51990	S8 and S9	US-PGPUB; USPAT; EPO; DERWENT	AND	ON	2007/12/04 08:14
S11	1238094	comparison	US-PGPUB; USPAT; EPO; DERWENT	AND	ON	2007/12/04 08:14
S12	17658	S10 and S11	US-PGPUB; USPAT; EPO; DERWENT	AND	ON	2007/12/04 08:14

EAST Search History

S13	188268	Biological studies	US-PGPUB; USPAT; EPO; DERWENT	AND	ON	2007/12/04 08:14
S14	5758	S12 and S13	US-PGPUB; USPAT; EPO; DERWENT	AND	ON	2007/12/04 08:14
S15	9812	structure-activity relationship	US-PGPUB; USPAT; EPO; DERWENT	AND	ON	2007/12/04 08:14
S16	730	S14 and S15	US-PGPUB; USPAT; EPO; DERWENT	AND	ON	2007/12/04 08:34
S17	51121	alkyl aryl substituted ureas	US-PGPUB; USPAT; EPO; DERWENT	AND	ON	2007/12/04 09:46
S18	1609	S15 and S17	US-PGPUB; USPAT; EPO; DERWENT	AND	ON	2007/12/04 08:35
S19	1219	S13 and S18	US-PGPUB; USPAT; EPO; DERWENT	AND	ON	2007/12/04 08:35
S20	9057	tetrahydronaphthalene	US-PGPUB; USPAT; EPO; DERWENT	AND	ON	2007/12/04 08:36
S21	76	S18 and S20	US-PGPUB; USPAT; EPO; DERWENT	AND	ON	2007/12/04 08:36
S22	9057	tetrahydronaphthalene	US-PGPUB; USPAT; EPO; DERWENT	WITH	ON	2007/12/04 09:46
S23	0	aralyalkyl ureas	US-PGPUB; USPAT; EPO; DERWENT	WITH	ON	2007/12/04 09:46
S24	0	S22 and S23	US-PGPUB; USPAT; EPO; DERWENT	WITH	ON	2007/12/04 09:47
S25	554	arylalkyl ureas	US-PGPUB; USPAT; EPO; DERWENT	WITH	ON	2007/12/04 09:47

EAST Search History

S26	8	S22 and S25	US-PGPUB; USPAT; EPO; DERWENT	WITH	ON	2007/12/04 09:52
S27	30142	urea derivative	US-PGPUB; USPAT; EPO; DERWENT	WITH	ON	2007/12/04 09:52
S28	12808	urinary incontinence	US-PGPUB; USPAT; EPO; DERWENT	WITH	ON	2007/12/04 09:52
S29	384	S27 and S28	US-PGPUB; USPAT; EPO; DERWENT	WITH	ON	2007/12/04 09:55
S30	36	Nalkyl compounds	US-PGPUB; USPAT; EPO; DERWENT	WITH	ON	2007/12/04 09:55
S31	6	Naryl compounds	US-PGPUB; USPAT; EPO; DERWENT	WITH	ON	2007/12/04 09:56
S32	129863	Biological activity	US-PGPUB; USPAT; EPO; DERWENT	WITH	ON	2007/12/04 09:56
S33	2	S30 and S32	US-PGPUB; USPAT; EPO; DERWENT	WITH	ON	2007/12/04 09:56
S34	0	S23 and S31	US-PGPUB; USPAT; EPO; DERWENT	WITH	ON	2007/12/04 09:56
S35	152	S32 and S25	US-PGPUB; USPAT; EPO; DERWENT	WITH	ON	2007/12/04 10:36
S36	32	"4939149"	US-PGPUB; USPAT; EPO; DERWENT	WITH	ON	2007/12/04 11:19
S37	7	"6476076"	US-PGPUB; USPAT; EPO; DERWENT	WITH	ON	2007/12/04 11:20
S38	127	564/32	US-PGPUB; USPAT; EPO; DERWENT	OR	ON	2007/12/06 17:09

EAST Search History

S39	346	514/630	US-PGPUB; USPAT; EPO; DERWENT	OR	ON	2007/12/06 17:10

result in loss of user privileges and other penalties.

* * * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 15:43:25 ON 29 NOV 2007

=> file reg
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 15:43:32 ON 29 NOV 2007
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 28 NOV 2007 HIGHEST RN 956214-95-2
DICTIONARY FILE UPDATES: 28 NOV 2007 HIGHEST RN 956214-95-2

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 29, 2007

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

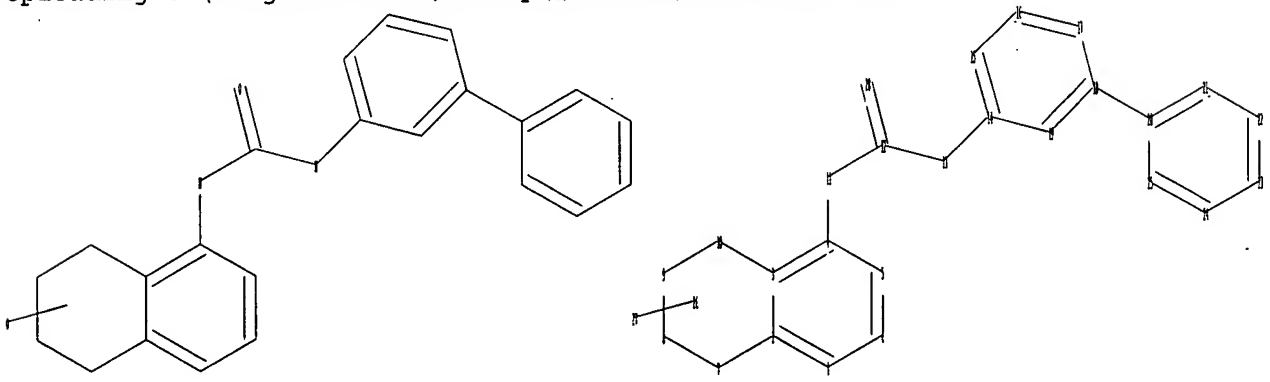
REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=> ....Testing the current file.... screen

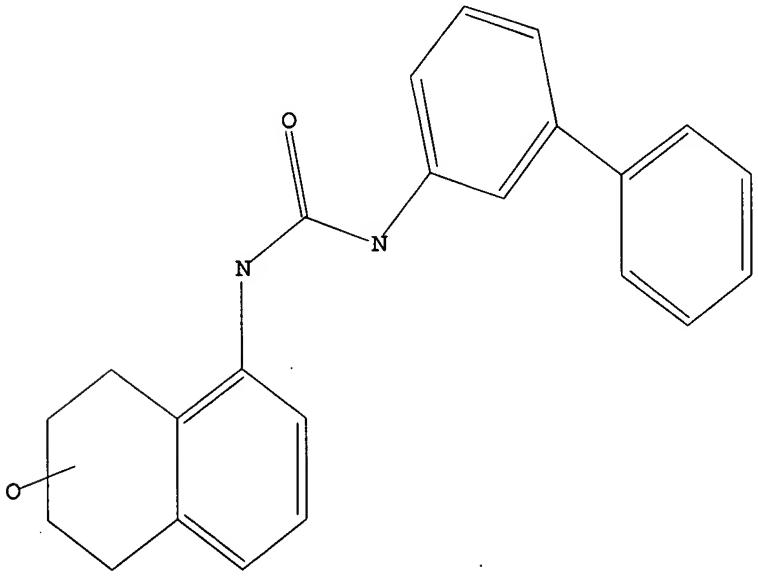
ENTER SCREEN EXPRESSION OR (END):end

=>
Uploading C:\Program Files\Stnexp\Queries\10537482n6.str



chain nodes :
11 12 13 26 27 28
ring nodes :

```
1  2  3  4  5  6  7  8  9  10  14  15  16  17  18  19  20  21  22  23  24  25
chain bonds :
4-11  11-12  12-13  12-28  13-14  18-20  26-27
ring bonds :
1-2  1-6  2-3  2-7  3-4  3-10  4-5  5-6  7-8  8-9  9-10  14-15  14-19  15-16  16-17
 17-18  18-19  20-21  20-25  21-22  22-23  23-24  24-25
exact/norm bonds :
2-7  3-10  4-11  7-8  8-9  9-10  11-12  12-13  12-28  13-14  26-27
exact bonds :
18-20
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6  14-15  14-19  15-16  16-17  17-18  18-19  20-21
20-25  21-22  22-23  23-24  24-25


Match level :
1:CLASS  2:CLASS  3:CLASS  4:CLASS  5:CLASS  6:CLASS  7:CLASS  8:CLASS  9:CLASS
10:CLASS  11:Atom  12:Atom  13:Atom  14:CLASS  15:CLASS  16:CLASS  17:CLASS
18:CLASS  19:CLASS  20:CLASS  21:CLASS  22:CLASS  23:CLASS  24:CLASS  25:CLASS
26:Atom  27:Atom  28:Atom



L1      STRUCTURE UPLOADED

=> que L1

L2   QUE L1

=> d L1
L1 HAS NO ANSWERS
L1                STR
```




```
Structure attributes must be viewed using STN Express query preparation.


=> s L1 full
FULL SEARCH INITIATED 15:43:54 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -      4973 TO ITERATE

100.0% PROCESSED     4973 ITERATIONS                                  19 ANSWERS
SEARCH TIME: 00.00.01
```

```
L3            19 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS                                    SINCE FILE      TOTAL
                                                           ENTRY    SESSION
FULL ESTIMATED COST                                       172.10     172.31

FILE 'CAPLUS' ENTERED AT 15:43:59 ON 29 NOV 2007
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2007 AMERICAN CHEMICAL SOCIETY (ACS)
```



```
FILE COVERS 1907 - 29 Nov 2007  VOL 147 ISS 23
FILE LAST UPDATED: 28 Nov 2007  (20071128/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

http://www.cas.org/infopolicy.html

=> s L3
L4            5 L3

=> d L4 1-5 bib abs hitstr

L4   ANSWER 1 OF 5  CAPLUS  COPYRIGHT 2007 ACS on STN
AN   2005:177881  CAPLUS
DN   142:274025
TI   Methods using a combination of a p38 MAP kinase inhibitor with another
     active agent for the treatment of chronic obstructive pulmonary disease
     (COPD) and pulmonary hypertension
IN   Gupta, Abhya; Iacono, Philippe Didier; Kelash-Cannavo, Linda Jean; Madwed,
     Jeffrey B.; Park, Jung-Yong; Way, Susan Lynn; Yazdanian, Mehran
PA   Boehringer Ingelheim Pharmaceuticals, Inc., USA; Boehringer Ingelheim
     Pharma GmbH & Co. KG; Boehringer Ingelheim France S.A.S.
SO   PCT Int. Appl., 60 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.          KIND   DATE        APPLICATION NO.          DATE
     ---------------     ----   --------    --------------------     --------
PI   WO 2005018624        A2    20050303    WO 2004-US27013          20040819
     WO 2005018624        A3    20050506
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
             NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
             TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
             EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
```

SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

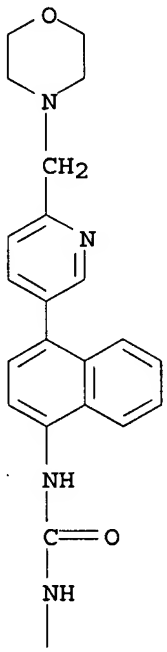
AU	2004266719	A1	20050303	AU 2004-266719	20040819
CA	2536293	A1	20050303	CA 2004-2536293	20040819
US	2005148555	A1	20050707	US 2004-921448	20040819
EP	1658060	A2	20060524	EP 2004-781654	20040819
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
CN	1838958	A	20060927	CN 2004-80024151	20040819
BR	2004013757	A	20061031	BR 2004-13757	20040819
JP	2007503393	T	20070222	JP 2006-524065	20040819
MX	2006PA01931	A	20060920	MX 2006-PA1931	20060217
IN	2006DN00812	A	20070817	IN 2006-DN812	20060217
KR	2007035466	A	20070330	KR 2006-703583	20060221
PRAI US	2003-497376P	P	20030822		
	WO 2004-US27013	W	20040819		

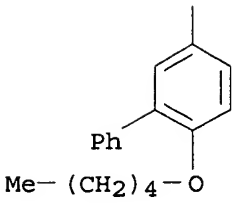
AB Methods are disclosed for treating COPD and pulmonary hypertension using p38 MAP Kinase inhibitors in combination with one or more other active ingredients.

IT 847023-73-8
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(p38 MAP kinase inhibitor combination with another active agent for treatment of chronic obstructive pulmonary disease and pulmonary hypertension)

RN 847023-73-8 CAPLUS

CN Urea, N-[4-[6-(4-morpholinylmethyl)-3-pyridinyl]-1-naphthalenyl]-N'-[6-(pentyloxy)[1,1'-biphenyl]-3-yl]- (CA INDEX NAME)

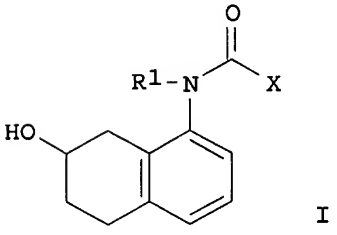




L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:515474 CAPLUS
DN 141:71359
TI Preparation of tetrahydronaphthalene derivatives as vaniloid receptor antagonists
IN Tajimi, Masaomi; Kokubo, Toshio; Shiroo, Masahiro; Tsukimi, Yasuhiro; Yura, Takeshi; Urbahns, Klaus; Yamamoto, Noriyuki; Mogi, Muneto; Fujishima, Hiroshi; Masuda, Tsutomu; Yoshida, Nagahiro; Moriwaki, Toshiya
PA Bayer Healthcare Ag, Germany
SO PCT Int. Appl., 81 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004052846	A1	20040624	WO 2003-EP13453	20031128
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2508618	A1	20040624	CA 2003-2508618	20031128
	AU 2003294748	A1	20040630	AU 2003-294748	20031128
	EP 1569896	A1	20050907	EP 2003-785688	20031128
	EP 1569896	B1	20070815		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	JP 2006509018	T	20060316	JP 2004-557951	20031128
	AT 370118	T	20070915	AT 2003-785688	20031128
	US 2006128704	A1	20060615	US 2005-537482	20051118
PRAI	EP 2002-27523	A	20021206		
	WO 2003-EP13453	W	20031128		

OS MARPAT 141:71359
GI



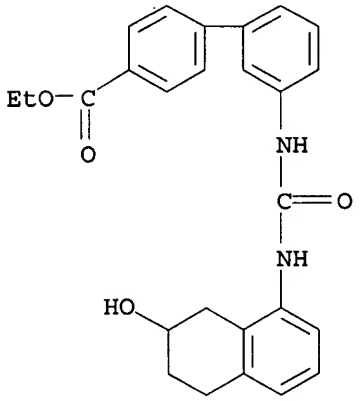
I

AB The title compds. I [R1 = H, alkyl; X = biphenyl, etc.] are prepared The tetrahydronaphthalene derivs. of the present invention have excellent activity as VR1 antagonists and are useful for the prophylaxis and treatment of diseases associated with VR1 activity, in particular for the treatment of urinary incontinence, overactive bladder, chronic pain, neuropathic pain, postoperative pain, etc. The bioactivity of I was demonstrated.

IT 711015-39-3P 711015-41-7P 711015-44-0P
711015-51-9P 711015-52-0P 711015-53-1P
711015-62-2P 711015-63-3P 711015-67-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tetrahydronaphthalene derivs. as vaniloid receptor antagonists)

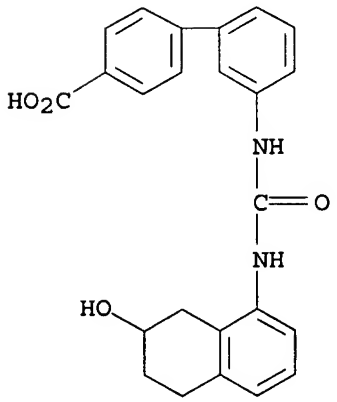
RN 711015-39-3 CAPLUS

CN [1,1'-Biphenyl]-4-carboxylic acid, 3'-[[[(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)amino]carbonyl]amino]-, ethyl ester (CA INDEX NAME)



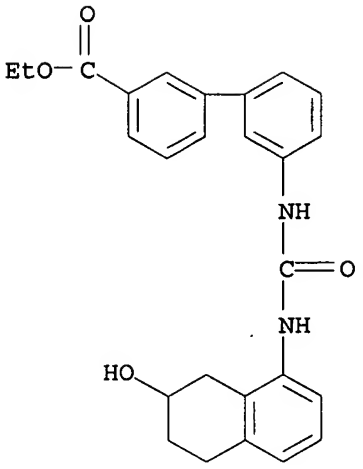
RN 711015-41-7 CAPLUS

CN [1,1'-Biphenyl]-4-carboxylic acid, 3'-[[[(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)amino]carbonyl]amino]- (CA INDEX NAME)

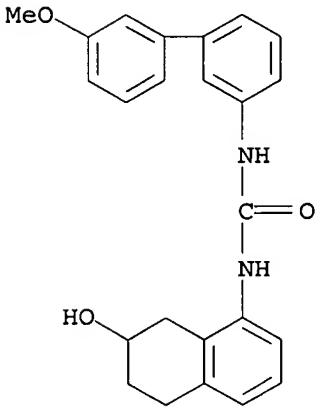


RN 711015-44-0 CAPLUS

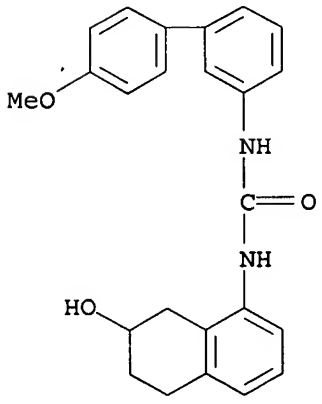
CN [1,1'-Biphenyl]-3-carboxylic acid, 3'-[[[(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)amino]carbonyl]amino]-, ethyl ester (CA INDEX NAME)



RN 711015-51-9 CAPLUS
CN Urea, N-(3'-methoxy[1,1'-biphenyl]-3-yl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

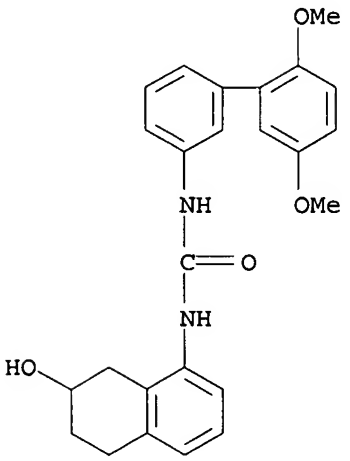


RN 711015-52-0 CAPLUS
CN Urea, N-(4'-methoxy[1,1'-biphenyl]-3-yl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

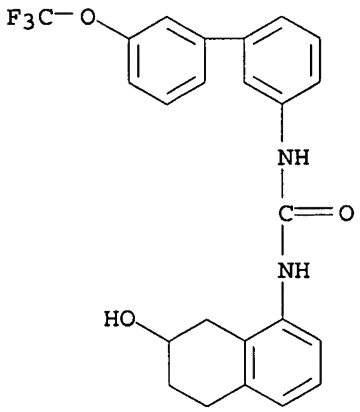


RN 711015-53-1 CAPLUS
CN Urea, N-(2',5'-dimethoxy[1,1'-biphenyl]-3-yl)-N'-(5,6,7,8-tetrahydro-7-

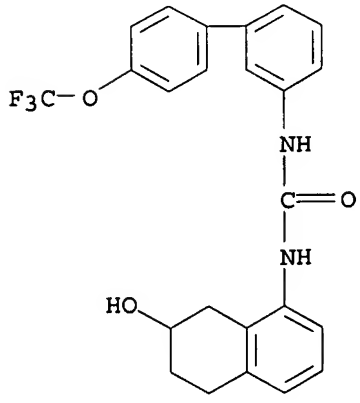
hydroxy-1-naphthalenyl)- (CA INDEX NAME)



RN 711015-62-2 CAPLUS
CN Urea, N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-N'-[3'-(trifluoromethoxy)[1,1'-biphenyl]-3-yl]- (CA INDEX NAME)

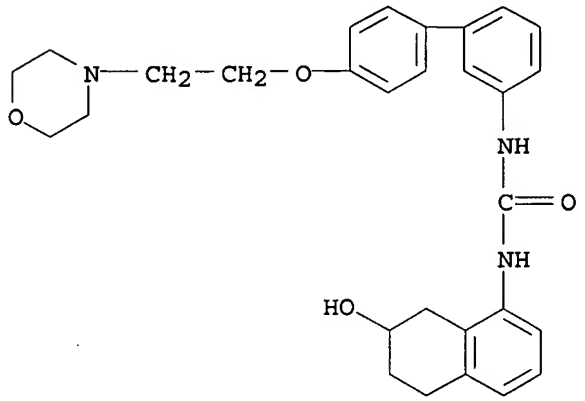


RN 711015-63-3 CAPLUS
CN Urea, N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-N'-[4'-(trifluoromethoxy)[1,1'-biphenyl]-3-yl]- (CA INDEX NAME)



RN 711015-67-7 CAPLUS

CN Urea, N-[4'-[2-(4-morpholinyl)ethoxy][1,1'-biphenyl]-3-yl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)



L4 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:453169 CAPLUS
DN 141:7439
TI Preparation of amino acids derivatives containing biphenyl unit as activators, in particular as agonists of PPARγ receptors, and their use in cosmetic or pharmaceutical compositions
IN Clary, Laurence; Bouix-Peter, Claire; Rivier, Michel; Collette, Pascal; Jomard, Andre
PA Galderma Research & Development, S.N.C., Fr.
SO PCT Int. Appl., 114 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004046091	A2	20040603	WO 2003-EP14861	20031118
	WO 2004046091	A3	20040729		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	FR 2847251	A1	20040521	FR 2002-14465	20021119
	FR 2847251	B1	20061117		
	CA 2505299	A1	20040603	CA 2003-2505299	20031118
	AU 2003290120	A1	20040615	AU 2003-290120	20031118
	BR 2003014898	A	20050802	BR 2003-14898	20031118
	EP 1575911	A2	20050921	EP 2003-782482	20031118
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	JP 2006506446	T	20060223	JP 2004-570287	20031118
	US 2005256116	A1	20051117	US 2005-131302	20050518
PRAI	FR 2002-14465	A	20021119		
	US 2003-454310P	P	20030314		
	WO 2003-EP14861	W	20031118		

OS MARPAT 141:7439
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

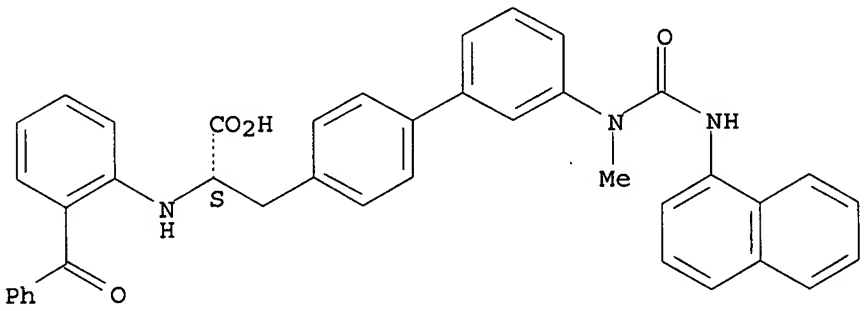
AB Title compds. I [wherein R1 = (un)substituted Ph, R6C:CHR5, FMOC, BOC, benzyl, and trifluoromethyl N-protected α-amino acids, etc.; R2 = (un)substituted oxadiazole, C(:O)R9, (un)substituted 5-membered heterocyclyl containing O, N, and/or S; R3 = H, halo, alkyl, OH and derivs., NO2, NH2 and derivs., etc.; R4 = aryl/alkyl, hetero/aryl, heterocyclyl, 9-fluorenylmethyl; R5 = H, ar/alkyl, hetero/aryl, heterocyclyl, etc.; R6 = H, alkyl; R9 = OH and derivs., hetero/aryl, aralkyl, heterocyclyl, NH2 and derivs., etc.; A = (CH2)z-(NR13)y-(CO)x-(D)w-; D = O, S, NH and derivs., CH2; x, y, z = independently 0 or 1; w = 0-6; R15 = H, C1-7 alkyl; their optical and geometrical isomers, and their salts] were prepared as PPARγ agonists. I are useful in human or veterinary medicine (in dermatol., as well as in the field of cardiovascular diseases, immune diseases and/or diseases related to lipid metabolism), or in cosmetic compns. For example, II was prepared, in 98% yield, by acylation of dibenzylamine with (S)-2-(2-Benzoylphenylamino)-3-[3'-(3-heptyl-1-methylureido)-1,1'-biphenyl-4-yl]propionic acid (preparation given). II displayed an apparent Kd = 8 nM. I showed selective affinity for PPARγ receptors, compared to PPARα and PPARβ receptors.

IT 692258-91-6P, (S)-2-(2-Benzoylphenylamino)-3-[3'-[1-methyl-3-(naphthalen-1-yl)ureido]-1,1'-biphenyl-4-yl]propionic acid
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(PPARγ agonist; preparation of amino acids derivs. containing biphenyl unit as agonists of PPARγ receptors and their use in cosmetic or pharmaceutical compns.)

RN 692258-91-6 CAPLUS

CN [1,1'-Biphenyl]-4-propanoic acid, α-[(2-benzoylphenyl)amino]-3'-[methyl[(1-naphthalenylamino)carbonyl]amino]-, (αS)- (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2004:411319 CAPLUS

DN 140:423945

TI Preparation of amino acids derivatives containing biphenyl unit as activators, in particular as agonists of PPARγ receptors, and their use in cosmetic or pharmaceutical compositions

IN Clary, Laurence; Bouix, Peter Claire; Rivier, Michel; Collette, Pascal; Jomard, Andre

PA Galderma Research & Development, Fr.

SO Fr. Demande, 65 pp.
CODEN: FRXXBL

DT Patent

LA French

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	FR 2847251	A1	20040521	FR 2002-14465	20021119
	FR 2847251	B1	20061117		
	CA 2505299	A1	20040603	CA 2003-2505299	20031118
	WO 2004046091	A2	20040603	WO 2003-EP14861	20031118
	WO 2004046091	A3	20040729		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2003290120	A1	20040615	AU 2003-290120	20031118
	BR 2003014898	A	20050802	BR 2003-14898	20031118
	EP 1575911	A2	20050921	EP 2003-782482	20031118
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	CN 1711239	A	20051221	CN 2003-80103336	20031118
	JP 2006506446	T	20060223	JP 2004-570287	20031118
	US 2005256116	A1	20051117	US 2005-131302	20050518
	ZA 2005004205	A	20060222	ZA 2005-4205	20050524
PRAI	FR 2002-14465	A	20021119		
	US 2003-454310P	P	20030314		
	WO 2003-EP14861	W	20031118		

OS MARPAT 140:423945

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

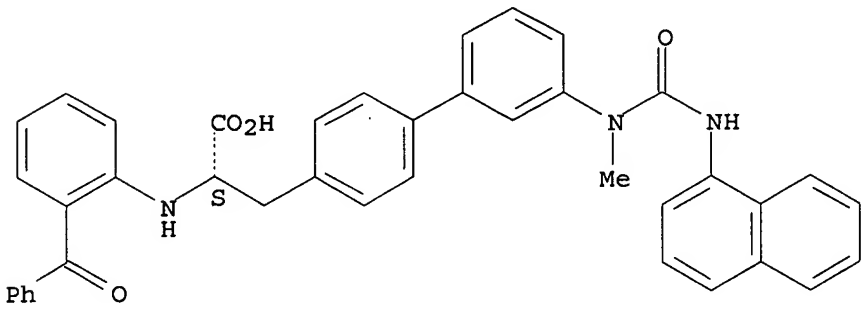
AB Title compds. I [wherein R1 = (un)substituted Ph, R6C:CHR5,FMOC, BOC, benzyl, and trifluoromethyl N-protected α-amino acids, etc.; R2 = (un)substituted oxadiazole, C(:O)R9, (un)substituted 5-membered heterocyclyl containing O, N, and/or S; R3 = H, halo, alkyl, OH and derivs., NO2, NH2 and derivs., etc.; R4 = aryl/alkyl, hetero/aryl, heterocyclyl, 9-fluorenylmethyl; R5 = H, ar/alkyl, hetero/aryl, heterocyclyl, etc.; R6 = H, alkyl; R9 = OH and derivs., hetero/aryl, aralkyl, heterocyclyl, NH2 and derivs., etc.; A = (CH2)z-(NR13)y-(CO)x-(D)w-; D = O, S, NH and derivs., CH2; x, y, z = independently 0 or 1; w = 0-6; their optical and geometrical isomers, and their salts] were prepared as PPARγ agonists. I are useful in human or veterinary medicine (in dermatol., as well as in the field of cardiovascular diseases, immune diseases and/or diseases related to lipid metabolism), or in cosmetic compns. For example, II was prepared, in 98% yield, by acylation of dibenzylamine with (S)-2-(2-Benzoylphenylamino)-3-[3'-(3-heptyl-1-methylureido)-1,1'-biphenyl-4-yl]propionic acid (preparation given). II displayed an apparent Kd = 8 nM. I showed selective affinity for PPARγ receptors, compared to PPARα and PPARβ receptors.

IT 692258-91-6P, (S)-2-(2-Benzoylphenylamino)-3-[3'-[1-methyl-3-(naphthalen-1-yl)ureido]-1,1'-biphenyl-4-yl]propionic acid
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(PPARγ agonist; preparation of amino acids derivs. containing biphenyl unit as agonists of PPARγ receptors and their use in cosmetic or pharmaceutical compns.)

RN 692258-91-6 CAPLUS

CN [1,1'-Biphenyl]-4-propanoic acid, α-[(2-benzoylphenyl)amino]-3'-[methyl[(1-naphthalenylamino)carbonyl]amino]-, (αS)- (CA INDEX NAME)

Absolute stereochemistry.

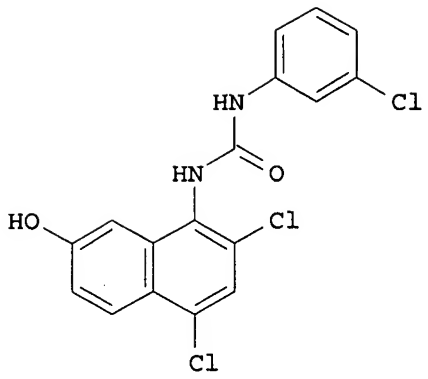


RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:133223 CAPLUS
DN 138:169972
TI Preparation of substituted N-naphthyl-N'-phenylureas and N-substituted naphthylacetamides as vanilloid receptor 1 (VR1) antagonists
IN Yura, Takeshi; Mogi, Munet; Ikegami, Yuka; Masuda, Tsutoma; Kokubo, Toshio; Urbahns, Klaus; Lowinger, Timothy B.; Yoshida, Nagahiro; Freitag, Joachim; Meier, Heinrich; Wittka-Nopper, Reilinde; Marumo, Makiko; Shiroo, Masahiro; Tajimi, Masaomi; Takeshita, Keisuke; Moriwaki, Toshuda; Tsukimi, Yasuhiro
PA Bayer AG, Germany
SO PCT Int. Appl., 186 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003014064	A1	20030220	WO 2002-EP8493	20020731
	WO 2003014064	A8	20031127		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	JP 2003055209	A	20030226	JP 2001-232503	20010731
	CA 2455754	A1	20030220	CA 2002-2455754	20020731
	AU 2002325381	A1	20030224	AU 2002-325381	20020731
	EP 1414788	A1	20040506	EP 2002-758413	20020731
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
	JP 2005501873	T	20050120	JP 2003-524319	20020731
	US 2004259875	A1	20041223	US 2004-485481	20040726
PRAI	JP 2001-232503	A	20010731		
	JP 2001-392310	A	20011225		
	WO 2002-EP8493	W	20020731		

OS MARPAT 138:169972
GI



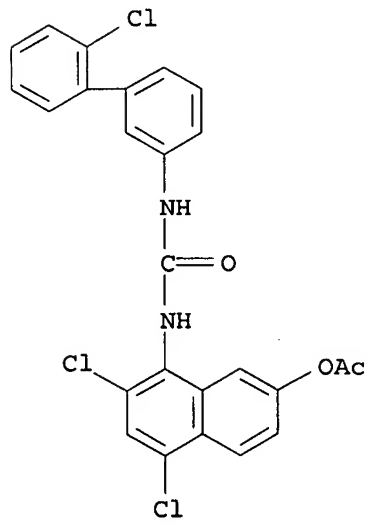
I

AB The title compds. R7Q(Y)C(O)NXR6 [X = (un)substituted Ph, cycloalkyl optionally fused by benzene, thienyl, quinolyl, etc.; Q = CH, N; R6, R7 = H, Me; Y = substituted 1-naphthyl] or their salts which have vanilloid receptor 1 (VR1) antagonistic activity, and therefore are useful for the prophylaxis and treatment of diseases associated with VR1 activity, in particular for the treatment of urinary incontinence, overactive bladder, chronic pain, neuropathic pain, postoperative pain, rheumatoid arthritic pain, neuralgia, neuropathies, algesia, nerve injury, ischemia, neurodegeneration, stroke, incontinence and/or inflammatory disorders, were prepared Thus, reacting 8-amino-5,7-dichloro-2-naphthol (preparation given) with 3-chlorophenyl isocyanate in 1,4-dioxane afforded 39% I which showed IC50 of ≤ 10 nM for VR1.

IT 497150-15-9P 497150-16-0P 497150-17-1P
497150-18-2P 497150-19-3P 497150-42-2P
497150-47-7P 497150-54-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted N-naphthyl-N'-phenylureas and N-substituted naphthylacetamides as vanilloid receptor 1 (VR1) antagonists)

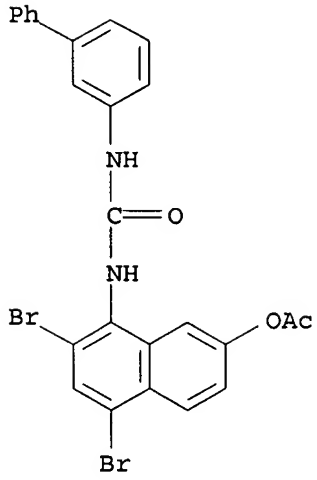
RN 497150-15-9 CAPLUS

CN Urea, N-[7-(acetyloxy)-2,4-dichloro-1-naphthalenyl]-N'-(2'-chloro[1,1'-biphenyl]-3-yl)- (CA INDEX NAME)

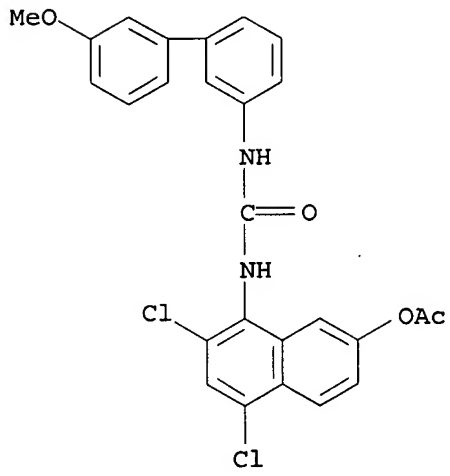


RN 497150-16-0 CAPLUS

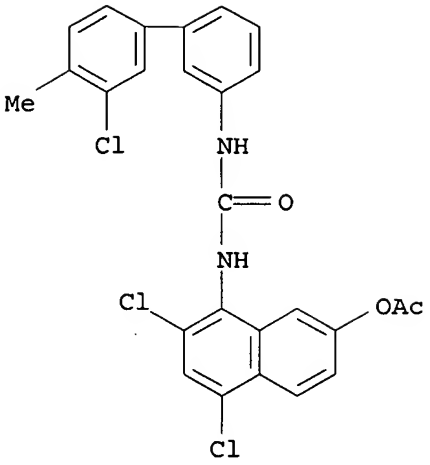
CN Urea, N-[7-(acetyloxy)-2,4-dibromo-1-naphthalenyl]-N'-[1,1'-biphenyl]-3-yl- (CA INDEX NAME)



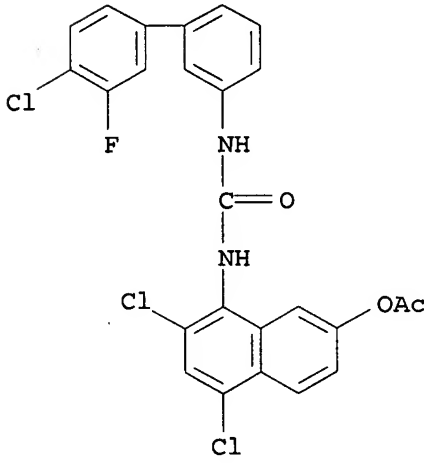
RN 497150-17-1 CAPLUS
CN Urea, N-[7-(acetyloxy)-2,4-dichloro-1-naphthalenyl]-N'-(3'-methoxy[1,1'-biphenyl]-3-yl)- (CA INDEX NAME)



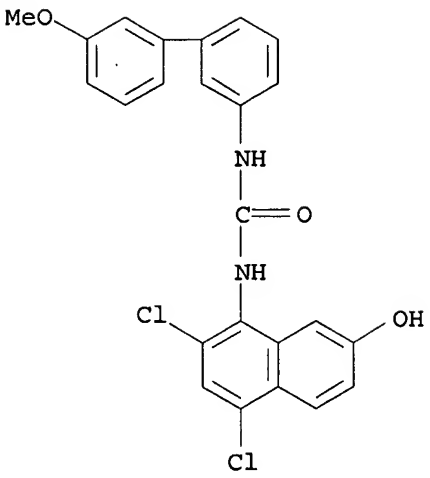
RN 497150-18-2 CAPLUS
CN Urea, N-[7-(acetyloxy)-2,4-dichloro-1-naphthalenyl]-N'-(3'-chloro-4'-methyl[1,1'-biphenyl]-3-yl)- (CA INDEX NAME)



RN 497150-19-3 CAPLUS
CN Urea, N-[7-(acetyloxy)-2,4-dichloro-1-naphthalenyl]-N'-(4'-chloro-3'-fluoro[1,1'-biphenyl]-3-yl)- (CA INDEX NAME)

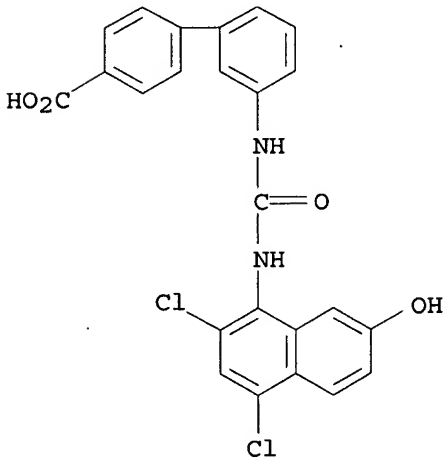


RN 497150-42-2 CAPLUS
CN Urea, N-(2,4-dichloro-7-hydroxy-1-naphthalenyl)-N'-(3'-methoxy[1,1'-biphenyl]-3-yl)-, monopotassium salt (9CI) (CA INDEX NAME)

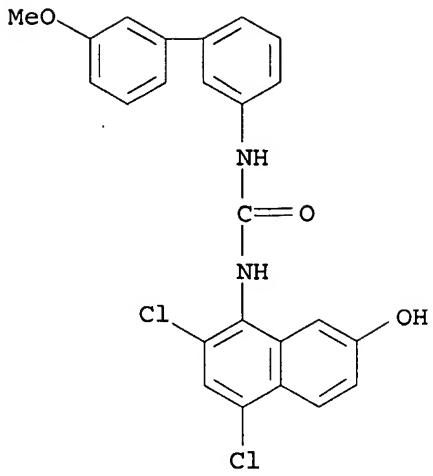


● K

RN 497150-47-7 CAPLUS
CN [1,1'-Biphenyl]-4-carboxylic acid, 3'-[[[(2,4-dichloro-7-hydroxy-1-naphthalenyl)amino]carbonyl]amino]- (CA INDEX NAME)



RN 497150-54-6 CAPLUS
CN Urea, N-(2,4-dichloro-7-hydroxy-1-naphthalenyl)-N'-(3'-methoxy[1,1'-biphenyl]-3-yl)- (CA INDEX NAME)



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=>

---Logging off of STN---

=>
Executing the logoff script...

=> LOG Y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	26.82	199.13

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-3.90	-3.90

STN INTERNATIONAL LOGOFF AT 15:44:25 ON 29 NOV 2007

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:ssptalxn1621

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

```
 NEWS  1          Web Page for STN Seminar Schedule - N. America
 NEWS  2  JUL 02  LMEDLINE coverage updated
 NEWS  3  JUL 02  SCISEARCH enhanced with complete author names
 NEWS  4  JUL 02  CHEMCATS accession numbers revised
 NEWS  5  JUL 02  CA/CAplus enhanced with utility model patents from China
 NEWS  6  JUL 16  CAplus enhanced with French and German abstracts
 NEWS  7  JUL 18  CA/CAplus patent coverage enhanced
 NEWS  8  JUL 26  USPATFULL/USPAT2 enhanced with IPC reclassification
 NEWS  9  JUL 30  USGENE now available on STN
 NEWS 10  AUG 06  CAS REGISTRY enhanced with new experimental property tags
 NEWS 11  AUG 06  FSTA enhanced with new thesaurus edition
 NEWS 12  AUG 13  CA/CAplus enhanced with additional kind codes for granted
                  patents
 NEWS 13  AUG 20  CA/CAplus enhanced with CAS indexing in pre-1907 records
 NEWS 14  AUG 27  Full-text patent databases enhanced with predefined
                  patent family display formats from INPADOCDB
 NEWS 15  AUG 27  USPATOLD now available on STN
 NEWS 16  AUG 28  CAS REGISTRY enhanced with additional experimental
                  spectral property data
 NEWS 17  SEP 07  STN AnaVist, Version 2.0, now available with Derwent
                  World Patents Index
 NEWS 18  SEP 13  FORIS renamed to SOFIS
 NEWS 19  SEP 13  INPADOCDB enhanced with monthly SDI frequency
 NEWS 20  SEP 17  CA/CAplus enhanced with printed CA page images from
                  1967-1998
 NEWS 21  SEP 17  CAplus coverage extended to include traditional medicine
                  patents
 NEWS 22  SEP 24  EMBASE, EMBAL, and LEMBASE reloaded with enhancements
 NEWS 23  OCT 02  CA/CAplus enhanced with pre-1907 records from Chemisches
                  Zentralblatt
 NEWS 24  OCT 19  BEILSTEIN updated with new compounds
 NEWS 25  NOV 15  Derwent Indian patent publication number format enhanced
 NEWS 26  NOV 19  WPIX enhanced with XML display format
 NEWS 27  NOV 30  ICSD reloaded with enhancements
 NEWS 28  DEC 04  LINPADOCDB now available on STN

 NEWS EXPRESS  19 SEPTEMBER 2007:  CURRENT WINDOWS VERSION IS V8.2,
               CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
               AND CURRENT DISCOVER FILE IS DATED 19 SEPTEMBER 2007.

 NEWS HOURS    STN Operating Hours Plus Help Desk Availability
 NEWS LOGIN    Welcome Banner and News Items
 NEWS IPC8     For general information regarding STN implementation of IPC 8
```

Enter NEWS followed by the item number or name to see news on that specific topic.

All use of STN is subject to the provisions of the STN Customer agreement. Please note that this agreement limits use to scientific

research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

* * * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 14:39:36 ON 04 DEC 2007

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 14:39:51 ON 04 DEC 2007
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 3 DEC 2007 HIGHEST RN 956575-10-3
DICTIONARY FILE UPDATES: 3 DEC 2007 HIGHEST RN 956575-10-3

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 29, 2007

Please note that search-term pricing does apply when conducting SmartSELECT searches.

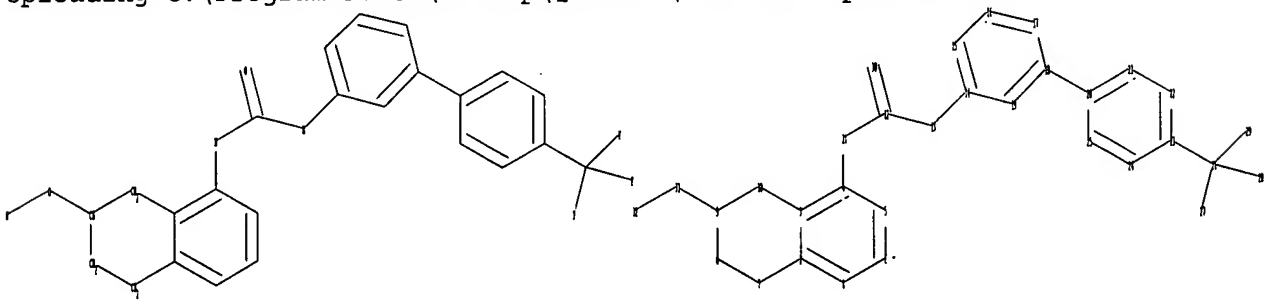
REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=> ....Testing the current file.... screen

ENTER SCREEN EXPRESSION OR (END):end

=>
Uploading C:\Program Files\Stnexp\Queries\10537482 species.str



chain nodes :
11 12 13 26 27 28 29 30 31 32

ring nodes :
1 2 3 4 5 6 7 8 9 10 14 15 16 17 18 19 20 21 22 23 24 25
chain bonds :
4-11 9-31 11-12 12-13 12-30 13-14 18-20 23-26 26-27 26-28 26-29 31-32
ring bonds :
1-2 1-6 2-3 2-7 3-4 3-10 4-5 5-6 7-8 8-9 9-10 14-15 14-19 15-16 16-17
17-18 18-19 20-21 20-25 21-22 22-23 23-24 24-25
exact/norm bonds :
2-7 3-10 4-11 7-8 8-9 9-10 9-31 11-12 12-13 12-30 13-14
exact bonds :
18-20 23-26 26-27 26-28 26-29 31-32
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 14-15 14-19 15-16 16-17 17-18 18-19 20-21
20-25 21-22 22-23 23-24 24-25

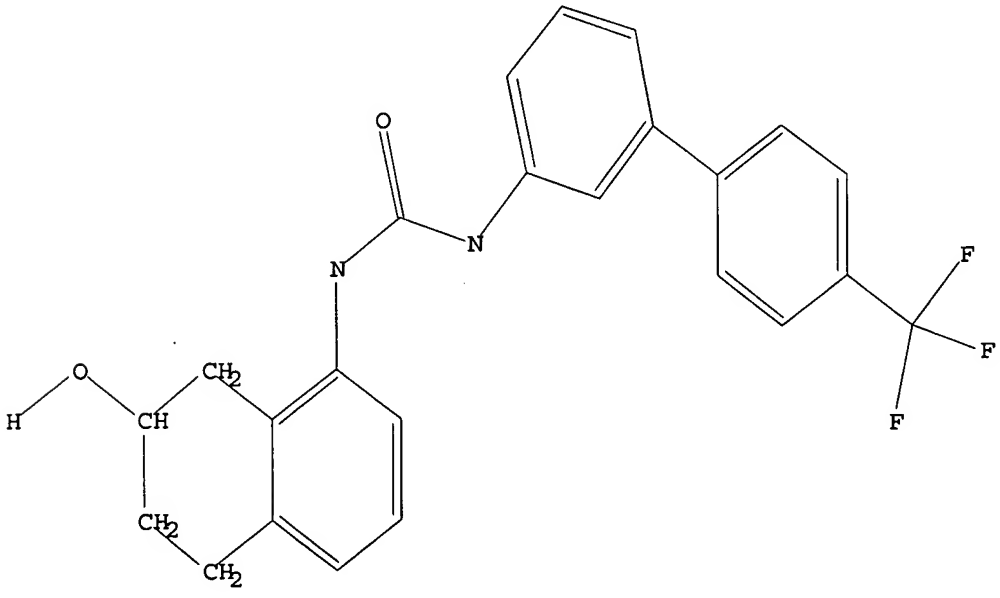
Match level :
1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:CLASS 8:CLASS 9:CLASS
10:CLASS 11:Atom 12:Atom 13:Atom 14:CLASS 15:CLASS 16:CLASS 17:CLASS
18:CLASS 19:CLASS 20:CLASS 21:CLASS 22:CLASS 23:CLASS 24:CLASS 25:CLASS
26:Atom 27:Atom 28:Atom 29:Atom 30:Atom 31:Atom 32:Atom

L1 STRUCTURE UPLOADED

=> que L1

L2 QUE L1

=> d L1
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s L1 full
FULL SEARCH INITIATED 14:40:16 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 512 TO ITERATE

100.0% PROCESSED 512 ITERATIONS 1 ANSWERS
SEARCH TIME: 00.00.01

L3 1 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 172.10 172.31

FILE 'CAPLUS' ENTERED AT 14:40:22 ON 04 DEC 2007
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 4 Dec 2007 VOL 147 ISS 24
FILE LAST UPDATED: 3 Dec 2007 (20071203/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

http://www.cas.org/infopolicy.html

=> s L3
L4 1 L3

=> d L4 bib abs hitstr

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:515474 CAPLUS
DN 141:71359
TI Preparation of tetrahydronaphthalene derivatives as vaniloid receptor antagonists
IN Tajimi, Masaomi; Kokubo, Toshio; Shiroo, Masahiro; Tsukimi, Yasuhiro; Yura, Takeshi; Urbahns, Klaus; Yamamoto, Noriyuki; Mogi, Muneto; Fujishima, Hiroshi; Masuda, Tsutomu; Yoshida, Nagahiro; Moriwaki, Toshiya
PA Bayer Healthcare Ag, Germany
SO PCT Int. Appl., 81 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004052846	A1	20040624	WO 2003-EP13453	20031128

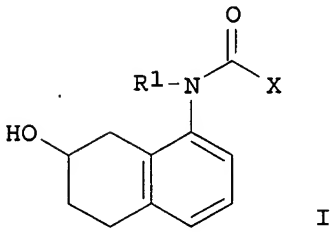
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,

TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

	CA 2508618	A1	20040624	CA 2003-2508618	20031128
	AU 2003294748	A1	20040630	AU 2003-294748	20031128
	EP 1569896	A1	20050907	EP 2003-785688	20031128
	EP 1569896	B1	20070815		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	JP 2006509018	T	20060316	JP 2004-557951	20031128
	AT 370118	T	20070915	AT 2003-785688	20031128
	US 2006128704	A1	20060615	US 2005-537482	20051118
PRAI	EP 2002-27523	A	20021206		
	WO 2003-EP13453	W	20031128		

OS MARPAT 141:71359

GI



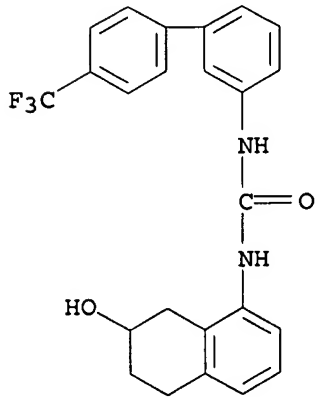
I

AB The title compds. I [R1 = H, alkyl; X = biphenyl, etc.] are prepared The tetrahydronaphthalene derivs. of the present invention have excellent activity as VR1 antagonists and are useful for the prophylaxis and treatment of diseases associated with VR1 activity, in particular for the treatment of urinary incontinence, overactive bladder, chronic pain, neuropathic pain, postoperative pain, etc. The bioactivity of I was demonstrated.

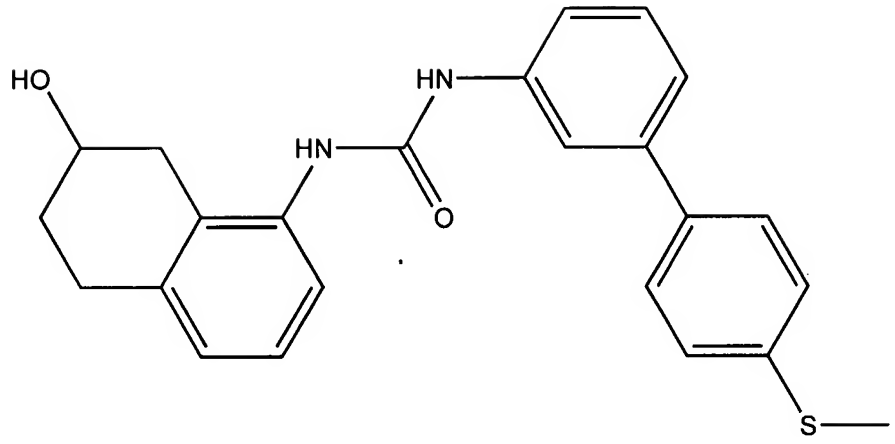
IT 711015-45-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tetrahydronaphthalene derivs. as vaniloid receptor antagonists)

RN 711015-45-1 CAPLUS

CN Urea, N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-N'-[4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]- (CA INDEX NAME)



=> FIL STNGUIDE
COST IN U.S. DOLLARS SINCE FILE TOTAL



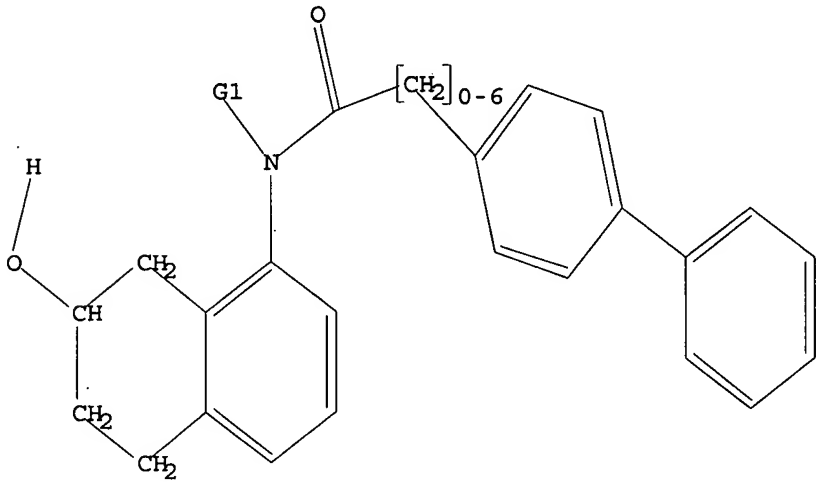
N-(7-hydroxy-5,6,7,8-tetrahydronaphthalen-1-yl)-N'-[4'(methylthio)-biphenyl-3-yl]urea

L2 STRUCTURE UPLOADED

=> que L2 AND L1

L3 QUE L2 AND L1

=> d L2
L2 HAS NO ANSWERS
L2 STR



G1 Ak,H

Structure attributes must be viewed using STN Express query preparation.

=> s L2 full
FULL SEARCH INITIATED 09:19:09 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 4830 TO ITERATE

100.0% PROCESSED 4830 ITERATIONS 3 ANSWERS
SEARCH TIME: 00.00.01

L4 3 SEA SSS FUL L2

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	172.10	172.31

FILE 'CAPLUS' ENTERED AT 09:19:14 ON 07 DEC 2007
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 7 Dec 2007 VOL 147 ISS 25

FILE LAST UPDATED: 6 Dec 2007 (20071206/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

http://www.cas.org/infopolicy.html

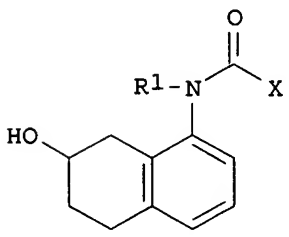
=> s L4
L5 1 L4

=> d L5 bib abs hitstr

L5 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:515474 CAPLUS
DN 141:71359
TI Preparation of tetrahydronaphthalene derivatives as vaniloid receptor antagonists
IN Tajimi, Masaomi; Kokubo, Toshio; Shiroo, Masahiro; Tsukimi, Yasuhiro; Yura, Takeshi; Urbahns, Klaus; Yamamoto, Noriyuki; Mogi, Muneto; Fujishima, Hiroshi; Masuda, Tsutomu; Yoshida, Nagahiro; Moriwaki, Toshiya
PA Bayer Healthcare Ag, Germany
SO PCT Int. Appl., 81 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004052846	A1	20040624	WO 2003-EP13453	20031128
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2508618	A1	20040624	CA 2003-2508618	20031128
	AU 2003294748	A1	20040630	AU 2003-294748	20031128
	EP 1569896	A1	20050907	EP 2003-785688	20031128
	EP 1569896	B1	20070815		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	JP 2006509018	T	20060316	JP 2004-557951	20031128
	AT 370118	T	20070915	AT 2003-785688	20031128
	US 2006128704	A1	20060615	US 2005-537482	20051118
PRAI	EP 2002-27523	A	20021206		
	WO 2003-EP13453	W	20031128		

OS MARPAT 141:71359
GI



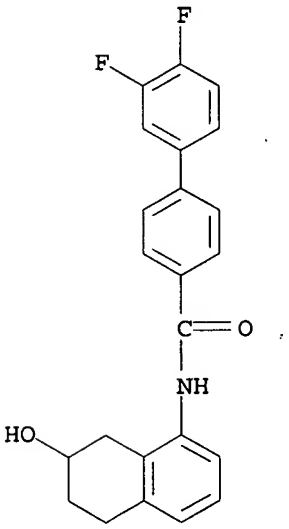
I

AB The title compds. I [R1 = H, alkyl; X = biphenyl, etc.] are prepared The tetrahydronaphthalene derivs. of the present invention have excellent activity as VR1 antagonists and are useful for the prophylaxis and treatment of diseases associated with VR1 activity, in particular for the treatment of urinary incontinence, overactive bladder, chronic pain, neuropathic pain, postoperative pain, etc. The bioactivity of I was demonstrated.

IT 711016-15-8P 711016-16-9P 711016-18-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tetrahydronaphthalene derivs. as vaniloid receptor antagonists)

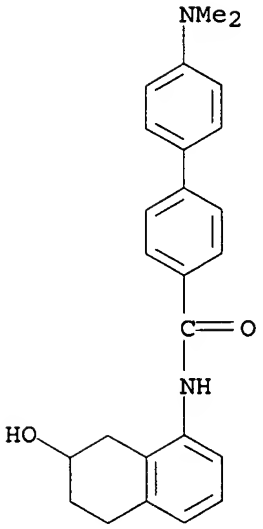
RN 711016-15-8 CAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, 3',4'-difluoro-N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

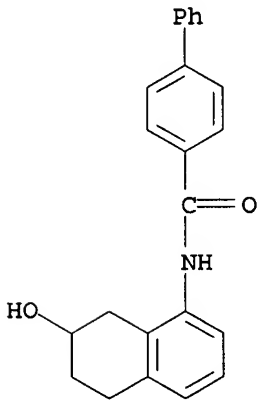


RN 711016-16-9 CAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, 4'-(dimethylamino)-N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)



RN 711016-18-1 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)



=>

---Logging off of STN---

=>
Executing the logoff script...

=> LOG Y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	5.74	178.05
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-0.78	-0.78

STN INTERNATIONAL LOGOFF AT 09:19:40 ON 07 DEC 2007

research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

* * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 18:00:44 ON 06 DEC 2007

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 18:00:54 ON 06 DEC 2007
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 5 DEC 2007 HIGHEST RN 956828-07-2
DICTIONARY FILE UPDATES: 5 DEC 2007 HIGHEST RN 956828-07-2

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 29, 2007

Please note that search-term pricing does apply when conducting SmartSELECT searches.

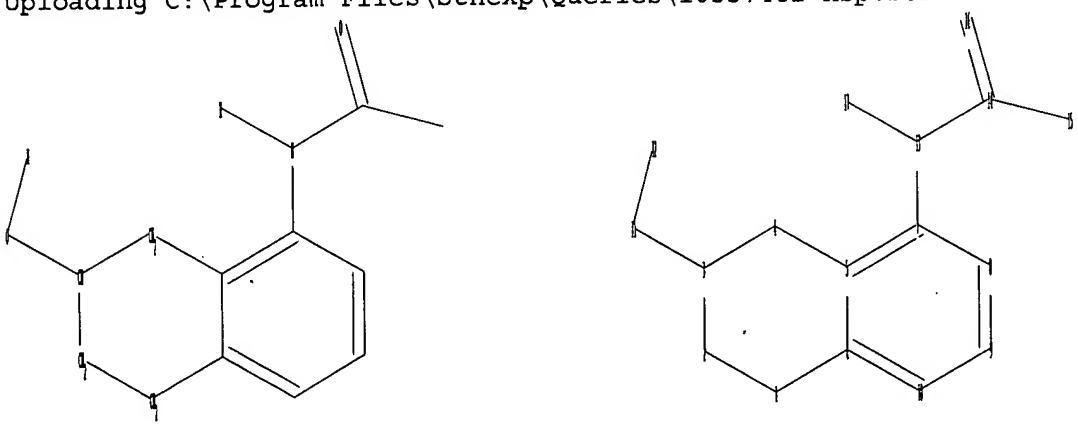
REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=> ....Testing the current file.... screen

ENTER SCREEN EXPRESSION OR (END):end

=>
Uploading C:\Program Files\Stnexp\Queries\10537482 nsp.str



chain nodes :
11 12 13 14 15 16 17
ring nodes :
1 2 3 4 5 6 7 8 9 10

chain bonds :
3-11 7-13 11-12 13-14 13-17 14-15 14-16
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10
exact/norm bonds :
1-2 1-6 2-3 3-4 3-11 4-5 7-13 13-14 14-16
exact bonds :
11-12 13-17 14-15
normalized bonds :
5-6 5-7 6-10 7-8 8-9 9-10

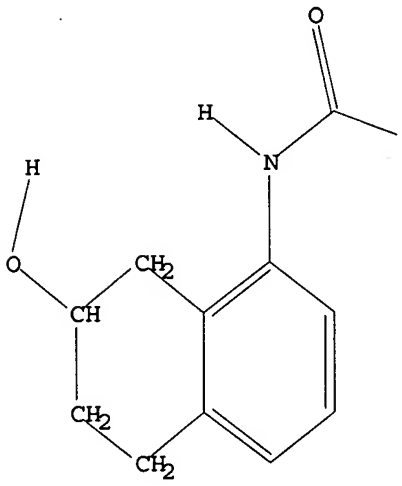
Match level :
1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:CLASS 8:CLASS 9:CLASS
10:CLASS 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom

L1 STRUCTURE UPLOADED

=> que L1

L2 QUE L1

=> d L1
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s L1 full
FULL SEARCH INITIATED 18:01:17 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 112090 TO ITERATE

100.0% PROCESSED 112090 ITERATIONS 72 ANSWERS
SEARCH TIME: 00.00.01

L3 72 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	172.10	172.31

FILE 'CAPLUS' ENTERED AT 18:01:23 ON 06 DEC 2007
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 6 Dec 2007 VOL 147 ISS 24
FILE LAST UPDATED: 5 Dec 2007 (20071205/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

http://www.cas.org/infopolicy.html

=> s L3
L4 6 L3

=> d L4 1-6 bib abs hitstr

L4 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2007:798284 CAPLUS
DN 147:269568
TI Nonthermal activation of transient receptor potential vanilloid-1 channels in abdominal viscera tonically inhibits autonomic cold-defense effectors
AU Steiner, Alexandre A.; Turek, Victoria F.; Almeida, Maria C.; Burmeister, Jeffrey J.; Oliveira, Daniela L.; Roberts, Jennifer L.; Bannon, Anthony W.; Norman, Mark H.; Louis, Jean-Claude; Treanor, James J. S.; Gavva, Narender R.; Romanovsky, Andrej A.
CS Systemic Inflammation Laboratory, Trauma Research, St. Joseph's Hospital, Phoenix, AZ, 85013, USA
SO Journal of Neuroscience (2007), 27(28), 7459-7468
CODEN: JNRSDS; ISSN: 0270-6474
PB Society for Neuroscience
DT Journal
LA English
AB An involvement of the transient receptor potential vanilloid (TRPV) 1 channel in the regulation of body temperature (Tb) has not been established decisively. To provide decisive evidence for such an involvement and determine its mechanisms were the aims of the present study. We synthesized a new TRPV1 antagonist, AMG0347 [(E)-N-(7-hydroxy-5,6,7,8-tetrahydronaphthalen-1-yl)-3-(2-(piperidin-1-yl)-6 -(trifluoromethyl)pyridin-3-yl)acrylamide], and characterized it in vitro. We then found that this drug is the most potent TRPV1 antagonist known to increase Tb of rats and mice and showed (by using knock-out mice) that the entire hyperthermic effect of AMG0347 is TRPV1 dependent. AMG0347-induced hyperthermia was brought about by one or both of the two major autonomic cold-defense effector mechanisms (tail-skin vasoconstriction and/or thermogenesis), but it did not involve warmth-seeking behavior. The magnitude of the hyperthermic response depended on neither Tb nor tail-skin temperature at the time of AMG0347 administration, thus indicating that AMG0347-induced hyperthermia results from blockade of tonic TRPV1 activation by nonthermal factors. AMG0347 was no more effective in causing hyperthermia when administered into the brain (intracerebroventricularly) or spinal cord (intrathecally) than when given systemically (i.v.), which indicates a peripheral site of action. We then established that localized intra-abdominal desensitization of

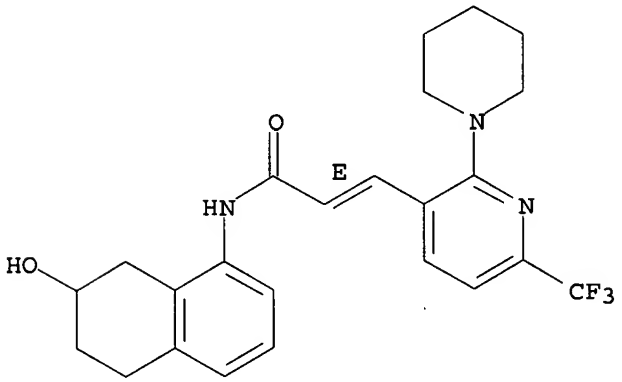
TRPV1 channels with i.p. resiniferatoxin blocks the Tb response to systemic AMG0347; the extent of desensitization was determined by using a comprehensive battery of functional tests. We conclude that tonic activation of TRPV1 channels in the abdominal viscera by yet unidentified nonthermal factors inhibits skin vasoconstriction and thermogenesis, thus having a suppressive effect on Tb.

IT 946615-43-6, AMG 0347
RL: BSU (Biological study, unclassified); BIOL (Biological study) (TRPV1 antagonist; nonthermal activation of transient receptor potential vanilloid-1 channels in abdominal viscera tonically inhibits autonomic cold-defense effectors)

RN 946615-43-6 CAPLUS

CN 2-Propenamide, 3-[2-(1-piperidinyl)-6-(trifluoromethyl)-3-pyridinyl]-N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-, (2E)- (CA INDEX NAME)

Double bond geometry as shown.



RE.CNT 54 THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2007:88232 CAPLUS
DN 146:163039
TI Preparation of novel 2-(bicyclic heterocyclidene)acetamide derivatives as antagonists of transient receptor potential type 1 (TRPV1)
IN Uchida, Hideharu; Kosuga, Naoto; Satoh, Tsutomu; Hotta, Daido; Kamino, Tomoyuki; Maeda, Yoshitaka; Amano, Ken-Ichi; Akada, Yasushige
PA Mochida Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 237pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2007010383	A1	20070125	WO 2006-IB2016	20060724

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

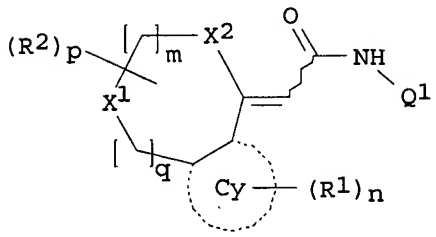
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRAI JP 2005-213534 A 20050722

JP 2005-330890 A 20051115
JP 2006-45985 A 20060222

OS MARPAT 146:163039

GI



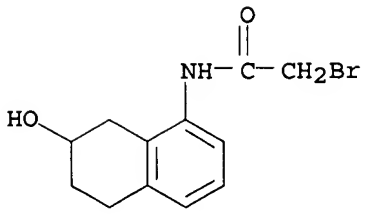
I

AB The title compds. (I) or salts thereof, and solvates of any of them [m, n, p = an integer of 0-2; q = 0, 1; R1 = halo, each (un)substituted hydrocarbyl, heterocyclyl, C1-6 alkoxy, C1-6 alkoxycarbonyl, NH2, HO, CO2H, CONH2, or SO2NH2, C1-6 alkanoyl, C1-6 alkylthio, C1-6 alkylsulfinyl, C1-6 alkylsulfonyl, cyano, NO2; R2 = halo, (un)substituted NH2, hydrocarbyl, or aromatic heterocyclyl, oxo; or two geminal or vicinal R2s together form C2-6 alkylene; R2 and the carbon atom attached to R2 together form a cyclic ring; X1 = O, (un)substituted NH, S, SO, SO2; X2 = CH2, O, (un)substituted NH, S, SO, SO2; Q1 = each (un)substituted heteroaryl, heteroarylalkyl, aryl, or aralkyl; the Cy ring = 5- or 6-membered aryl or heteroaryl; a dotted line represents the condensation of two rings; a wavy line represent E or Z configuration; some exceptions are defined] are prepared These compds. are useful for the treatment or prevention of pains. Thus, tri-Et phosphonoacetate was treated with NaH in THF at ≤20° for 1 h and condensed with 4-chromanone at room temperature overnight to give (E)-(chroman-4-ylidene)acetic acid Et ester which was refluxed in aqueous THF solution containing LiOH and neutralized with 1 N aqueous HCl solution to give (E)-(chroman-4-ylidene)acetic acid (II). II was condensed with 1,4-benzodioxan-6-amine using 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride in CH2Cl2 at room temperature overnight to give (E)-2-(chroman-4-ylidene)-N-(2,3-dihydrobenzo[b][1,4]dioxin-6-yl)acetamide (III). III and (E)-2-(8-trifluoromethyl-3,4-dihydrobenzo[b]oxepin-5(2H)-ylidene)-N-(quinoxalin-6-yl)acetamide in vitro showed A2 of ≥100 nM and <100 nM, resp., for antagonizing the capsaicin-induced cellular influx of Ca in CHO cell expressing human TRPV1. Pharmaceutical formulations, e.g. a tablet containing (E)-2-(7-tert-Butylchroman-4-ylidene)-N-(5,6,7,8-tetrahydroquinolin-7-yl)acetamide, were prepared

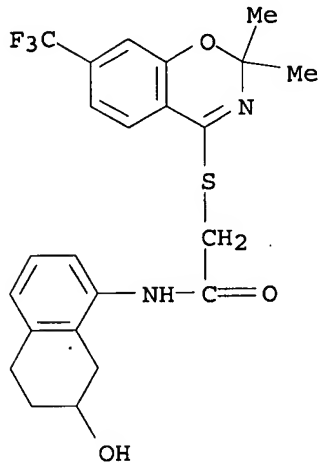
IT 851266-66-5P, 2-Bromo-N-(7-hydroxy-5,6,7,8-tetrahydro-1-naphthyl)acetamide 920334-71-0P, 2-[(2,2-Dimethyl-7-trifluoromethyl-2H-1,3-benzoxazin-4-yl)thio]-N-(7-hydroxy-5,6,7,8-tetrahydro-1-naphthyl)acetamide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of novel 2-(bicyclic heterocyclidene)acetamide derivs. as antagonists of transient receptor potential type 1 (TRPV1) for treatment or prevention of pains)

RN 851266-66-5 CAPLUS

CN Acetamide, 2-bromo-N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)



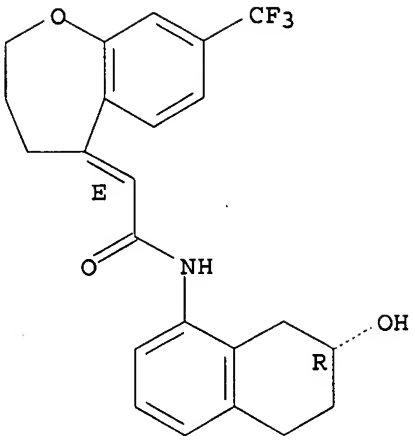
RN 920334-71-0 CAPLUS
CN Acetamide, 2-[[2,2-dimethyl-7-(trifluoromethyl)-2H-1,3-benzoxazin-4-yl]thio]-N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)



IT 920332-20-3P, 2-[(E)-8-Trifluoromethyl-3,4-dihydrobenzo[b]oxepin-5(2H)-ylidene]-N-((7R)-7-hydroxy-5,6,7,8-tetrahydronaphthalen-1-yl)acetamide 920332-21-4P, 2-[(E)-8-Trifluoromethyl-3,4-dihydrobenzo[b]oxepin-5(2H)-ylidene]-N-((7S)-7-hydroxy-5,6,7,8-tetrahydronaphthalen-1-yl)acetamide 920333-97-7P, (E)-2-(7-Trifluoromethyl-2,2-dimethylchroman-4-ylidene)-N-((7S)-7-hydroxy-5,6,7,8-tetrahydronaphthalen-1-yl)acetamide
RL: PAC (Pharmacological activity); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of novel 2-(bicyclic heterocyclidene)acetamide derivs. as antagonists of transient receptor potential type 1 (TRPV1) for treatment or prevention of pains)

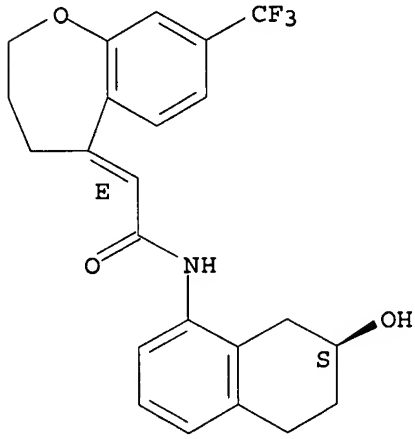
RN 920332-20-3 CAPLUS
CN Acetamide, 2-[3,4-dihydro-8-(trifluoromethyl)-1-benzoxepin-5(2H)-ylidene]-N-[(7R)-5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl]-, (2E)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



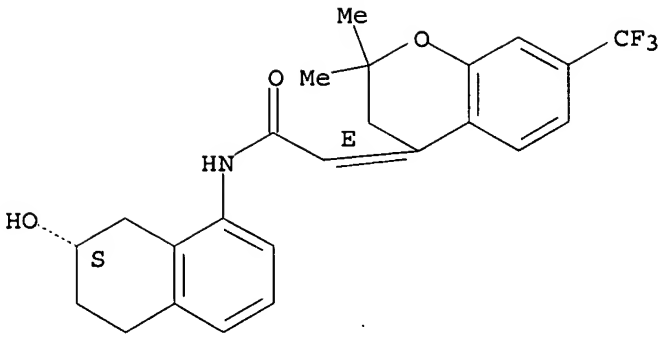
RN 920332-21-4 CAPLUS
CN Acetamide, 2-[3,4-dihydro-8-(trifluoromethyl)-1-benzoxepin-5(2H)-ylidene]-N-[(7S)-5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl]-, (2E)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 920333-97-7 CAPLUS
CN Acetamide, 2-[2,3-dihydro-2,2-dimethyl-7-(trifluoromethyl)-4H-1-benzopyran-4-ylidene]-N-[(7S)-5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl]-, (2E)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as described by E or Z.

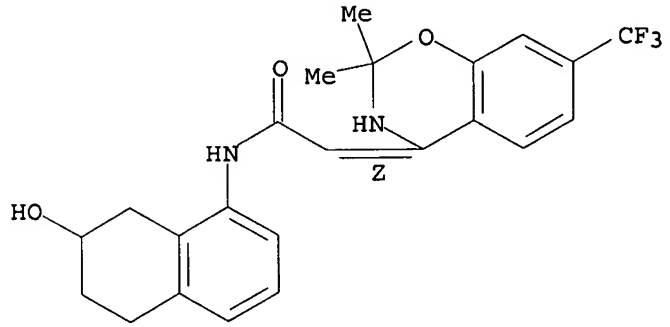


IT 920333-95-5P, (Z)-2-(6-Trifluoromethyl-3,3-dimethyl-4-oxa-3,4-dihydro-2H-isoquinolin-1-ylidene)-N-(7-hydroxy-5,6,7,8-tetrahydronaphthalen-1-yl)acetamide
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of novel 2-(bicyclic heterocyclidene)acetamide derivs. as antagonists of transient receptor potential type 1 (TRPV1) for treatment or prevention of pains)

RN 920333-95-5 CAPLUS

CN Acetamide, 2-[2,3-dihydro-2,2-dimethyl-7-(trifluoromethyl)-4H-1,3-benzoxazin-4-ylidene]-N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-, (2Z)- (CA INDEX NAME)

Double bond geometry as described by E or Z.



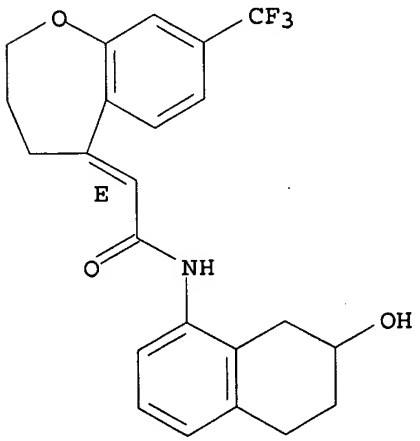
IT 920332-19-0P, (E)-2-[8-Trifluoromethyl-3,4-dihydrobenzo[b]oxepin-5(2H)-ylidene]-N-(7-hydroxy-5,6,7,8-tetrahydronaphthalen-1-yl)acetamide 920332-27-0P, (E)-2-(7-Trifluoromethylchroman-4-ylidene)-N-(7-hydroxy-5,6,7,8-tetrahydronaphthalen-1-yl)acetamide 920332-28-1P, (E)-2-(7-Trifluoromethylchroman-4-ylidene)-N-((7R)-7-hydroxy-5,6,7,8-tetrahydronaphthalen-1-yl)acetamide 920332-29-2P, (E)-2-(7-Trifluoromethylchroman-4-ylidene)-N-((7S)-7-hydroxy-5,6,7,8-tetrahydronaphthalen-1-yl)acetamide 920332-39-4P, (E)-2-[1-(Cyclopentylcarbonyl)-7-trifluoromethyl-2,3-dihydroquinolin-4(1H)-ylidene]-N-(7-hydroxy-5,6,7,8-tetrahydronaphthalen-1-yl)acetamide 920332-40-7P, (E)-2-(1-Pentanoyl-7-trifluoromethyl-2,3-dihydroquinolin-4(1H)-ylidene)-N-(7-hydroxy-5,6,7,8-tetrahydronaphthalen-1-yl)acetamide 920332-41-8P, (E)-2-[1-(Cyclobutylcarbonyl)-7-trifluoromethyl-2,3-dihydroquinolin-4(1H)-ylidene]-N-(7-hydroxy-5,6,7,8-tetrahydronaphthalen-1-yl)acetamide 920332-42-9P, (E)-2-[1-[(4,4-Difluorocyclohexyl)carbonyl]-7-trifluoromethyl-2,3-dihydroquinolin-4(1H)-ylidene]-N-(7-hydroxy-5,6,7,8-tetrahydronaphthalen-1-yl)acetamide 920332-43-0P, (E)-2-[1-(4-Methylpentanoyl)-7-trifluoromethyl-2,3-dihydroquinolin-4(1H)-ylidene]-N-(7-hydroxy-5,6,7,8-tetrahydronaphthalen-1-yl)acetamide 920332-44-1P,

(E)-2-[1-(3-Methylbutanoyl)-7-trifluoromethyl-2,3-dihydroquinolin-4(1H)-ylidene]-N-(7-hydroxy-5,6,7,8-tetrahydronaphthalen-1-yl)acetamide 920332-45-2P, (E)-2-[1-[(1-Methylcyclopropyl)carbonyl]-7-trifluoromethyl-2,3-dihydroquinolin-4(1H)-ylidene]-N-(7-hydroxy-5,6,7,8-tetrahydronaphthalen-1-yl)acetamide 920332-46-3P, (E)-2-[1-[(1-Methylcyclobutyl)carbonyl]-7-trifluoromethyl-2,3-dihydroquinolin-4(1H)-ylidene]-N-(7-hydroxy-5,6,7,8-tetrahydronaphthalen-1-yl)acetamide 920332-47-4P, (E)-2-[1-(4,4,4-Trifluorobutanoyl)-7-trifluoromethyl-2,3-dihydroquinolin-4(1H)-ylidene]-N-(7-hydroxy-5,6,7,8-tetrahydronaphthalen-1-yl)acetamide 920332-48-5P, (E)-2-[1-(3,3,3-Trifluoropropanoyl)-7-trifluoromethyl-2,3-dihydroquinolin-4(1H)-ylidene]-N-(7-hydroxy-5,6,7,8-tetrahydronaphthalen-1-yl)acetamide 920332-49-6P, (E)-2-[1-(5,5,5-Trifluoropentanoyl)-7-trifluoromethyl-2,3-dihydroquinolin-4(1H)-ylidene]-N-(7-hydroxy-5,6,7,8-tetrahydronaphthalen-1-yl)acetamide 920332-50-9P, (E)-2-[1-(Phenylacetyl)-7-trifluoromethyl-2,3-dihydroquinolin-4(1H)-ylidene]-N-(7-hydroxy-5,6,7,8-tetrahydronaphthalen-1-yl)acetamide 920332-51-0P, (E)-2-[1-(2,2-Difluorobutanoyl)-7-trifluoromethyl-2,3-dihydroquinolin-4(1H)-ylidene]-N-(7-hydroxy-5,6,7,8-tetrahydronaphthalen-1-yl)acetamide 920332-52-1P, (E)-2-[1-(2-Fluoro-2-methylpropanoyl)-7-trifluoromethyl-2,3-dihydroquinolin-4(1H)-ylidene]-N-(7-hydroxy-5,6,7,8-tetrahydronaphthalen-1-yl)acetamide 920332-61-2P, (E)-2-[7-Trifluoromethyl-2,3-dihydro-1-(cyclopropylcarbonyl)quinolin-4(1H)-ylidene]-N-(7-hydroxy-5,6,7,8-tetrahydronaphthalen-1-yl)acetamide 920332-62-3P, (E)-2-(7-Trifluoromethyl-2,3-dihydroquinolin-4(1H)-ylidene)-N-(7-hydroxy-5,6,7,8-tetrahydronaphthalen-1-yl)acetamide 920332-65-6P, (E)-2-[7-Trifluoromethyl-2,3-dihydro-1-[(2,2-dimethylcyclopropyl)carbonyl]quinolin-4(1H)-ylidene]-N-(7-hydroxy-5,6,7,8-tetrahydronaphthalen-1-yl)acetamide 920332-66-7P, (E)-2-[7-Trifluoromethyl-2,3-dihydro-1-(2-furancarbonyl)quinolin-4(1H)-ylidene]-N-(7-hydroxy-5,6,7,8-tetrahydronaphthalen-1-yl)acetamide 920332-67-8P, (E)-2-[1-[(1-Hydroxycyclopropyl)carbonyl]-7-trifluoromethyl-2,3-dihydroquinolin-4(1H)-ylidene]-N-(7-hydroxy-5,6,7,8-tetrahydronaphthalen-1-yl)acetamide 920332-68-9P, (E)-2-[1-[(3,3-Difluoroazetidin-1-yl)carbonyl]-7-trifluoromethyl-2,3-dihydroquinolin-4(1H)-ylidene]-N-(7-hydroxy-5,6,7,8-tetrahydronaphthalen-1-yl)acetamide 920332-69-0P , (E)-2-(1-Formyl-7-trifluoromethyl-2,3-dihydroquinolin-4(1H)-ylidene)-N-(7-hydroxy-5,6,7,8-tetrahydronaphthalen-1-yl)acetamide 920332-70-3P, (E)-2-[1-[(1-Fluorocyclopentyl)carbonyl]-7-trifluoromethyl-2,3-dihydroquinolin-4(1H)-ylidene]-N-(7-hydroxy-5,6,7,8-tetrahydronaphthalen-1-yl)acetamide 920332-71-4P, (E)-2-[1-(3,3-Difluorobutanoyl)-7-trifluoromethyl-2,3-dihydroquinolin-4(1H)-ylidene]-N-(7-hydroxy-5,6,7,8-tetrahydronaphthalen-1-yl)acetamide 920332-72-5P, (E)-2-[1-(3,3-Difluoropentanoyl)-7-trifluoromethyl-2,3-dihydroquinolin-4(1H)-ylidene]-N-(7-hydroxy-5,6,7,8-tetrahydronaphthalen-1-yl)acetamide 920332-73-6P, (E)-2-[1-[(3,3-Difluorocyclobutyl)carbonyl]-7-trifluoromethyl-2,3-dihydroquinolin-4(1H)-ylidene]-N-(7-hydroxy-5,6,7,8-tetrahydronaphthalen-1-yl)acetamide 920333-45-5P, (E)-2-(8-Trifluoromethyl-1-pentanoyl-1,2,3,4-tetrahydro-5H-benz[b]azepin-5-ylidene)-N-(7-hydroxy-5,6,7,8-tetrahydronaphthalen-1-yl)acetamide 920333-54-6P, (E)-2-(1-Methyl-8-trifluoromethyl-1,2,3,4-tetrahydro-5H-benz[b]azepin-5-ylidene)-N-(7-hydroxy-5,6,7,8-tetrahydronaphthalen-1-yl)acetamide 920333-55-7P, (E)-2-(1-(3-Chloro-5-hydroxymethyl-pyridin-2-yl)-7-trifluoromethyl-2,3-dihydroquinolin-4(1H)-ylidene)-N-(7-hydroxy-5,6,7,8-tetrahydronaphthalen-1-yl)acetamide 920333-61-5P, (E)-2-(7-Isopropylchroman-4-ylidene)-N-(7-hydroxy-5,6,7,8-tetrahydronaphthalen-1-yl)acetamide 920333-63-7P, (E)-2-(7-Chlorochroman-4-ylidene)-N-(7-hydroxy-5,6,7,8-tetrahydronaphthalen-1-yl)acetamide 920333-65-9P, (E)-2-(7-Trifluoromethoxychroman-4-ylidene)-N-(7-hydroxy-5,6,7,8-tetrahydronaphthalen-1-yl)acetamide 920333-67-1P, (E)-2-[7-(1,1,2,2-Tetrafluoroethoxy)chroman-4-ylidene]-N-(7-hydroxy-5,6,7,8-tetrahydronaphthalen-1-yl)acetamide 920333-69-3P,

(E)-2-(6-Fluoro-7-trifluoromethylchroman-4-ylidene)-N-(7-hydroxy-5,6,7,8-tetrahydronaphthalen-1-yl)acetamide 920333-72-8P, (E)-2-(7-Trifluoromethyl-3,3-difluorochroman-4-ylidene)-N-(7-hydroxy-5,6,7,8-tetrahydronaphthalen-1-yl)acetamide 920333-90-0P, (E)-2-[8-Trifluoromethyl-3,4-dihydrobenzo[c]isooxepin-5(1H)-ylidene]-N-(7-hydroxy-5,6,7,8-tetrahydronaphthalen-1-yl)acetamide 920333-92-2P , (E)-2-(7-Trifluoromethylisochroman-4-ylidene)-N-(7-hydroxy-5,6,7,8-tetrahydronaphthalen-1-yl)acetamide 920333-93-3P, (E)-2-(7-Trifluoromethyl-3,4-dihydro-2H-pyrano[2,3-b]pyridin-4-ylidene)-N-(7-hydroxy-5,6,7,8-tetrahydronaphthalen-1-yl)acetamide 920333-94-4P, (E)-2-(8-Trifluoromethyl-2,3,4,5-tetrahydrooxepino[2,3-b]pyridin-5-ylidene)-N-(7-hydroxy-5,6,7,8-tetrahydronaphthalen-1-yl)acetamide 920333-96-6P, (E)-2-(7-Trifluoromethyl-2,2-dimethylchroman-4-ylidene)-N-(7-hydroxy-5,6,7,8-tetrahydronaphthalen-1-yl)acetamide 920333-98-8P, (E)-2-(7-Trifluoromethyl-2,2-dimethylchroman-4-ylidene)-N-((7R)-7-hydroxy-5,6,7,8-tetrahydronaphthalen-1-yl)acetamide 920334-03-8P, (E)-2-[7-Fluoro-8-trifluoromethyl-3,4-dihydrobenzo[b]oxepin-5(2H)-ylidene]-N-(7-hydroxy-5,6,7,8-tetrahydronaphthalen-1-yl)acetamide 920334-04-9P, (E)-2-(6-Trifluoromethylchroman-4-ylidene)-N-(7-hydroxy-5,6,7,8-tetrahydronaphthalen-1-yl)acetamide 920334-06-1P , (E)-2-(7-Trifluoromethyl-2,2-dicyclobutylchroman-4-ylidene)-N-(7-hydroxy-5,6,7,8-tetrahydronaphthalen-1-yl)acetamide 920513-93-5P, (E)-2-[1-[(3-Fluorocyclopentyl)carbonyl]-7-trifluoromethyl-2,3-dihydroquinolin-4(1H)-ylidene]-N-(7-hydroxy-5,6,7,8-tetrahydronaphthalen-1-yl)acetamide 920513-94-6P, (E)-2-[7-Trifluoromethyl-2,3-dihydro-1-[[4-(trifluoromethyl)cyclohexyl]carbonyl]quinolin-4(1H)-ylidene]-N-(7-hydroxy-5,6,7,8-tetrahydronaphthalen-1-yl)acetamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of novel 2-(bicyclic heterocyclidene)acetamide derivs. as antagonists of transient receptor potential type 1 (TRPV1) for treatment or prevention of pains)

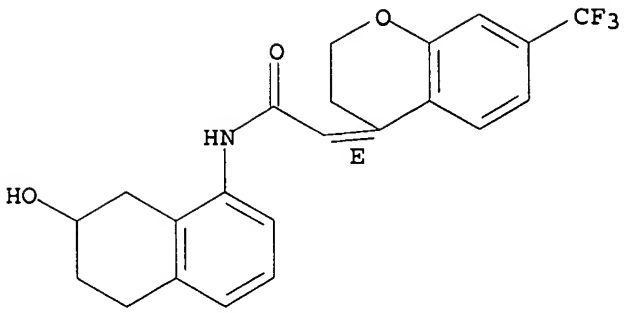
RN 920332-19-0 CAPLUS
CN Acetamide, 2-[3,4-dihydro-8-(trifluoromethyl)-1-benzoxepin-5(2H)-ylidene]-N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-, (2E)- (CA INDEX NAME)

Double bond geometry as shown.



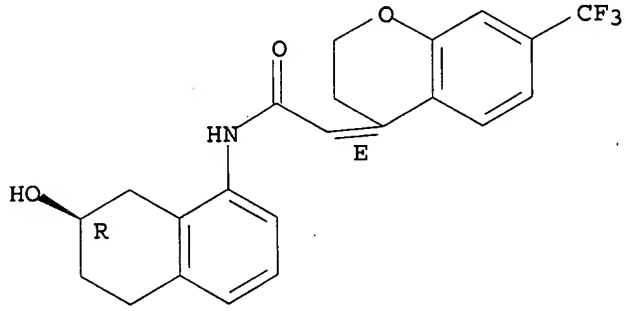
RN 920332-27-0 CAPLUS
CN Acetamide, 2-[2,3-dihydro-7-(trifluoromethyl)-4H-1-benzopyran-4-ylidene]-N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-, (2E)- (CA INDEX NAME)

Double bond geometry as described by E or Z.



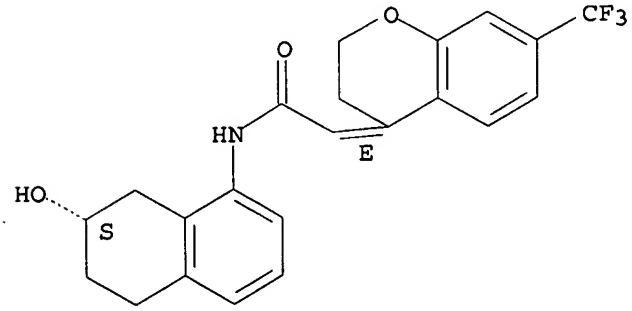
RN 920332-28-1 CAPLUS
CN Acetamide, 2-[2,3-dihydro-7-(trifluoromethyl)-4H-1-benzopyran-4-ylidene]-N-[(7R)-5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl]-, (2E)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as described by E or Z.



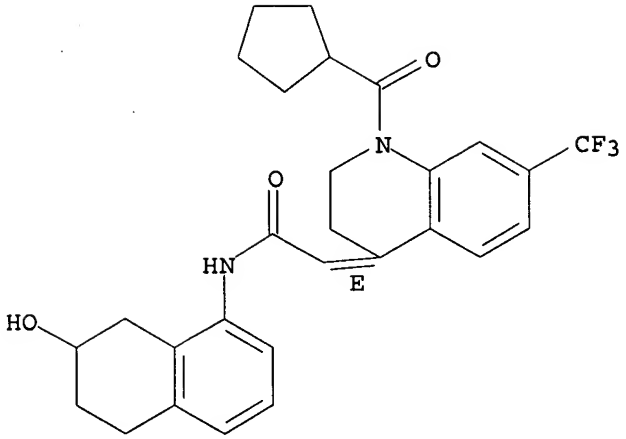
RN 920332-29-2 CAPLUS
CN Acetamide, 2-[2,3-dihydro-7-(trifluoromethyl)-4H-1-benzopyran-4-ylidene]-N-[(7S)-5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl]-, (2E)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as described by E or Z.



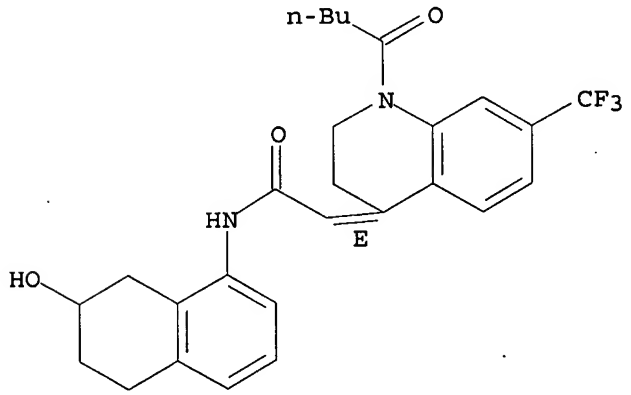
RN 920332-39-4 CAPLUS
CN Acetamide, 2-[1-(cyclopentylcarbonyl)-2,3-dihydro-7-(trifluoromethyl)-4(1H)-quinolinylidene]-N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-, (2E)- (CA INDEX NAME)

Double bond geometry as described by E or Z.



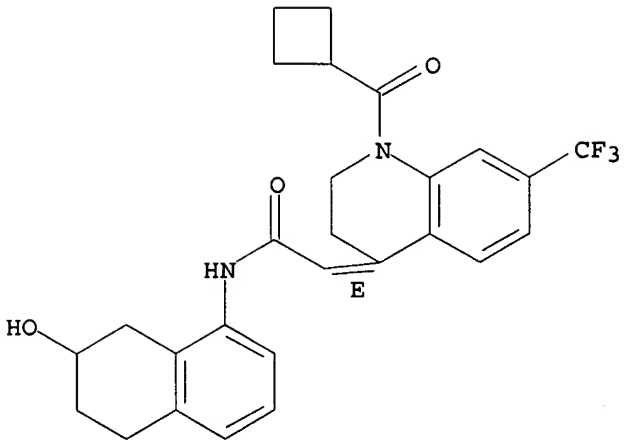
RN 920332-40-7 CAPLUS
CN Acetamide, 2-[2,3-dihydro-1-(1-oxopentyl)-7-(trifluoromethyl)-4(1H)-quinolinylidene]-N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-, (2E)-(CA INDEX NAME)

Double bond geometry as described by E or Z.



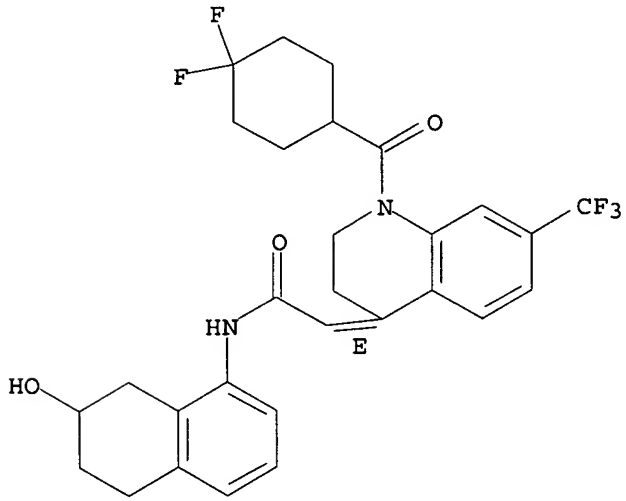
RN 920332-41-8 CAPLUS
CN Acetamide, 2-[1-(cyclobutylcarbonyl)-2,3-dihydro-7-(trifluoromethyl)-4(1H)-quinolinylidene]-N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-, (2E)-(CA INDEX NAME)

Double bond geometry as described by E or Z.



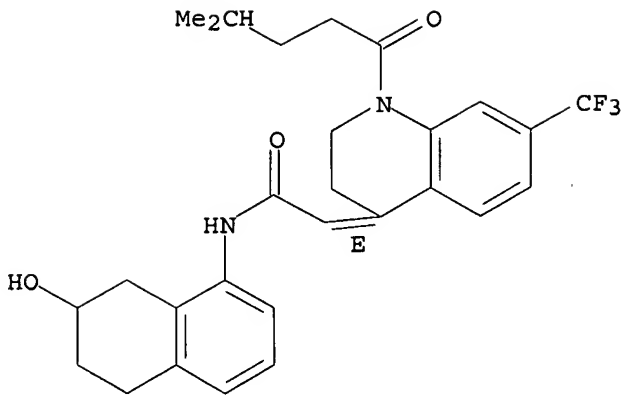
RN 920332-42-9 CAPLUS
CN Acetamide, 2-[1-[(4,4-difluorocyclohexyl)carbonyl]-2,3-dihydro-7-(trifluoromethyl)-4(1H)-quinolinylidene]-N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-, (2E)- (CA INDEX NAME)

Double bond geometry as described by E or Z.



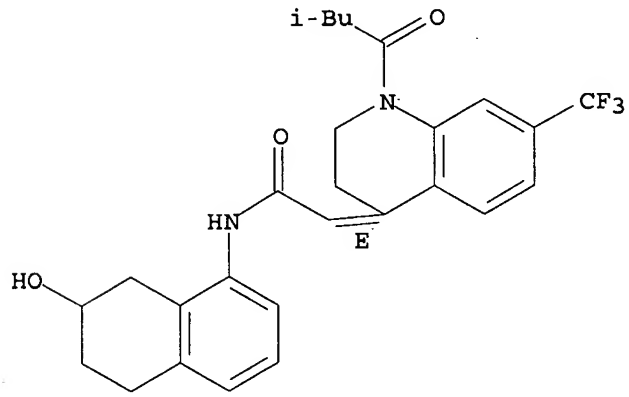
RN 920332-43-0 CAPLUS
CN Acetamide, 2-[2,3-dihydro-1-(4-methyl-1-oxopentyl)-7-(trifluoromethyl)-4(1H)-quinolinylidene]-N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-, (2E)- (CA INDEX NAME)

Double bond geometry as described by E or Z.



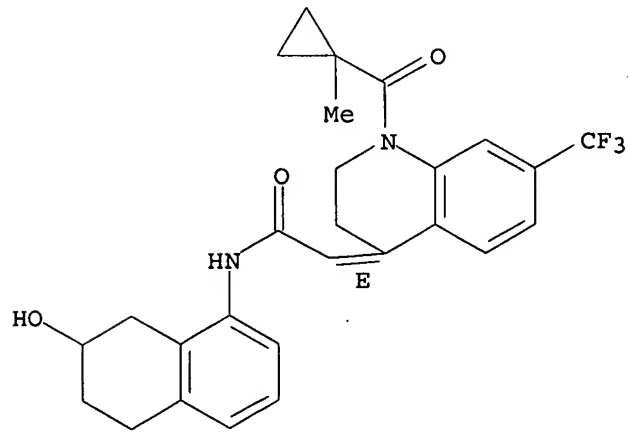
RN 920332-44-1 CAPLUS
CN Acetamide, 2-[2,3-dihydro-1-(3-methyl-1-oxobutyl)-7-(trifluoromethyl)-4(1H)-quinolinylidene]-N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-, (2E)- (CA INDEX NAME)

Double bond geometry as described by E or Z.



RN 920332-45-2 CAPLUS
CN Acetamide, 2-[2,3-dihydro-1-[(1-methylcyclopropyl)carbonyl]-7-(trifluoromethyl)-4(1H)-quinolinylidene]-N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-, (2E)- (CA INDEX NAME)

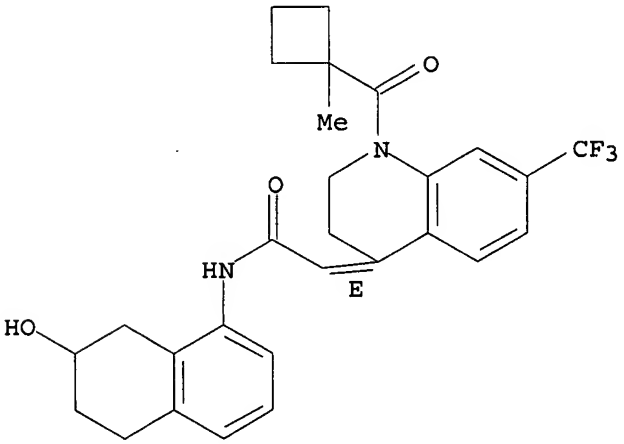
Double bond geometry as described by E or Z.



RN 920332-46-3 CAPLUS

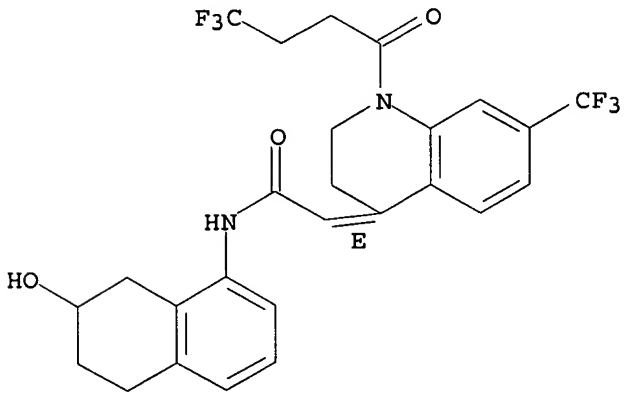
CN Acetamide, 2-[2,3-dihydro-1-[(1-methylcyclobutyl)carbonyl]-7-(trifluoromethyl)-4(1H)-quinolinylidene]-N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-, (2E)- (CA INDEX NAME)

Double bond geometry as described by E or Z.



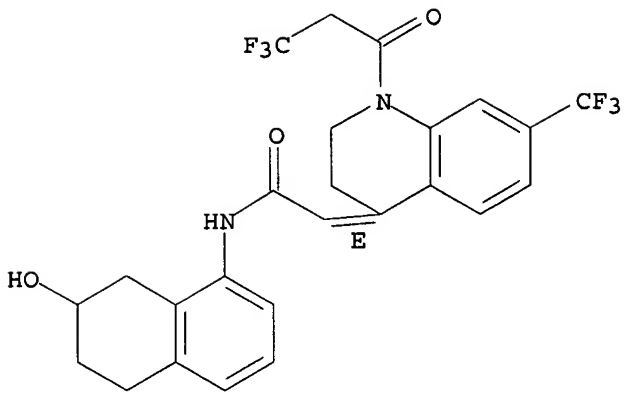
RN 920332-47-4 CAPLUS
CN Acetamide, 2-[2,3-dihydro-7-(trifluoromethyl)-1-(4,4,4-trifluoro-1-oxobutyl)-4(1H)-quinolinylidene]-N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-, (2E)- (CA INDEX NAME)

Double bond geometry as described by E or Z.



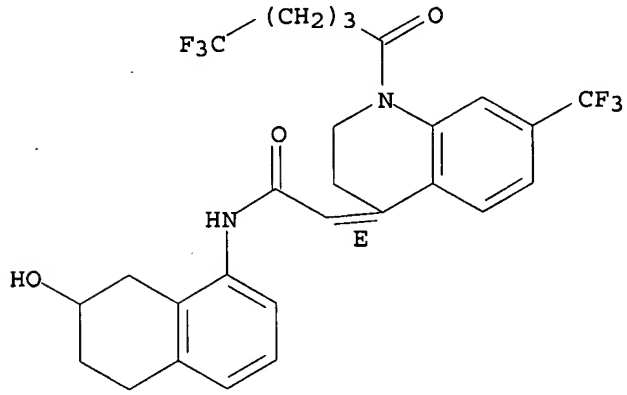
RN 920332-48-5 CAPLUS
CN Acetamide, 2-[2,3-dihydro-7-(trifluoromethyl)-1-(3,3,3-trifluoro-1-oxopropyl)-4(1H)-quinolinylidene]-N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-, (2E)- (CA INDEX NAME)

Double bond geometry as described by E or Z.



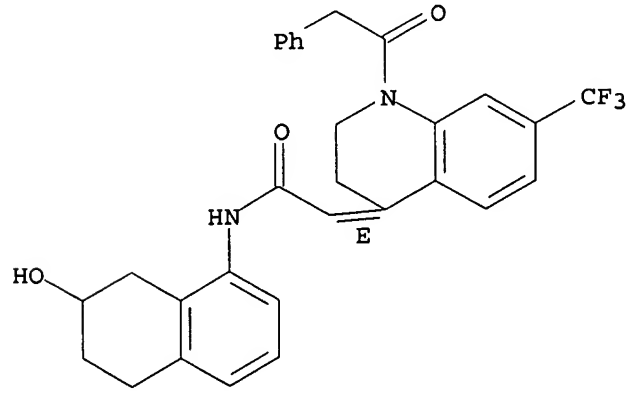
RN 920332-49-6 CAPLUS
CN Acetamide, 2-[2,3-dihydro-7-(trifluoromethyl)-1-(5,5,5-trifluoro-1-oxopentyl)-4(1H)-quinolinylidene]-N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-, (2E)- (CA INDEX NAME)

Double bond geometry as described by E or Z.



RN 920332-50-9 CAPLUS
CN Acetamide, 2-[2,3-dihydro-1-(2-phenylacetyl)-7-(trifluoromethyl)-4(1H)-quinolinylidene]-N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-, (2E)- (CA INDEX NAME)

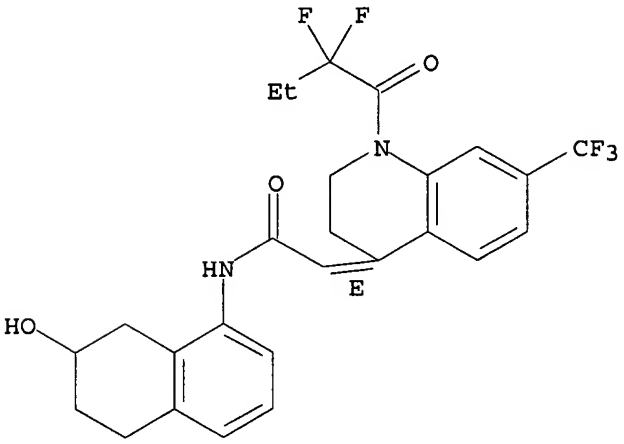
Double bond geometry as described by E or Z.



RN 920332-51-0 CAPLUS
CN Acetamide, 2-[1-(2,2-difluoro-1-oxobutyl)-2,3-dihydro-7-(trifluoromethyl)-

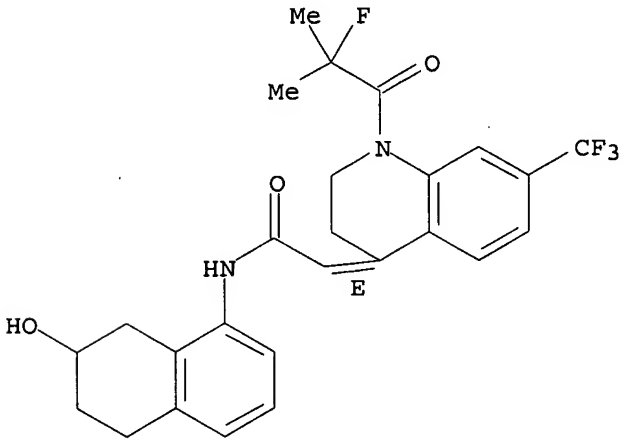
4(1H)-quinolinylidene]-N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-, (2E)- (CA INDEX NAME)

Double bond geometry as described by E or Z.



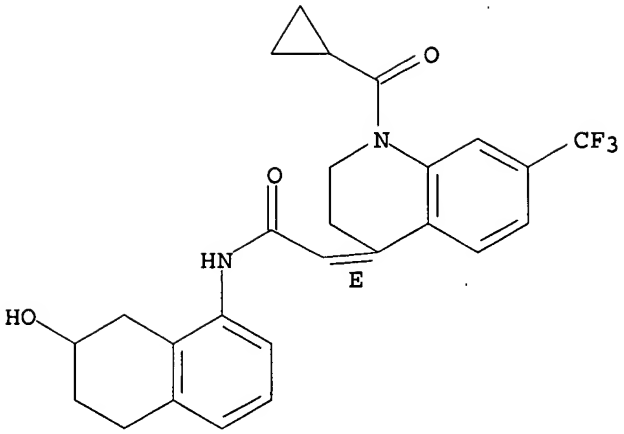
RN 920332-52-1 CAPLUS
CN Acetamide, 2-[1-(2-fluoro-2-methyl-1-oxopropyl)-2,3-dihydro-7-(trifluoromethyl)-4(1H)-quinolinylidene]-N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-, (2E)- (CA INDEX NAME)

Double bond geometry as described by E or Z.



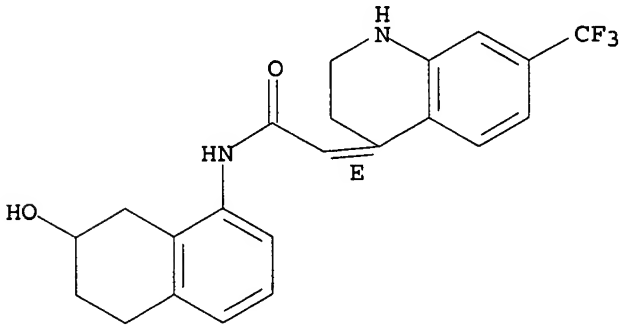
RN 920332-61-2 CAPLUS
CN Acetamide, 2-[1-(cyclopropylcarbonyl)-2,3-dihydro-7-(trifluoromethyl)-4(1H)-quinolinylidene]-N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-, (2E)- (CA INDEX NAME)

Double bond geometry as described by E or Z.



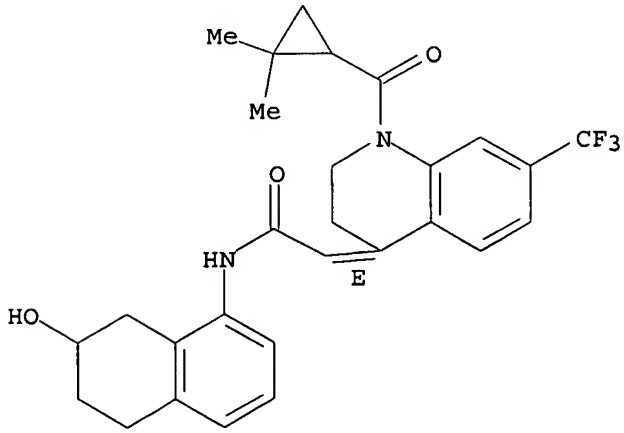
RN 920332-62-3 CAPLUS
CN Acetamide, 2-[2,3-dihydro-7-(trifluoromethyl)-4(1H)-quinolinylidene]-N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-, (2E)- (CA INDEX NAME)

Double bond geometry as described by E or Z.



RN 920332-65-6 CAPLUS
CN Acetamide, 2-[1-[(2,2-dimethylcyclopropyl)carbonyl]-2,3-dihydro-7-(trifluoromethyl)-4(1H)-quinolinylidene]-N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-, (2E)- (CA INDEX NAME)

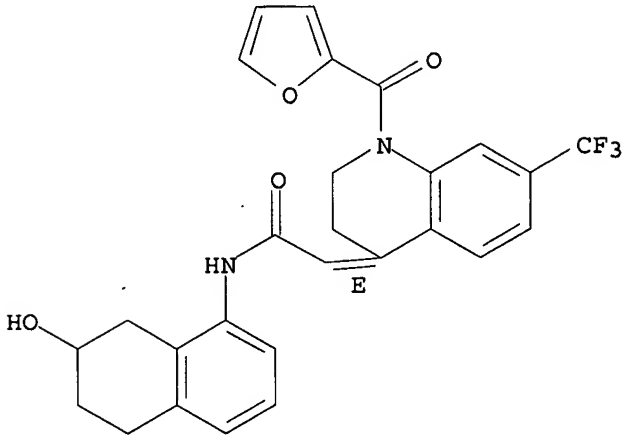
Double bond geometry as described by E or Z.



RN 920332-66-7 CAPLUS
CN Acetamide, 2-[1-(2-furanylcarbonyl)-2,3-dihydro-7-(trifluoromethyl)-4(1H)-quinolinylidene]-N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-, (2E)-

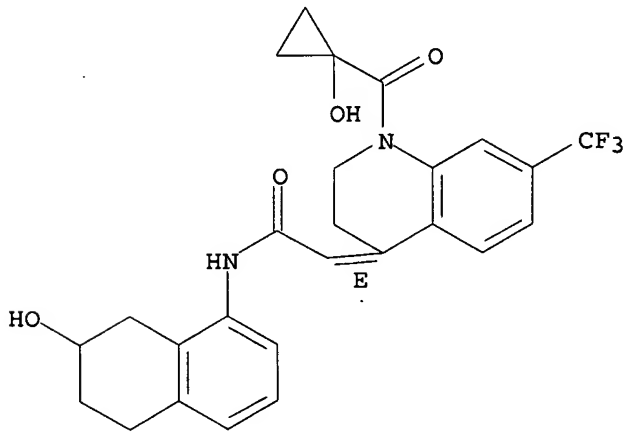
(CA INDEX NAME)

Double bond geometry as described by E or Z.



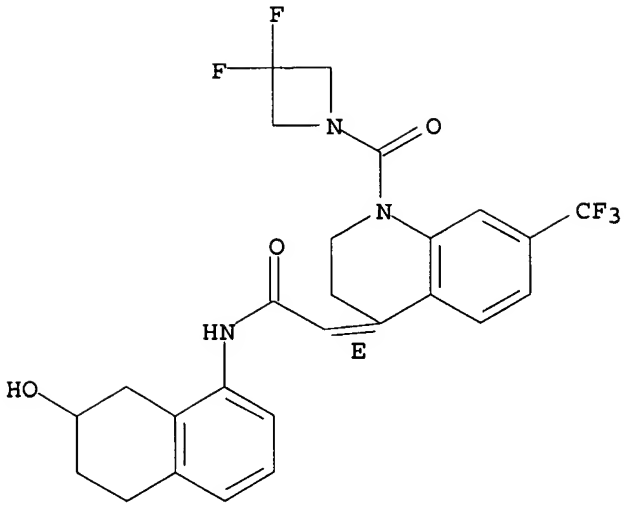
RN 920332-67-8 CAPLUS
CN Acetamide, 2-[2,3-dihydro-1-[(1-hydroxycyclopropyl)carbonyl]-7-(trifluoromethyl)-4(1H)-quinolinylidene]-N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-, (2E)- (CA INDEX NAME)

Double bond geometry as described by E or Z.



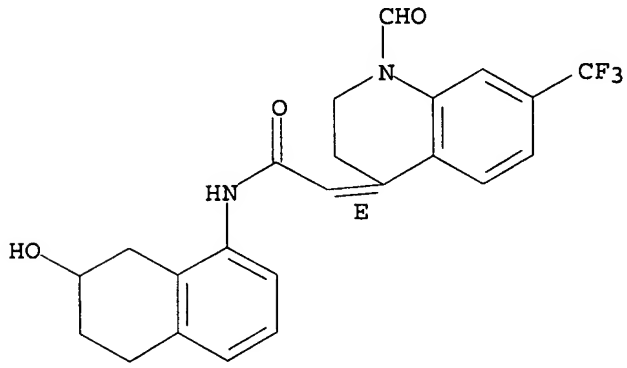
RN 920332-68-9 CAPLUS
CN Acetamide, 2-[1-[(3,3-difluoro-1-azetidinyl)carbonyl]-2,3-dihydro-7-(trifluoromethyl)-4(1H)-quinolinylidene]-N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-, (2E)- (CA INDEX NAME)

Double bond geometry as described by E or Z.



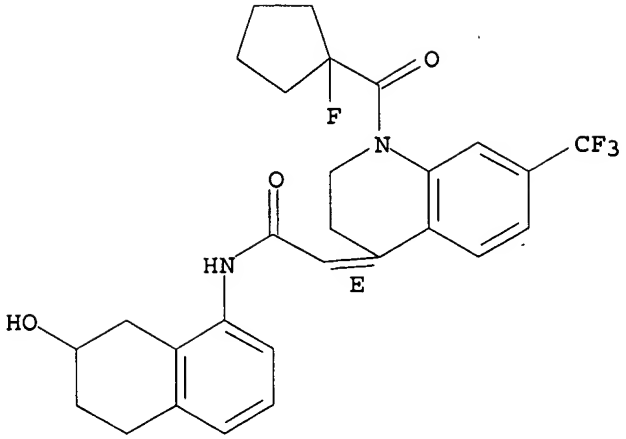
RN 920332-69-0 CAPLUS
CN Acetamide, 2-[1-formyl-2,3-dihydro-7-(trifluoromethyl)-4(1H)-quinolinylidene]-N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-, (2E)- (CA INDEX NAME)

Double bond geometry as described by E or Z.



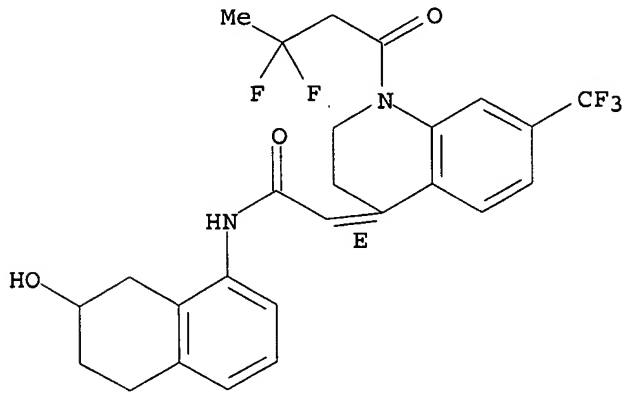
RN 920332-70-3 CAPLUS
CN Acetamide, 2-[1-[(1-fluorocyclopentyl)carbonyl]-2,3-dihydro-7-(trifluoromethyl)-4(1H)-quinolinylidene]-N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-, (2E)- (CA INDEX NAME)

Double bond geometry as described by E or Z.



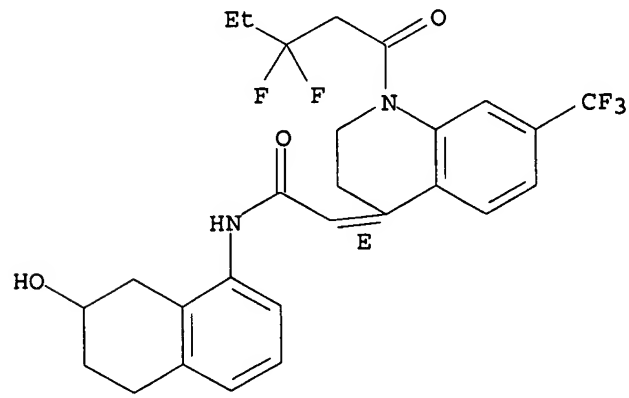
RN 920332-71-4 CAPLUS
CN Acetamide, 2-[1-(3,3-difluoro-1-oxobutyl)-2,3-dihydro-7-(trifluoromethyl)-4(1H)-quinolinylidene]-N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-, (2E)- (CA INDEX NAME)

Double bond geometry as described by E or Z.



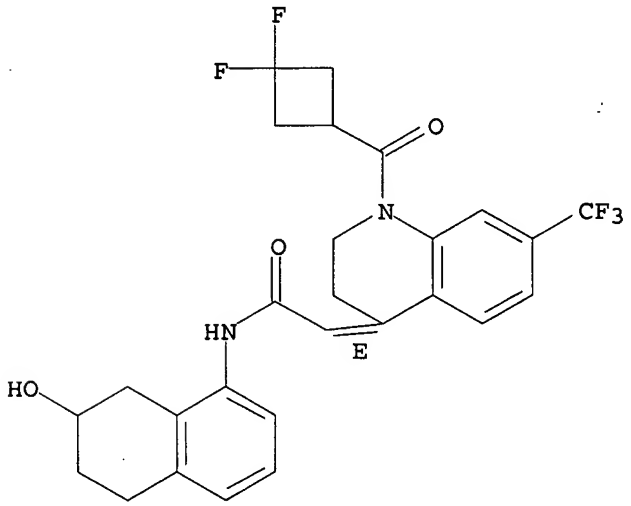
RN 920332-72-5 CAPLUS
CN Acetamide, 2-[1-(3,3-difluoro-1-oxopentyl)-2,3-dihydro-7-(trifluoromethyl)-4(1H)-quinolinylidene]-N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-, (2E)- (CA INDEX NAME)

Double bond geometry as described by E or Z.



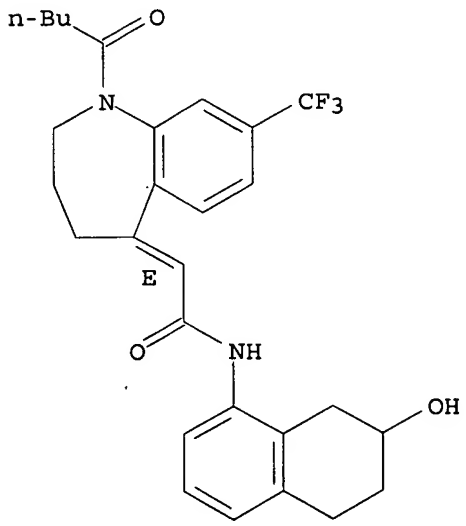
RN 920332-73-6 CAPLUS
CN Acetamide, 2-[1-[(3,3-difluorocyclobutyl)carbonyl]-2,3-dihydro-7-(trifluoromethyl)-4(1H)-quinolinylidene]-N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-, (2E)- (CA INDEX NAME)

Double bond geometry as described by E or Z.



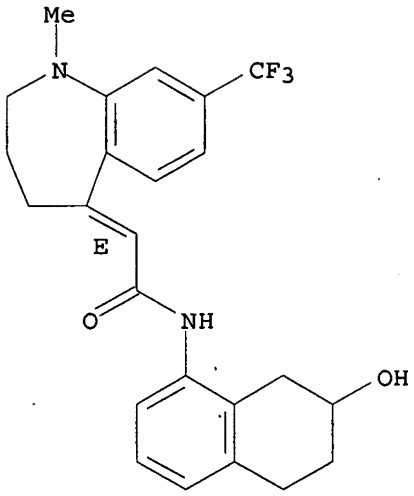
RN 920333-45-5 CAPLUS
CN Acetamide, N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-2-[1,2,3,4-tetrahydro-1-(1-oxopentyl)-8-(trifluoromethyl)-5H-1-benzazepin-5-ylidene]-, (2E)- (CA INDEX NAME)

Double bond geometry as shown.



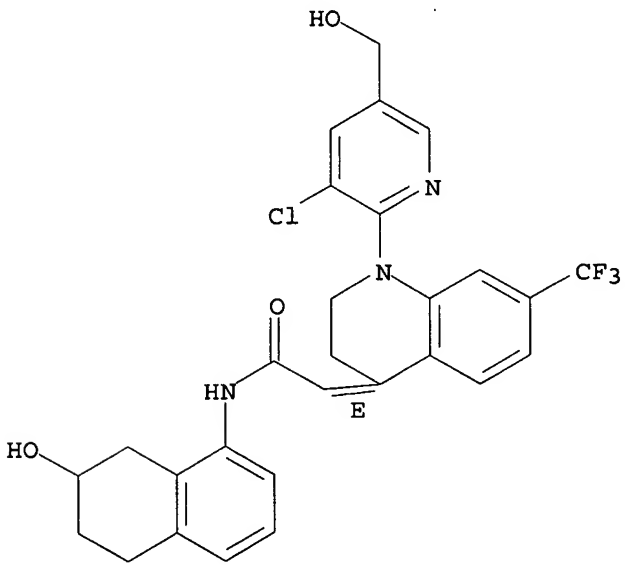
RN 920333-54-6 CAPLUS
CN Acetamide, N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-2-[1,2,3,4-tetrahydro-1-methyl-8-(trifluoromethyl)-5H-1-benzazepin-5-ylidene]-, (2E)- (CA INDEX NAME)

Double bond geometry as shown.



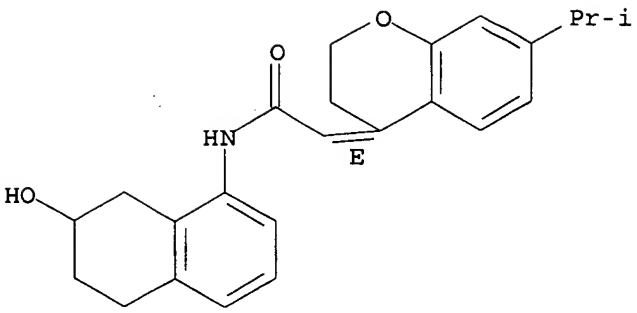
RN 920333-55-7 CAPLUS
CN Acetamide, 2-[1-[3-chloro-5-(hydroxymethyl)-2-pyridinyl]-2,3-dihydro-7-(trifluoromethyl)-4(1H)-quinolinylidene]-N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-, (2E)- (CA INDEX NAME)

Double bond geometry as described by E or Z.



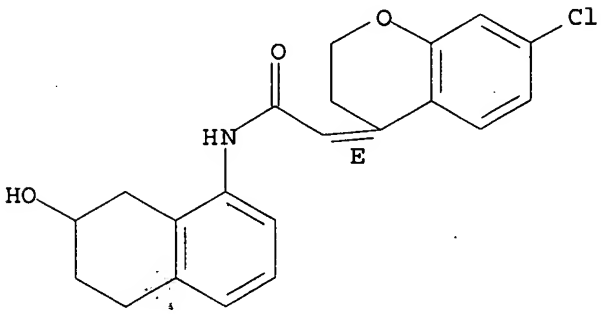
RN 920333-61-5 CAPLUS
CN Acetamide, 2-[2,3-dihydro-7-(1-methylethyl)-4H-1-benzopyran-4-ylidene]-N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-, (2E)- (CA INDEX NAME)

Double bond geometry as described by E or Z.



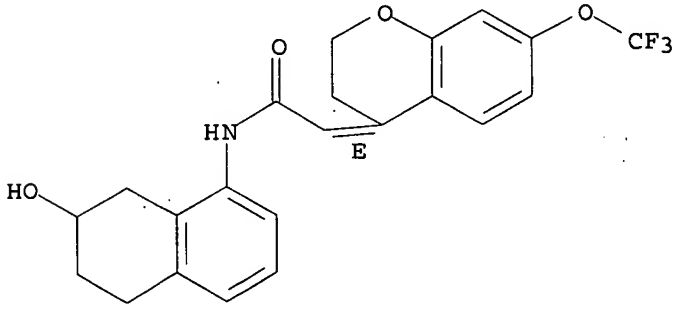
RN 920333-63-7 CAPLUS
CN Acetamide, 2-(7-chloro-2,3-dihydro-4H-1-benzopyran-4-ylidene)-N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-, (2E)- (CA INDEX NAME)

Double bond geometry as described by E or Z.



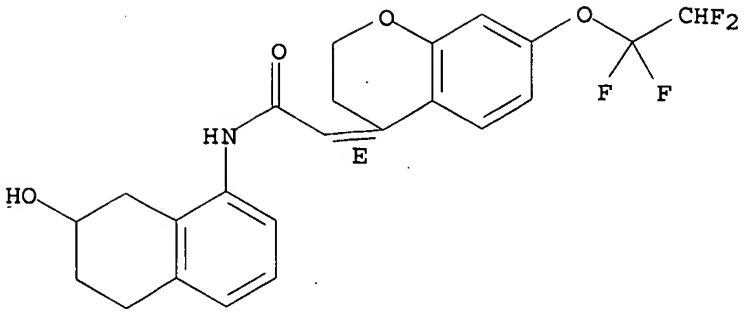
RN 920333-65-9 CAPLUS
CN Acetamide, 2-[2,3-dihydro-7-(trifluoromethoxy)-4H-1-benzopyran-4-ylidene]-N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-, (2E)- (CA INDEX NAME)

Double bond geometry as described by E or Z.



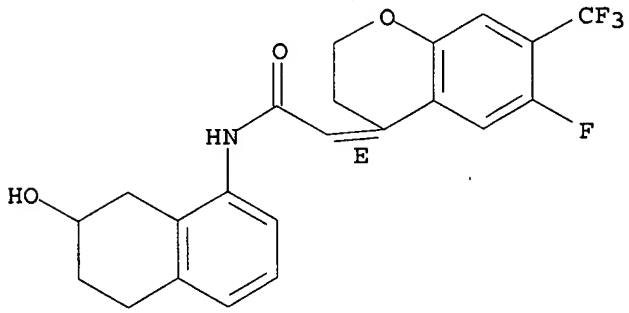
RN 920333-67-1 CAPLUS
CN Acetamide, 2-[2,3-dihydro-7-(1,1,2,2-tetrafluoroethoxy)-4H-1-benzopyran-4-ylidene]-N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-, (2E)- (CA INDEX NAME)

Double bond geometry as described by E or Z.



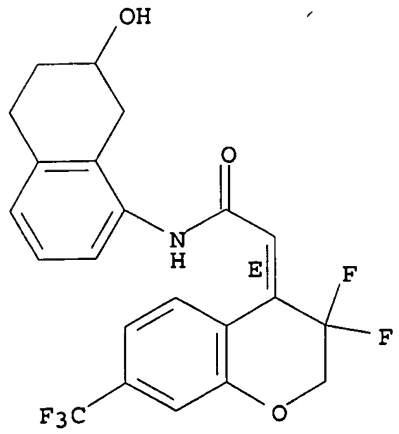
RN 920333-69-3 CAPLUS
CN Acetamide, 2-[6-fluoro-2,3-dihydro-7-(trifluoromethyl)-4H-1-benzopyran-4-ylidene]-N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-, (2E)- (CA INDEX NAME)

Double bond geometry as described by E or Z.



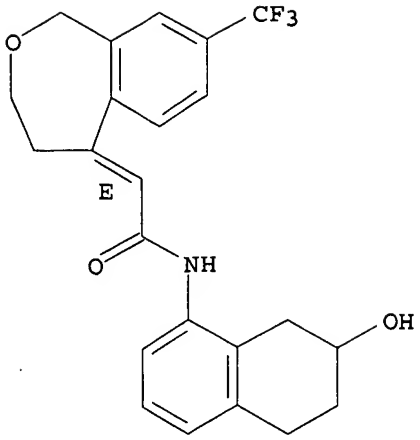
RN 920333-72-8 CAPLUS
CN Acetamide, 2-[3,3-difluoro-2,3-dihydro-7-(trifluoromethyl)-4H-1-benzopyran-4-ylidene]-N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-, (2E)- (CA INDEX NAME)

Double bond geometry as shown.



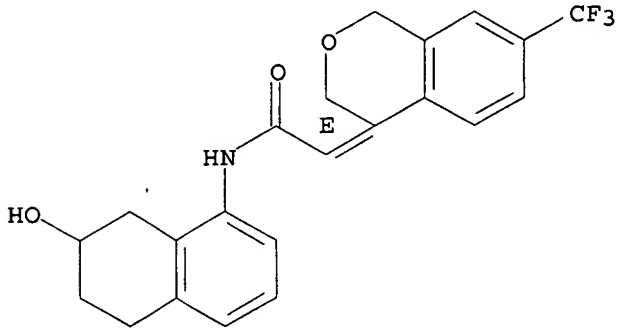
RN 920333-90-0 CAPLUS
CN Acetamide, 2-[3,4-dihydro-8-(trifluoromethyl)-2-benzoxepin-5(1H)-ylidene]-N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-, (2E)- (CA INDEX NAME)

Double bond geometry as shown.



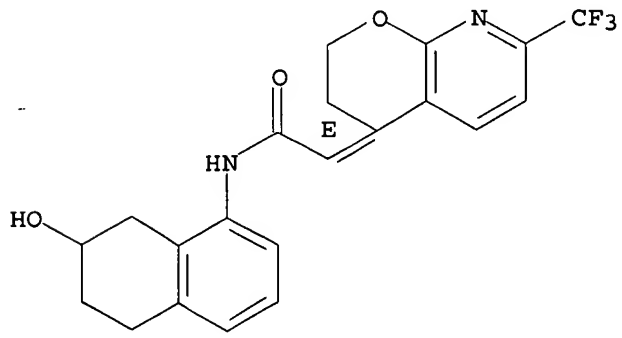
RN 920333-92-2 CAPLUS
CN Acetamide, N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-2-[7-(trifluoromethyl)-1H-2-benzopyran-4(3H)-ylidene]-, (2E)- (CA INDEX NAME)

Double bond geometry as described by E or Z.



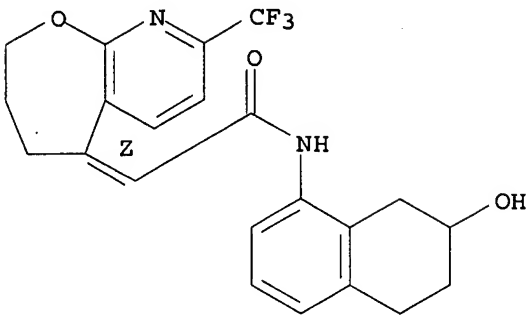
RN 920333-93-3 CAPLUS
CN Acetamide, 2-[2,3-dihydro-7-(trifluoromethyl)-4H-pyrano[2,3-b]pyridin-4-ylidene]-N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-, (2E)- (CA INDEX NAME)

Double bond geometry as described by E or Z.



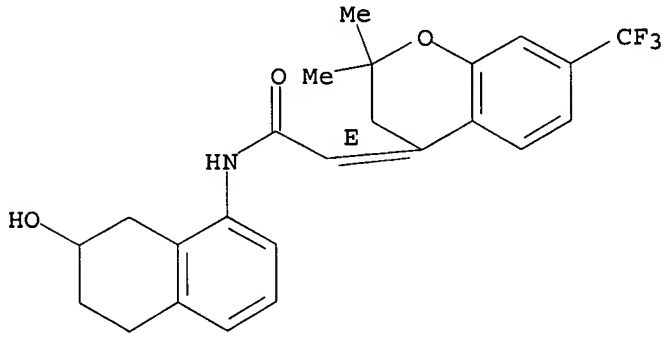
RN 920333-94-4 CAPLUS
CN Acetamide, 2-[3,4-dihydro-8-(trifluoromethyl)oxepino[2,3-b]pyridin-5(2H)-ylidene]-N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-, (2Z)- (CA INDEX NAME)

Double bond geometry as shown.



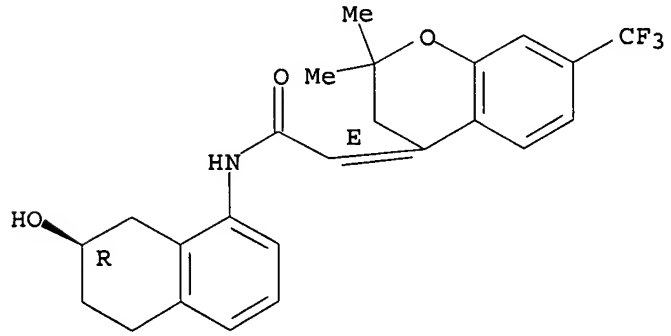
RN 920333-96-6 CAPLUS
CN Acetamide, 2-[2,3-dihydro-2,2-dimethyl-7-(trifluoromethyl)-4H-1-benzopyran-4-ylidene]-N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-, (2E)- (CA INDEX NAME)

Double bond geometry as described by E or Z.



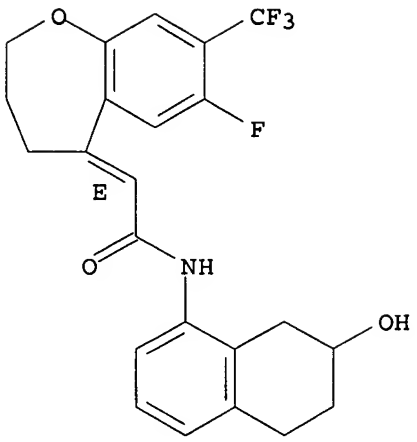
RN 920333-98-8 CAPLUS
CN Acetamide, 2-[2,3-dihydro-2,2-dimethyl-7-(trifluoromethyl)-4H-1-benzopyran-4-ylidene]-N-[(7R)-5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl]-, (2E)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as described by E or Z.



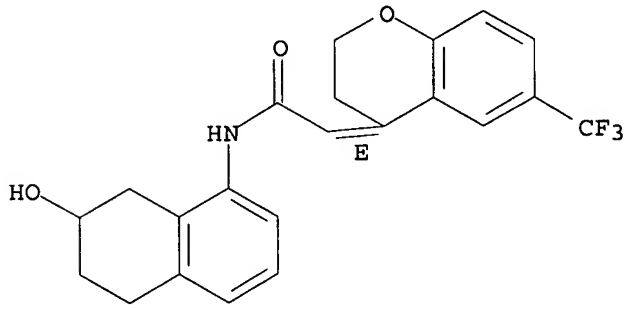
RN 920334-03-8 CAPLUS
CN Acetamide, 2-[7-fluoro-3,4-dihydro-8-(trifluoromethyl)-1-benzoxepin-5(2H)-ylidene]-N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-, (2E)- (CA INDEX NAME)

Double bond geometry as shown.



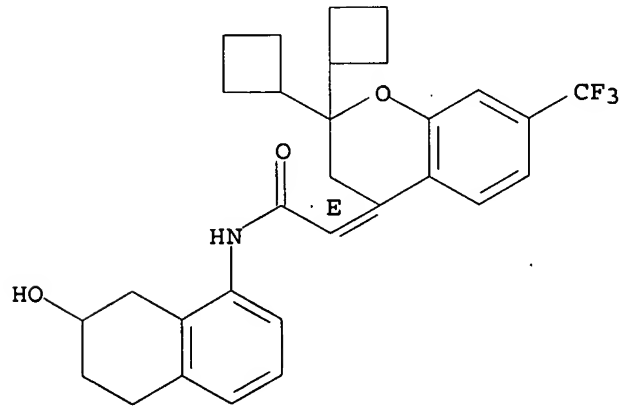
RN 920334-04-9 CAPLUS
CN Acetamide, 2-[2,3-dihydro-6-(trifluoromethyl)-4H-1-benzopyran-4-ylidene]-N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-, (2E)- (CA INDEX NAME)

Double bond geometry as described by E or Z.



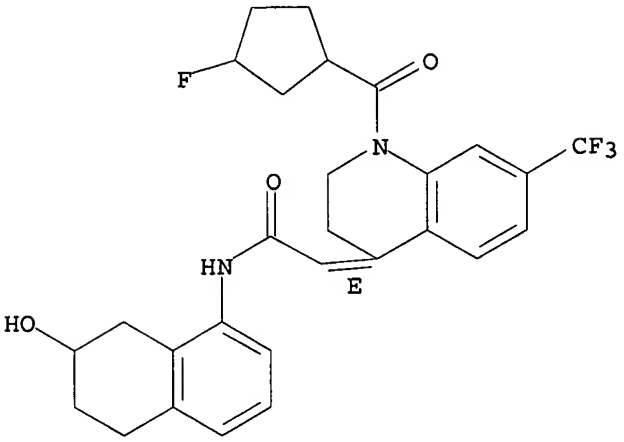
RN 920334-06-1 CAPLUS
CN Acetamide, 2-[2,2-dicyclobutyl-2,3-dihydro-7-(trifluoromethyl)-4H-1-benzopyran-4-ylidene]-N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-, (2E)- (CA INDEX NAME)

Double bond geometry as described by E or Z.



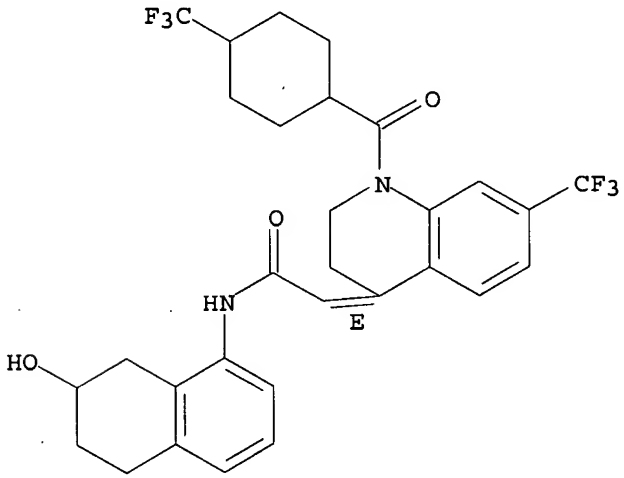
RN 920513-93-5 CAPLUS
CN Acetamide, 2-[1-[(3-fluorocyclopentyl)carbonyl]-2,3-dihydro-7-(trifluoromethyl)-4(1H)-quinolinylidene]-N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-, (2E)- (CA INDEX NAME)

Double bond geometry as described by E or Z.



RN 920513-94-6 CAPLUS
CN Acetamide, 2-[2,3-dihydro-7-(trifluoromethyl)-1-[[4-(trifluoromethyl)cyclohexyl]carbonyl]-4(1H)-quinolinylidene]-N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-, (2E)- (CA INDEX NAME)

Double bond geometry as described by E or Z.



RE.CNT 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:395257 CAPLUS
DN 142:447018
TI Preparation of tetrahydronaphthalene and urea derivatives as VR1 antagonists for the prophylaxis and treatment of diseases associated with VR1 activity, such as urological diseases, pain and inflammatory diseases
IN Bouchon, Axel; Diedrichs, Nicole; Hermann, Achim; Lustig, Klemens; Meier, Heinrich; Pernerstorfer, Josef; Reissmueller, Elke; Mogi, Muneto; Yura, Takeshi; Fujishima, Hiroshi; Seki, Masaomi; Koriyama, Yuji; Yasoshima, Kayo; Misawa, Keiko; Tajimi, Masaomi; Yamamoto, Noriyuki; Urbahns, Klaus; Hayashi, Fumihiko; Tsukimi, Yasuhiro; Gupta, Jang
PA Bayer Healthcare Ag, Germany
SO PCT Int. Appl., 149 pp.
CODEN: PIXXD2
DT Patent

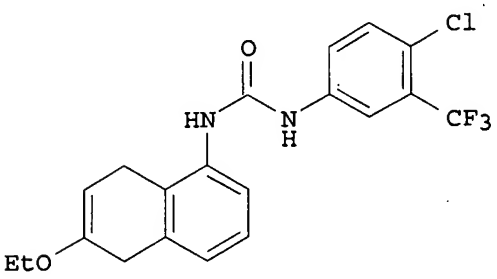
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005040100	A1	20050506	WO 2004-EP11008	20041002
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2542494	A1	20050506	CA 2004-2542494	20041002
	EP 1678123	A1	20060712	EP 2004-765763	20041002
	R: DE, ES, FR, GB, IT				
	JP 2007509846	T	20070419	JP 2006-534634	20041002
PRAI	EP 2003-23287	A	20031015		
	EP 2003-23288	A	20031015		
	EP 2003-25572	A	20031108		
	EP 2003-25573	A	20031108		
	WO 2004-EP11008	W	20041002		

OS CASREACT 142:447018; MARPAT 142:447018

GI



II

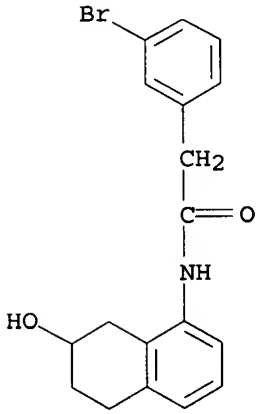
AB This invention relates to title compds. of formula A-NH-CO-E (I) [wherein A = 7-hydroxy-5,6,7,8-tetrahydronaphthalen-1-yl, 5,8-dihydrotetranaphthalen-1-yl; indan-4-yl, inden-4-yl, etc.; E =cycloalkyl optionally fused by aryl, (un)substituted Ph, hetero/aryl, NH-(CH2)n-R4, etc.; n = 0-6; R4 = (un)substituted aryl] and tautomeric or stereoisomers and salts thereof, which are useful as active ingredients of pharmaceutical prepns. I have been synthesized as VR1 antagonists, and can be used for the prophylaxis and treatment of diseases associated with VR1 activity, in particular for the treatment of urol. disorders or diseases, pain and inflammatory disorders or diseases. Thus, reacting (6-Ethoxy-5,8-dihydronaphthalen-1-yl)amine (preparation given) with 4-Chloro-3-trifluoromethylbenzene isocyanate gave II. The effects of the compds. were examined in the following several assays and pharmacol. tests: measurement of capsaicin-induced Ca2+ influx in a human VR1-transfected CHO cell line and in primary cultured rat dorsal root ganglia neurons, resp., measurement of capsaicin-induced bladder contraction, measurement of overactive bladder in anesthetized cystitis rats, measurement of acute pain, persistent pain, neuropathic pain, inflammatory pain and diabetic neuropathic pain (only the 1st assay had data). II showed an IC50 in the range of 0.1 to 0.6 μM in the 1st assay. Specifically disclosed applications of I include the treatment of detrusor overactivity (overactive bladder), urinary incontinence, neurogenic detrusor overactivity (detrusor hyperflexia), idiopathic detrusor overactivity (detrusor instability), benign prostatic hyperplasia, and lower urinary

tract symptoms; chronic pain, neuropathic pain, postoperative pain, rheumatoid arthritic pain, neuralgia, neuropathies, algesia, nerve injury, ischemia, neurodegeneration, stroke, and inflammatory disorders such as asthma and chronic obstructive pulmonary (or airways) disease (COPD).

IT 711016-23-8P 851266-68-7P, N'-[4-Chloro-3-(trifluoromethyl)phenyl]-N-(7-hydroxy-5,6,7,8-tetrahydronaphthalen-1-yl)glycinamide 851266-75-6P, N-((7R)-7-Hydroxy-5,6,7,8-tetrahydronaphthalen-1-yl)-N'-methyl-N'-[4-(trifluoromethoxy)phenyl]glycinamide 851266-76-7P, N-((7R)-7-Hydroxy-5,6,7,8-tetrahydronaphthalen-1-yl)-N'-[4-(trifluoromethoxy)phenyl]glycinamide 851266-79-0P, N-((7R)-7-Hydroxy-5,6,7,8-tetrahydronaphthalen-1-yl)-2-[4-(trifluoromethyl)phenyl]acetamide 851266-80-3P, N-((7R)-7-Hydroxy-5,6,7,8-tetrahydronaphthalen-1-yl)-3-[4-(trifluoromethyl)phenyl]propanamide 851266-81-4P, N-((7R)-7-Hydroxy-5,6,7,8-tetrahydronaphthalen-1-yl)-2-[4-(trifluoromethoxy)phenyl]acetamide 851266-82-5P, 2-(4-Chlorophenoxy)-N-((7R)-7-hydroxy-5,6,7,8-tetrahydronaphthalen-1-yl)acetamide 851266-83-6P, 2-(2,4-Difluorophenoxy)-N-((7R)-7-hydroxy-5,6,7,8-tetrahydronaphthalen-1-yl)acetamide 851266-84-7P, 2-[2-Chloro-4-(trifluoromethyl)phenoxy]-N-((7R)-7-hydroxy-5,6,7,8-tetrahydronaphthalen-1-yl)acetamide 851266-85-8P, N-((7R)-7-Hydroxy-5,6,7,8-tetrahydronaphthalen-1-yl)-2-[4-(trifluoromethyl)phenoxy]acetamide 851266-86-9P, N-((7R)-7-Hydroxy-5,6,7,8-tetrahydronaphthalen-1-yl)-2-[4-(trifluoromethoxy)phenoxy]acetamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of tetrahydronaphthalene and urea derivs. as VR1 antagonists)

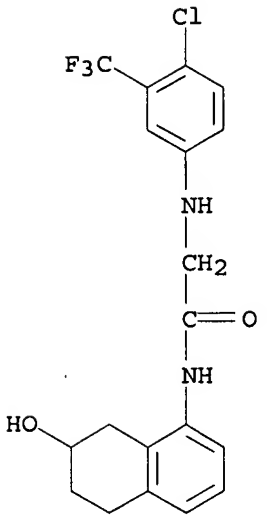
RN 711016-23-8 CAPLUS

CN Benzeneacetamide, 3-bromo-N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)



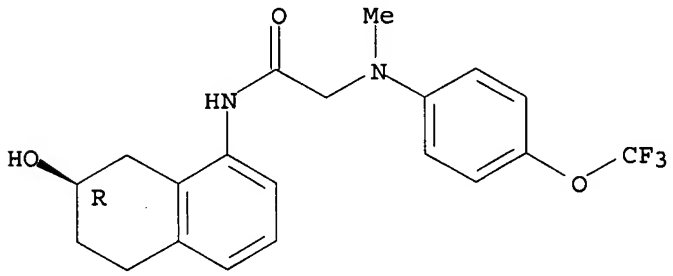
RN 851266-68-7 CAPLUS

CN Acetamide, 2-[[4-chloro-3-(trifluoromethyl)phenyl]amino]-N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)



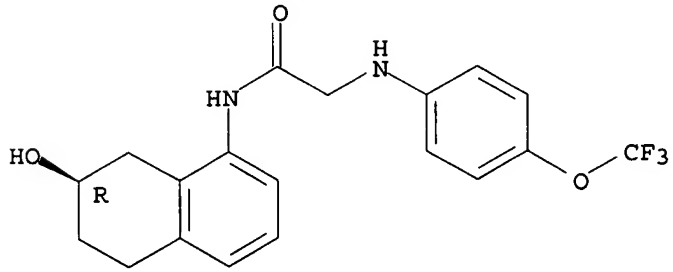
RN 851266-75-6 CAPLUS
CN Acetamide, 2-[methyl[4-(trifluoromethoxy)phenyl]amino]-N-[(7R)-5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl]- (CA INDEX NAME)

Absolute stereochemistry.



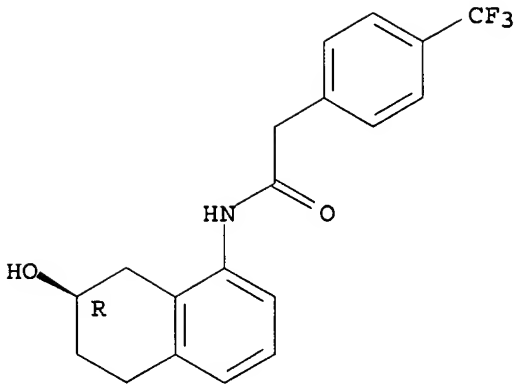
RN 851266-76-7 CAPLUS
CN Acetamide, N-[(7R)-5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl]-2-[[4-(trifluoromethoxy)phenyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



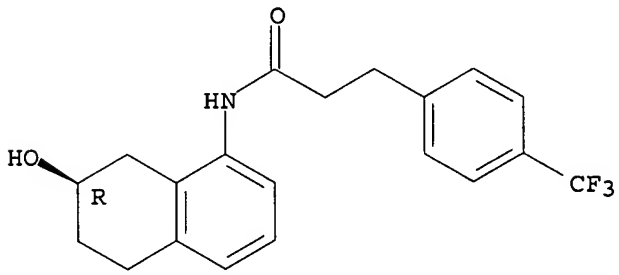
RN 851266-79-0 CAPLUS
CN Benzeneacetamide, N-[(7R)-5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl]-4-(trifluoromethyl)- (CA INDEX NAME)

Absolute stereochemistry.



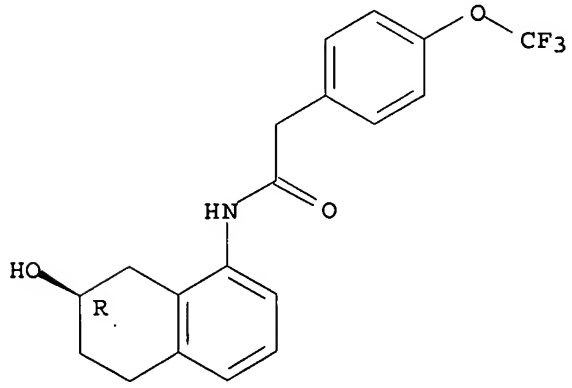
RN 851266-80-3 CAPLUS
CN Benzenepropanamide, N-[(7R)-5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl]-4-(trifluoromethyl)- (CA INDEX NAME)

Absolute stereochemistry.



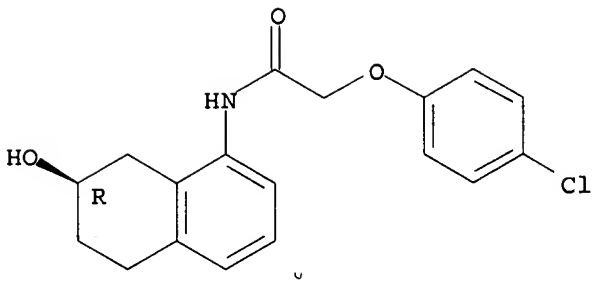
RN 851266-81-4 CAPLUS
CN Benzeneacetamide, N-[(7R)-5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl]-4-(trifluoromethoxy)- (CA INDEX NAME)

Absolute stereochemistry.



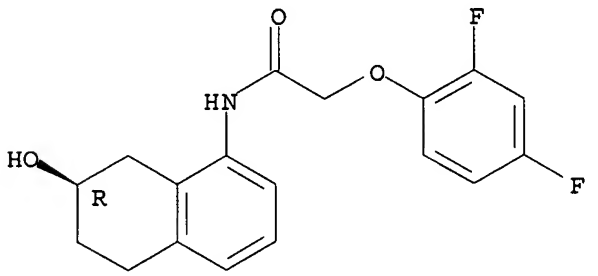
RN 851266-82-5 CAPLUS
CN Acetamide, 2-(4-chlorophenoxy)-N-[(7R)-5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl]- (CA INDEX NAME)

Absolute stereochemistry.



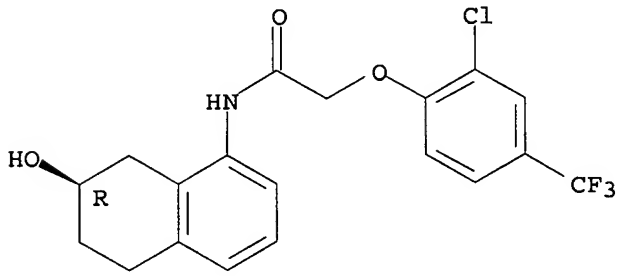
RN 851266-83-6 CAPLUS
CN Acetamide, 2-(2,4-difluorophenoxy)-N-[(7R)-5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl]- (CA INDEX NAME)

Absolute stereochemistry.



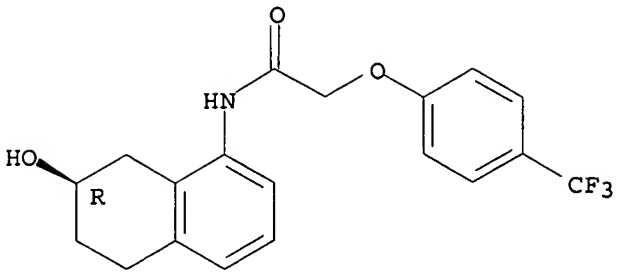
RN 851266-84-7 CAPLUS
CN Acetamide, 2-[2-chloro-4-(trifluoromethyl)phenoxy]-N-[(7R)-5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl]- (CA INDEX NAME)

Absolute stereochemistry.



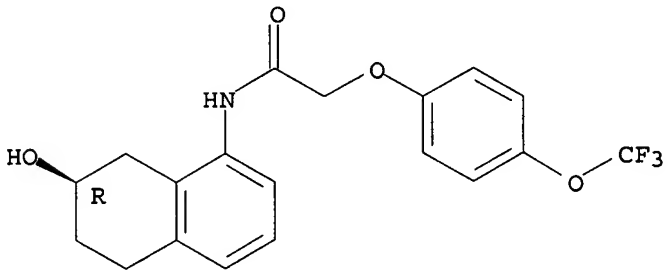
RN 851266-85-8 CAPLUS
CN Acetamide, N-[(7R)-5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl]-2-[4-(trifluoromethyl)phenoxy]- (CA INDEX NAME)

Absolute stereochemistry.

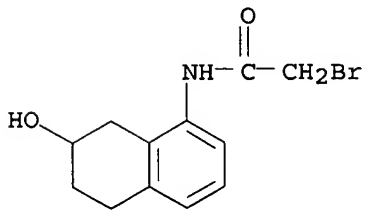


RN 851266-86-9 CAPLUS
CN Acetamide, N-[(7R)-5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl]-2-[4-(trifluoromethoxy)phenoxy]- (CA INDEX NAME)

Absolute stereochemistry.

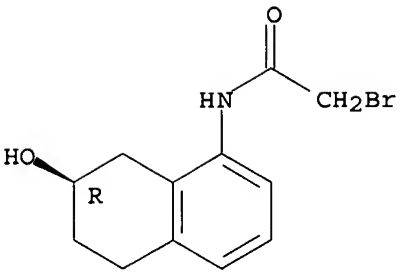


IT 851266-66-5P, 2-Bromo-N-(7-hydroxy-5,6,7,8-tetrahydronaphthalen-1-yl)acetamide 851266-71-2P, 2-Bromo-N-((7R)-7-hydroxy-5,6,7,8-tetrahydronaphthalen-1-yl)acetamide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of tetrahydronaphthalene and urea derivs. as VR1 antagonists)
RN 851266-66-5 CAPLUS
CN Acetamide, 2-bromo-N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)



RN 851266-71-2 CAPLUS
CN Acetamide, 2-bromo-N-[(7R)-5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl]- (CA INDEX NAME)

Absolute stereochemistry.

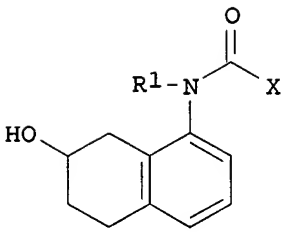


RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:515474 CAPLUS
DN 141:71359
TI Preparation of tetrahydronaphthalene derivatives as vaniloid receptor antagonists
IN Tajimi, Masaomi; Kokubo, Toshio; Shiroo, Masahiro; Tsukimi, Yasuhiro; Yura, Takeshi; Urbahns, Klaus; Yamamoto, Noriyuki; Mogi, Muneto; Fujishima, Hiroshi; Masuda, Tsutomu; Yoshida, Nagahiro; Moriwaki, Toshiya
PA Bayer Healthcare Ag, Germany
SO PCT Int. Appl., 81 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004052846	A1	20040624	WO 2003-EP13453	20031128
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2508618	A1	20040624	CA 2003-2508618	20031128
	AU 2003294748	A1	20040630	AU 2003-294748	20031128
	EP 1569896	A1	20050907	EP 2003-785688	20031128
	EP 1569896	B1	20070815		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	JP 2006509018	T	20060316	JP 2004-557951	20031128
	AT 370118	T	20070915	AT 2003-785688	20031128
	US 2006128704	A1	20060615	US 2005-537482	20051118
PRAI	EP 2002-27523	A	20021206		
	WO 2003-EP13453	W	20031128		

OS MARPAT 141:71359
GI



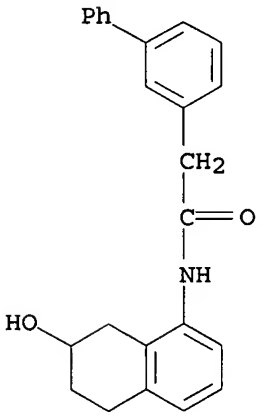
I

AB The title compds. I [R1 = H, alkyl; X = biphenyl, etc.] are prepared The tetrahydronaphthalene derivs. of the present invention have excellent activity as VR1 antagonists and are useful for the prophylaxis and treatment of diseases associated with VR1 activity, in particular for the treatment of urinary incontinence, overactive bladder, chronic pain, neuropathic pain, postoperative pain, etc. The bioactivity of I was demonstrated.

IT 711016-20-5P 711016-21-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tetrahydronaphthalene derivs. as vaniloid receptor antagonists)

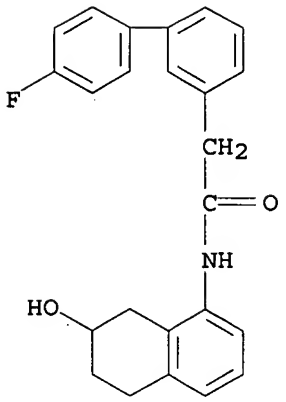
RN 711016-20-5 CAPLUS

CN [1,1'-Biphenyl]-3-acetamide, N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

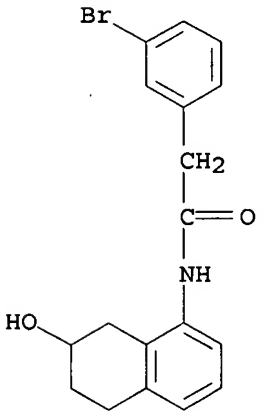


RN 711016-21-6 CAPLUS

CN [1,1'-Biphenyl]-3-acetamide, 4'-fluoro-N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)



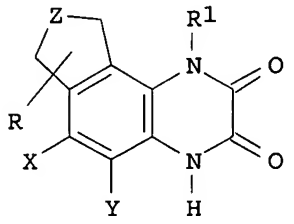
IT 711016-23-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of tetrahydronaphthalene derivs. as vaniloid receptor antagonists)
RN 711016-23-8 CAPLUS
CN Benzeneacetamide, 3-bromo-N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)



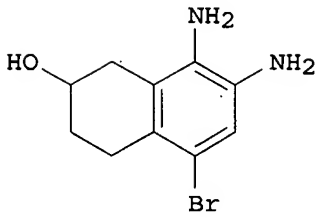
L4 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1998:146695 CAPLUS
DN 128:192670
TI Preparation of fused cycloalkylquinoxalinediones as glutamate receptor antagonists
IN Bigge, Christopher Franklin; Retz, Daniel Martin
PA Warner-Lambert Co., USA
SO U.S., 40 pp., Cont.-in-part of U.S. Ser. No. 350,765, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5721234	A	19980224	US 1995-534526	19951023
	WO 9617832	A1	19960613	WO 1995-US14571	19951107
	W: AU, CA, CZ, EE, HU, JP, LT, LV, MX, NZ, PL, RO, RU, SI, SK				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	AU 9641522	A	19960626	AU 1996-41522	19951107
	ZA 9510375	A	19960613	ZA 1995-10375	19951206

PRAI US 1994-350765 B2 19941207
US 1995-534526 A 19951023
WO 1995-US14571 W 19951107
OS MARPAT 128:192670
GI

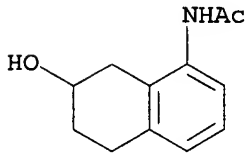


I



II

AB Title compds. [I; R = Z1R2; R1 = H, alkyl, aralkyl; X, Y = H, halo, NO2, etc.; R2 = H, alkyl, alkoxy, aryl, etc.; Z = (CH2)1-3; Z1 = O, CO, CH2, (alkyl)imino, etc.], useful in treatment of anxiety, cerebral ischemia, Parkinsonism and epilepsy, were prepared Cyclization of naphthalenol II with (CO2H)2 gave I [R = 9-OH; R1 = Y = H; X = Br; Z = CH2CH2] which showed IC50 of 17 μM against AMPA.
IT 179266-27-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of fused cycloalkylquinoxalinediones as glutamate receptor antagonists)
RN 179266-27-4 CAPLUS
CN Acetamide, N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

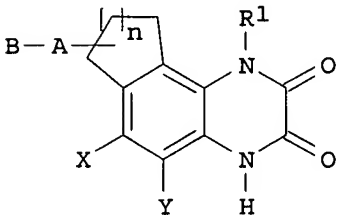


RE.CNT 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

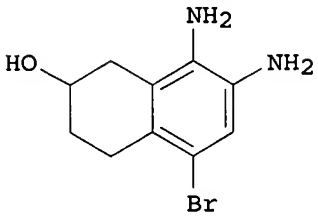
L4 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1996:464552 CAPLUS
DN 125:114711
TI Preparation of fused cycloalkylquinoxalinediones as glutamate receptor antagonists
IN Bigge, Christopher Franklin; Retz, Daniel Martin
PA Warner-Lambert Company, USA
SO PCT Int. Appl., 139 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9617832	A1	19960613	WO 1995-US14571	19951107
	W: AU, CA, CZ, EE, HU, JP, LT, LV, MX, NZ, PL, RO, RU, SI, SK				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	US 5721234	A	19980224	US 1995-534526	19951023
	AU 9641522	A	19960626	AU 1996-41522	19951107
PRAI	US 1994-350765	A	19941207		

US 1995-534526 A 19951023
WO 1995-US14571 W 19951107
OS CASREACT 125:114711; MARPAT 125:114711
GI



I



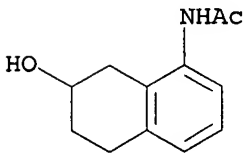
II

AB The title compds. [I; R1 = H, alkyl, arylalkyl; X, Y = H, halo, NO2, etc.; A = O, (substituted) NH, CN, etc.; when A = CO then B = OH, alkoxy, araloxy, etc.; n = 1-3], useful in treatment of anxiety, cerebral ischemia, Parkinsonism and epilepsy, were prepared Cyclization od naphthalenol II with oxalic acid in 2N HCl at 90° afforded I [R1 = H; X = Br; Y = H; A = 9-OH; n = 2] which showed IC50 of 17 μM against AMPA.

IT 179266-27-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of fused cycloalkylquinoxalinediones as glutamate receptor antagonists)

RN 179266-27-4 CAPLUS

CN Acetamide, N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)



=>

---Logging off of STN---

=>
Executing the logoff script...

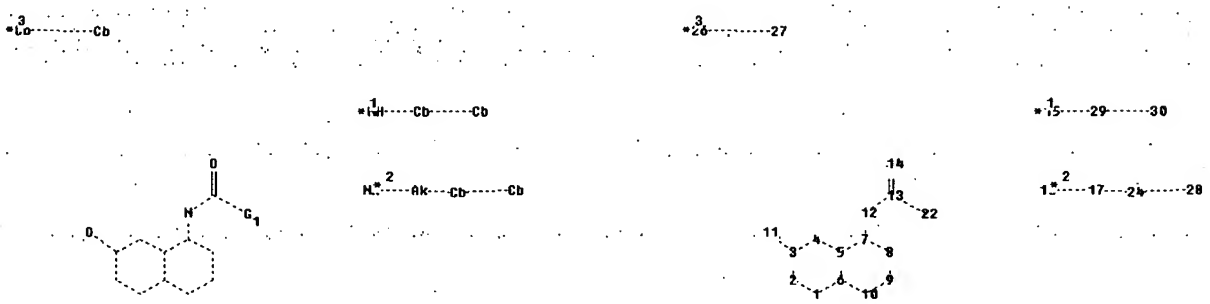
=> LOG Y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	32.09	204.40
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-4.68	-4.68

STN INTERNATIONAL LOGOFF AT 18:02:03 ON 06 DEC 2007

******* QUERY RESULTS *******

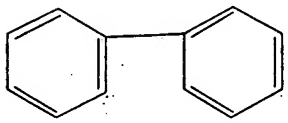
```
=> d his l9

     (FILE 'HCAPLUS' ENTERED AT 16:21:29 ON 26 NOV 2007)
L9             6 S L6

=> d que l9
L1               STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation:

Uploading L8.str
```




```
chain nodes :
11  12  13  14  15  16  17  22  24  26  27  28  29  30
ring nodes :
1  2  3  4  5  6  7  8  9  10
chain bonds :
3-11  7-12  12-13  13-14  13-22  15-29  16-17  17-24  24-28  26-27  29-30
ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6  5-7  6-10  7-8  8-9  9-10
exact/norm bonds :
1-2  1-6  2-3  3-4  3-11  4-5  5-6  5-7  6-10  7-8  7-12  8-9  9-10  12-13  13-14
13-22  15-29  16-17  17-24  24-28  26-27  29-30
isolated ring systems :
containing 1 :


G1:[*1],[*2],[*3]

G2

Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:Atom
11:CLASS  12:CLASS  13:CLASS  14:CLASS  15:CLASS  16:CLASS  17:CLASS  22:CLASS
24:CLASS  26:Atom
27:Atom  28:Atom  29:Atom  30:Atom
Generic attributes :
24:
Number of Carbon Atoms : less than 7
Type of Ring System    : Monocyclic
26:
Number of Carbon Atoms : less than 7
```

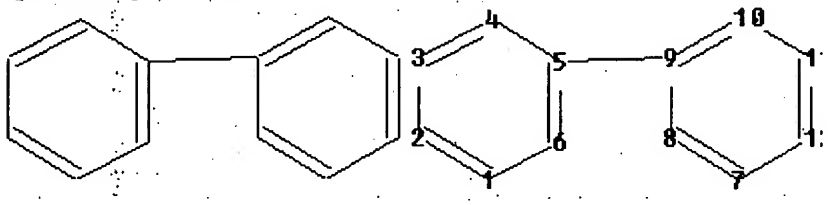
Type of Ring System : Monocyclic
27:
Number of Carbon Atoms : less than 7
Type of Ring System : Monocyclic
28:
Number of Carbon Atoms : less than 7
Type of Ring System : Monocyclic
29:
Number of Carbon Atoms : less than 7
Type of Ring System : Monocyclic
30:
Number of Carbon Atoms : less than 7
Type of Ring System : Monocyclic

L3 106 SEA FILE=REGISTRY SSS FUL L1
L4 STR



Structure attributes must be viewed using STN Express query preparation

Uploading L4.str



ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12
chain bonds :
5-9
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12
exact bonds :
5-9
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12
isolated ring systems :
containing 1 : 7 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom

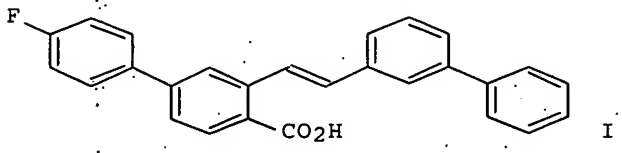
L6 105 SEA FILE=REGISTRY SUB=L3 SSS FUL L4
L9 6 SEA FILE=HCAPLUS ABB=ON PLU=ON L6

=> d l9 ibib ed abs hitstr hitind 1-6

L9 ANSWER 1 OF 6 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2007:61322 HCAPLUS Full-text
DOCUMENT NUMBER: 146:162916
TITLE: Preparation of biphenyl carboxylic acid derivatives as apoptosis promoters
INVENTOR(S): Wendt, Michael D.; Shen, Wang; Dickman, Daniel A.; Ding, Hong; Thomas, Sheela A.; Augeri, David; Elmore, Steven W.
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: PCT Int. Appl., 69pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007008627	A2	20070118	WO 2006-US26424	20060707
WO 2007008627	A3	20070315		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 2007135392	A1	20070614	US 2006-482458	20060707

PRIORITY APPLN. INFO.: US 2005-697123P P 20050707
OTHER SOURCE(S): MARPAT 146:162916
ED Entered STN: 19 Jan 2007
GI



I

AB Title compds. represented by the formula 1-A1-3-B1-6-C1-benzene [I: wherein A1 = (un)substituted alkyl, alkoxy, sulfonylamino, etc.; B1 = independently F, Br, Cl or I; C1 = CN, CO2OH, sulfonylalkyl, etc.; and pharmaceutically

acceptable salts thereof] were prepared as apoptosis promoters. For example, II was provided in a multi-step synthesis starting from the coupling reaction of Me 4-chloro-2-methoxybenzoate with 4-fluorobenzeneboronic acid. The invention compds. demonstrated the utility as binders to and inhibitors of anti-apoptotic Mcl-1 protein. Thus, I and their pharmaceutical compns. are useful as apoptosis promoters for the treatment of cancers.

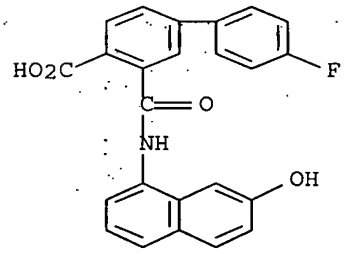
IT **920747-30-4P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of biphenyl carboxylic acid derivs. as apoptosis promoters)

RN 920747-30-4 HCAPLUS

CN [1,1'-Biphenyl]-4-carboxylic acid, 4'-fluoro-3-[[(7-hydroxy-1-naphthalenyl)amino]carbonyl]- (CA INDEX NAME)



CC 25-17 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
Section cross-reference(s): 1, 63

IT

920746-13-0P	920746-14-1P	920746-15-2P	920746-16-3P	920746-17-4P
920746-18-5P	920746-19-6P	920746-20-9P	920746-21-0P	920746-22-1P
920746-23-2P	920746-24-3P	920746-25-4P	920746-26-5P	920746-27-6P
920746-28-7P	920746-29-8P	920746-30-1P	920746-31-2P	920746-33-4P
920746-35-6P	920746-37-8P	920746-40-3P	920746-43-6P	920746-45-8P
920746-47-0P	920746-49-2P	920746-52-7P	920746-54-9P	920746-57-2P
920746-58-3P	920746-60-7P	920746-61-8P	920746-63-0P	920746-64-1P
920746-65-2P	920746-66-3P	920746-67-4P	920746-68-5P	920746-69-6P
920746-72-1P	920746-75-4P	920746-78-7P	920746-81-2P	920746-83-4P
920746-84-5P	920746-85-6P	920746-86-7P	920746-87-8P	920746-88-9P
920746-90-3P	920746-91-4P	920746-92-5P	920746-93-6P	920746-94-7P
920746-95-8P	920746-96-9P	920746-97-0P	920746-98-1P	920746-99-2P
920747-00-8P	920747-01-9P	920747-02-0P	920747-03-1P	920747-04-2P
920747-05-3P	920747-06-4P	920747-07-5P	920747-08-6P	920747-09-7P
920747-10-0P	920747-11-1P	920747-12-2P	920747-13-3P	920747-14-4P
920747-15-5P	920747-16-6P	920747-17-7P	920747-18-8P	920747-19-9P
920747-20-2P	920747-21-3P	920747-22-4P	920747-23-5P	920747-24-6P
920747-25-7P	920747-26-8P	920747-27-9P	920747-28-0P	920747-29-1P
920747-30-4P	920747-31-5P	920747-32-6P	920747-33-7P	
920747-34-8P	920747-35-9P	920747-36-0P	920747-37-1P	920747-38-2P
920747-39-3P	920747-40-6P	920747-41-7P	920747-42-8P	920747-43-9P
920747-44-0P	920747-45-1P	920747-46-2P	920747-47-3P	920747-48-4P
920747-49-5P	920747-50-8P	920747-51-9P	920747-52-0P	920747-53-1P
920747-54-2P	920747-55-3P	920747-56-4P	920747-57-5P	920747-58-6P
920747-59-7P	920747-60-0P	920747-61-1P	920747-62-2P	920747-63-3P
920747-64-4P	920747-65-5P	920747-66-6P	920747-67-7P	920747-68-8P
920747-69-9P	920747-70-2P	920747-71-3P	920747-72-4P	920747-73-5P
920747-74-6P	920747-75-7P	920747-76-8P	920747-77-9P	920747-78-0P
920747-79-1P	920747-80-4P	920747-81-5P	920747-82-6P	920747-83-7P

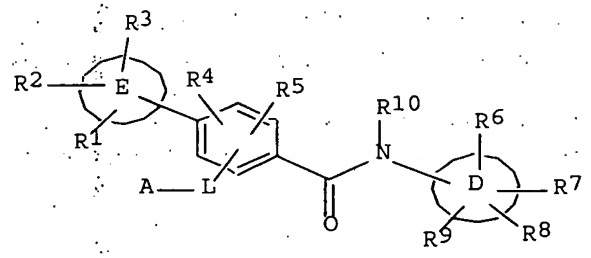
920747-84-8P 920747-85-9P 920747-86-0P 920747-87-1P 920747-88-2P
920747-89-3P 920747-90-6P 920747-91-7P 920747-92-8P 920747-93-9P
920747-94-0P 920747-95-1P 920747-96-2P 920747-97-3P 920747-98-4P
920747-99-5P 920748-00-1P 920748-01-2P 920748-02-3P 920748-03-4P
920748-04-5P 920748-05-6P 920748-06-7P 920748-07-8P 920748-08-9P
920748-09-0P 920748-10-3P 920748-11-4P 920748-12-5P 920748-13-6P
920748-14-7P 920748-15-8P 920748-17-0P 920748-19-2P 920748-21-6P
920748-23-8P 920748-25-0P 920748-27-2P 920748-29-4P 920748-32-9P
920748-34-1P 920748-37-4P 920748-39-6P 920748-41-0P 920748-43-2P
920748-46-5P 920748-48-7P 920748-50-1P 920748-52-3P 920748-53-4P
920748-54-5P 920748-55-6P 920748-56-7P 920748-57-8P 920748-58-9P
920748-59-0P 920748-60-3P 920748-61-4P 920748-62-5P 920748-63-6P
920748-64-7P 920748-65-8P 920748-66-9P 920748-67-0P 920748-68-1P
920748-69-2P 920748-70-5P 920748-71-6P 920748-72-7P 920748-73-8P
920748-74-9P 920748-75-0P 920748-76-1P 920748-77-2P 920748-78-3P
920748-79-4P 920748-80-7P 920748-81-8P 920748-82-9P 920748-83-0P
920748-84-1P 920748-85-2P 920748-87-4P 920748-88-5P 920749-56-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of biphenyl carboxylic acid derivs. as apoptosis promoters)

L9 ANSWER 2 OF 6 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:1127322 HCAPLUS Full-text
DOCUMENT NUMBER: 142:74358
TITLE: Preparation of benzamide derivatives as capsaicin receptor VR1 activation inhibitors
INVENTOR(S): Kuramochi, Takahiro; Asai, Norio; Ikegai, Kazuhiro; Akamatsu, Seijiro; Harada, Hironori; Ishikawa, Noriko; Shirakami, Shohei; Miyamoto, Satoshi; Watanabe, Toshihiro; Kiso, Tetsuo
PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 100 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

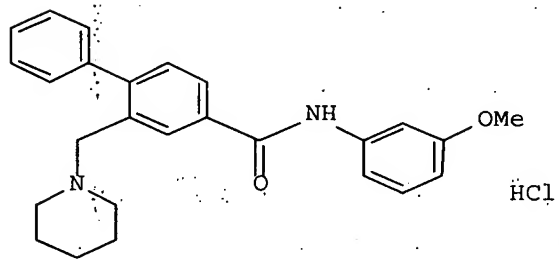
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004110986	A1	20041223	WO 2004-JP8479	20040610
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004247559	A1	20041223	AU 2004-247559	20040610
CA 2526387	A1	20041223	CA 2004-2526387	20040610
EP 1632477	A1	20060308	EP 2004-736576	20040610
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
CN 1805923	A	20060719	CN 2004-80016215	20040610
BR 2004011083	A	20060725	BR 2004-11083	20040610

MX 2005PA13434	A	20060317	MX 2005-PA13434		20051209
US 2007167444	A1	20070719	US 2005-560282		20051212
NO 2006000167	A	20060310	NO 2006-167		20060111
PRIORITY APPLN. INFO.:			JP 2003-167865	A	20030612
			JP 2003-405086	A	20031203
			WO 2004-JP8479	W	20040610

OTHER SOURCE(S): MARPAT 142:74358
ED Entered STN: 24 Dec 2004
GI



I

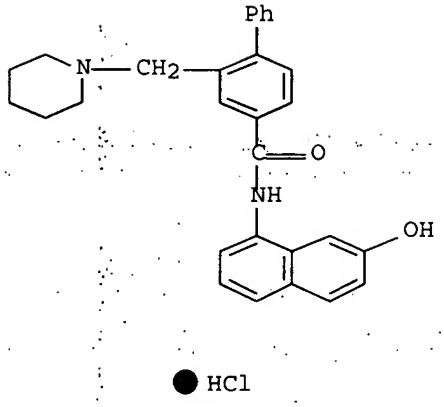


II

AB Tittle compds. I [A = NR11R12, etc.; R11, R12 = H, halo, etc.; L = alkylene; ring D, E = mono- or dicyclic hydrocarbon ring, etc.; R1-R9 = H, halo, etc.; R10 = H, alkyl] were prepared For example, HBTU-mediated acylation of 3-methoxyaniline with 2-(piperidin-1-ylmethyl)biphenyl-4- carboxylic acid followed by treatment with HCl afforded compound II. In VR1 receptor binding assays, compound II exhibited the IC50 value of ≤1 μM. Compds. I are claimed useful as VR1 activation inhibitors for the treatment of pains.

IT **813421-19-1P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzamide derivs. as capsaicin receptor VR1 activation inhibitors for treatment of pains)

RN 813421-19-1 HCAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, N-(7-hydroxy-1-naphthalenyl)-2-(1-piperidinylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



IC ICM C07C237-40
ICS C07D215-38; C07D217-22; C07D263-58; C07D265-36; C07D277-68; C07D295-14; C07D417-12; A61K031-167; A61K031-428; A61K031-4453; A61K031-454; A61K031-4709; A61K031-4725; A61K031-496; A61K031-5377; A61K031-538; A61K031-55; A61P001-04; A61P011-00

CC 25-19 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
Section cross-reference(s): 1

IT 813420-14-3P 813420-20-1P 813420-24-5P 813420-27-8P 813420-29-0P
813420-31-4P 813420-35-8P 813420-39-2P 813420-42-7P 813420-45-0P
813420-48-3P 813420-51-8P 813420-54-1P 813420-56-3P 813420-59-6P
813420-62-1P 813420-64-3P 813420-66-5P 813420-69-8P 813420-70-1P
813420-72-3P 813420-73-4P 813420-74-5P 813420-75-6P 813420-76-7P
813420-77-8P 813420-79-0P 813420-81-4P 813420-82-5P 813420-83-6P
813420-85-8P 813420-86-9P 813420-87-0P 813420-88-1P 813420-90-5P
813420-91-6P 813420-92-7P 813420-95-0P 813420-96-1P 813420-98-3P
813420-99-4P 813421-00-0P 813421-01-1P 813421-02-2P 813421-03-3P
813421-04-4P 813421-05-5P 813421-06-6P 813421-07-7P 813421-08-8P
813421-09-9P 813421-10-2P 813421-11-3P 813421-12-4P 813421-13-5P
813421-14-6P 813421-15-7P 813421-16-8P 813421-17-9P 813421-18-0P
813421-19-1P 813421-20-4P 813421-21-5P 813421-22-6P
813421-23-7P 813421-24-8P 813421-25-9P 813421-26-0P 813421-27-1P
813421-28-2P 813421-29-3P 813421-30-6P 813421-31-7P 813421-32-8P
813421-33-9P 813421-34-0P 813421-35-1P 813421-36-2P 813421-37-3P
813421-38-4P 813421-39-5P 813421-40-8P 813421-41-9P 813421-42-0P
813421-43-1P 813421-44-2P 813421-45-3P 813421-46-4P 813421-47-5P
813421-48-6P 813421-49-7P 813421-50-0P 813421-51-1P 813421-52-2P
813421-54-4P 813421-56-6P 813421-58-8P 813421-60-2P 813421-61-3P
813421-62-4P 813421-63-5P 813421-64-6P 813421-65-7P 813421-66-8P
813421-67-9P 813421-68-0P 813421-69-1P 813421-70-4P 813421-71-5P
813421-72-6P 813421-73-7P 813421-74-8P 813421-75-9P 813421-76-0P
813421-77-1P 813421-78-2P 813421-79-3P 813421-80-6P 813421-81-7P
813421-82-8P 813421-84-0P 813421-85-1P, 2-[(4-Methyl-1,3'-bipiperidin-1'-yl)methyl]-N-(2-methyl-3-oxo-3,4-dihydro-2H-1,4-benzoxazin-6-yl)biphenyl-4-carboxamide 813421-86-2P 813421-87-3P, 2-[[Ethyl(2-hydroxy-2-methylpropyl)amino]methyl]-N-(2-methyl-3-oxo-3,4-dihydro-2H-1,4-benzoxazin-6-yl)biphenyl-4-carboxamide 813421-88-4P
813421-89-5P 813421-90-8P 813421-91-9P 813421-93-1P 813421-95-3P
813421-97-5P 813421-99-7P 813422-01-4P 813422-04-7P 813422-05-8P
813422-06-9P 813422-07-0P 813422-08-1P 813422-09-2P 813422-11-6P
813422-12-7P 813422-14-9P 813422-15-0P 813422-16-1P 813422-17-2P
813422-18-3P 813422-19-4P 813422-20-7P 813422-21-8P 813422-22-9P
813422-23-0P 813422-24-1P 813422-25-2P 813422-26-3P 813422-27-4P

813422-28-5P 813422-29-6P 813422-30-9P 813422-31-0P 813422-32-1P
813422-34-3P 813422-35-4P 813422-36-5P 813422-37-6P 813422-38-7P
813422-39-8P 813422-40-1P 813422-41-2P 813422-42-3P 813422-44-5P
813422-45-6P 813422-46-7P 813422-47-8P 813422-48-9P 813422-50-3P
813422-51-4P 813422-52-5P 813422-53-6P 813422-54-7P 813422-55-8P
813422-56-9P 813422-57-0P 813422-58-1P 813422-59-2P 813422-61-6P
813422-63-8P 813422-65-0P 813422-66-1P 813422-67-2P 813422-68-3P
813422-69-4P 813422-70-7P 813422-71-8P 813422-72-9P 813422-74-1P
813422-75-2P 813422-76-3P 813422-78-5P 813422-79-6P 813422-80-9P
813422-81-0P 813422-82-1P 813422-83-2P 813422-84-3P 813422-85-4P
813422-86-5P 813422-87-6P 813422-88-7P 813422-89-8P 813422-90-1P
813422-91-2P 813422-92-3P 813422-93-4P 813422-94-5P 813422-95-6P
813422-96-7P 813422-97-8P 813422-98-9P 813422-99-0P 813423-00-6P
813423-01-7P 813423-02-8P 813423-03-9P 813423-04-0P 813423-05-1P
813423-06-2P 813423-07-3P 813423-08-4P 813423-09-5P 813423-10-8P
813423-11-9P 813423-12-0P 813423-13-1P 813423-14-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzamide derivs. as capsaicin receptor VR1 activation inhibitors for treatment of pains)

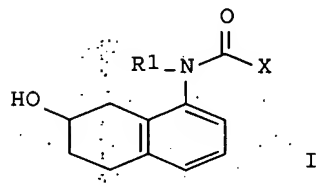
REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 3 OF 6 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:515474 HCAPLUS Full-text
DOCUMENT NUMBER: 141:71359
TITLE: Preparation of tetrahydronaphthalene derivatives as vaniloid receptor antagonists
INVENTOR(S): Tajimi, Masaomi; Kokubo, Toshio; Shiroo, Masahiro; Tsukimi, Yasuhiro; Yura, Takeshi; Urbahns, Klaus; Yamamoto, Noriyuki; Mogi, Muneto; Fujishima, Hiroshi; Masuda, Tsutomu; Yoshida, Nagahiro; Moriwaki, Toshiya
PATENT ASSIGNEE(S): Bayer Healthcare Ag, Germany
SOURCE: PCT Int. Appl., 81 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004052846	A1	20040624	WO 2003-EP13453	20031128
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2508618	A1	20040624	CA 2003-2508618	20031128
AU 2003294748	A1	20040630	AU 2003-294748	20031128
EP 1569896	A1	20050907	EP 2003-785688	20031128
EP 1569896	B1	20070815		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				

JP 2006509018	T	20060316	JP 2004-557951		20031128
AT 370118	T	20070915	AT 2003-785688		20031128
US 2006128704	A1	20060615	US 2005-537482		20051118
PRIORITY APPLN. INFO.:			EP 2002-27523	A	20021206
			WO 2003-EP13453	W	20031128

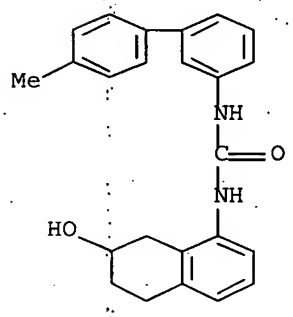
OTHER SOURCE(S): MARPAT 141:71359
ED Entered STN: 27 Jun 2004
GI



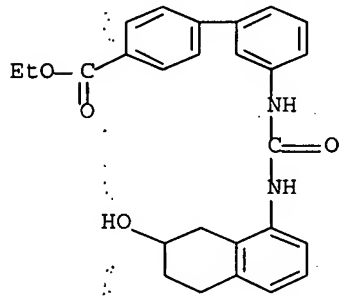
AB The title compds. I [R1 = H, alkyl; X = biphenyl, etc.] are prepared The tetrahydronaphthalene derivs. of the present invention have excellent activity as VR1 antagonists and are useful for the prophylaxis and treatment of diseases associated with VR1 activity, in particular for the treatment of urinary incontinence, overactive bladder, chronic pain, neuropathic pain, postoperative pain, etc. The bioactivity of I was demonstrated.

IT **711015-38-2P 711015-39-3P 711015-40-6P**
711015-41-7P 711015-43-9P 711015-44-0P
711015-45-1P 711015-46-2P 711015-47-3P
711015-48-4P 711015-49-5P 711015-50-8P
711015-51-9P 711015-52-0P 711015-53-1P
711015-54-2P 711015-55-3P 711015-56-4P
711015-57-5P 711015-58-6P 711015-59-7P
711015-60-0P 711015-61-1P 711015-62-2P
711015-63-3P 711015-64-4P 711015-65-5P
711015-66-6P 711015-67-7P 711015-68-8P
711015-69-9P 711015-70-2P 711015-71-3P
711015-72-4P 711015-73-5P 711015-74-6P
711015-75-7P 711015-76-8P 711015-77-9P
711015-78-0P 711015-79-1P 711015-80-4P
711015-81-5P 711015-82-6P 711015-83-7P
711015-84-8P 711015-85-9P 711015-86-0P
711015-87-1P 711015-88-2P 711015-89-3P
711015-90-6P 711015-91-7P 711015-92-8P
711015-93-9P 711015-94-0P 711015-95-1P
711015-96-2P 711015-97-3P 711015-98-4P
711015-99-5P 711016-00-1P 711016-01-2P
711016-02-3P 711016-03-4P 711016-04-5P
711016-05-6P 711016-06-7P 711016-07-8P
711016-08-9P 711016-09-0P 711016-10-3P
711016-11-4P 711016-12-5P 711016-14-7P
711016-15-8P 711016-16-9P 711016-17-0P
711016-18-1P 711016-19-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tetrahydronaphthalene derivs. as vaniloid receptor antagonists)

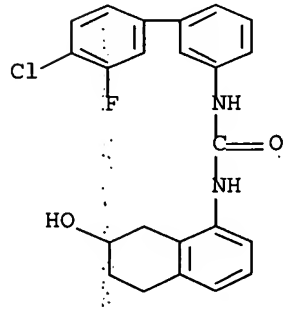
RN 711015-38-2 HCAPLUS
CN Urea, N-(4'-methyl[1,1'-biphenyl]-3-yl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)



RN 711015-39-3 HCAPLUS
CN [1,1'-Biphenyl]-4-carboxylic acid, 3'-[[[(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)amino]carbonyl]amino]-, ethyl ester (CA INDEX NAME)

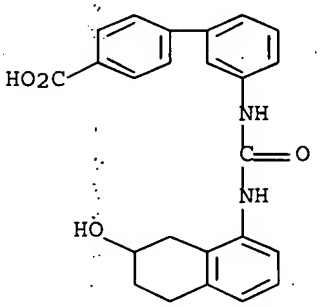


RN 711015-40-6 HCAPLUS
CN Urea, N-(4'-chloro-3'-fluoro[1,1'-biphenyl]-3-yl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)



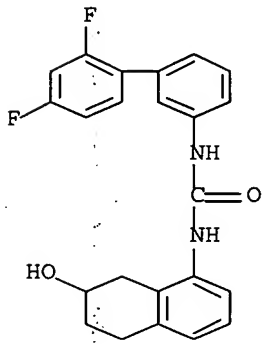
RN 711015-41-7 HCAPLUS

CN [1,1'-Biphenyl]-4-carboxylic acid, 3'-[[[(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)amino]carbonyl]amino]- (CA INDEX NAME)



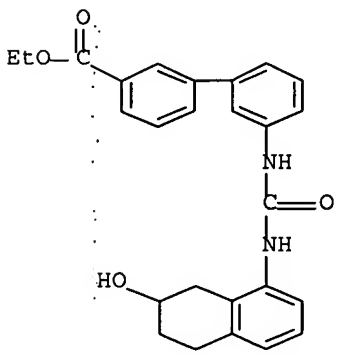
RN 711015-43-9 HCAPLUS

CN Urea, N-(2',4'-difluoro[1,1'-biphenyl]-3-yl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

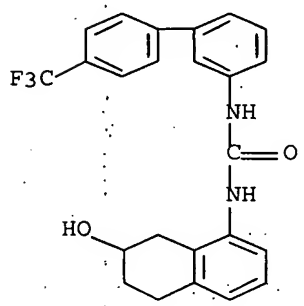


RN 711015-44-0 HCAPLUS

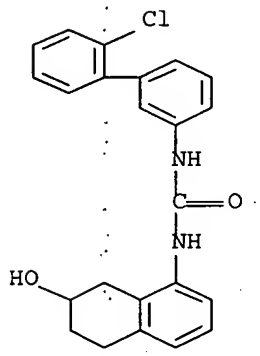
CN [1,1'-Biphenyl]-3-carboxylic acid, 3'-[[[(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)amino]carbonyl]amino]-, ethyl ester (CA INDEX NAME)



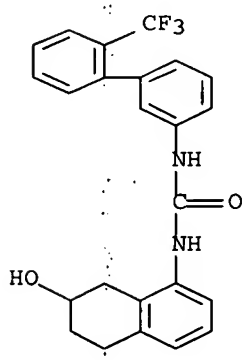
RN 711015-45-1 HCAPLUS
CN Urea, N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-N'-[4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]- (CA INDEX NAME)



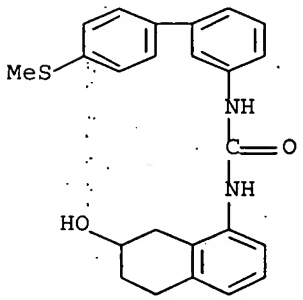
RN 711015-46-2 HCAPLUS
CN Urea, N-(2'-chloro[1,1'-biphenyl]-3-yl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)



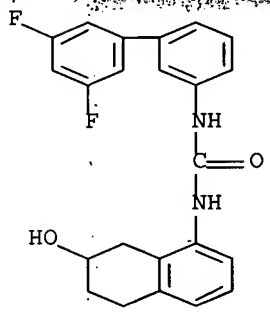
RN 711015-47-3 HCAPLUS
CN Urea, N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-N'-[2'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]- (CA INDEX NAME)



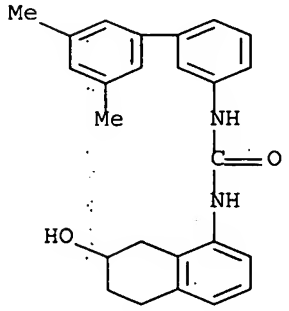
RN 711015-48-4 HCAPLUS
CN Urea, N-[4'-(methylthio)[1,1'-biphenyl]-3-yl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)



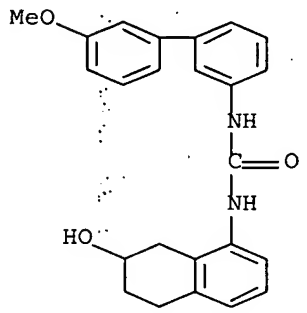
RN 711015-49-5 HCAPLUS
CN Urea, N-(3',5'-difluoro[1,1'-biphenyl]-3-yl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)



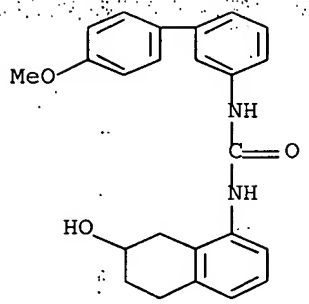
RN 711015-50-8 HCAPLUS
CN Urea, N-(3',5'-dimethyl[1,1'-biphenyl]-3-yl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)



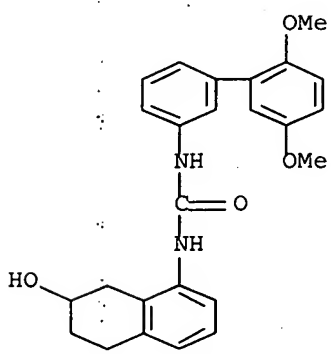
RN 711015-51-9 HCAPLUS
CN Urea, N-(3'-methoxy[1,1'-biphenyl]-3-yl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)



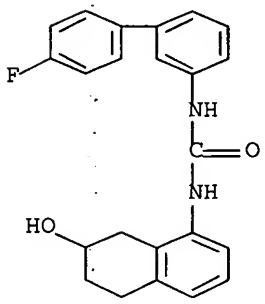
RN 711015-52-0 HCAPLUS
CN Urea, N-(4'-methoxy[1,1'-biphenyl]-3-yl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)



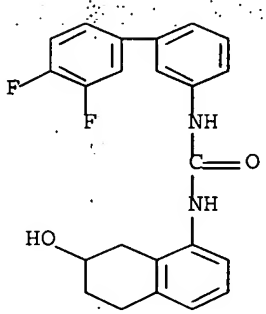
RN 711015-53-1 HCAPLUS
CN Urea, N-(2',5'-dimethoxy[1,1'-biphenyl]-3-yl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)



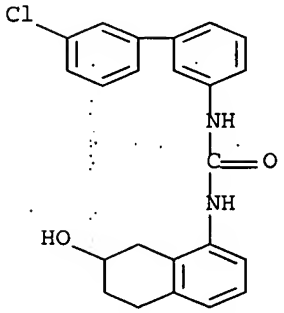
RN 711015-54-2 HCAPLUS
CN Urea, N-(4'-fluoro[1,1'-biphenyl]-3-yl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)



RN 711015-55-3 HCAPLUS
CN Urea, N-(3',4'-difluoro[1,1'-biphenyl]-3-yl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

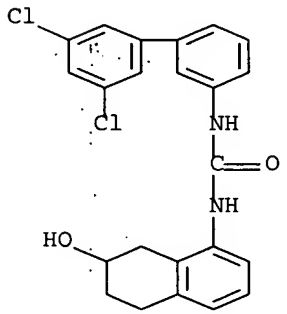


RN 711015-56-4 HCAPLUS
CN Urea, N-(3'-chloro[1,1'-biphenyl]-3-yl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)



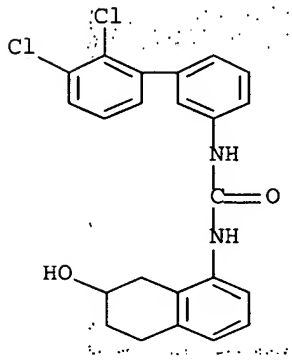
RN 711015-57-5 HCAPLUS

CN Urea, N-(3',5'-dichloro[1,1'-biphenyl]-3-yl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)



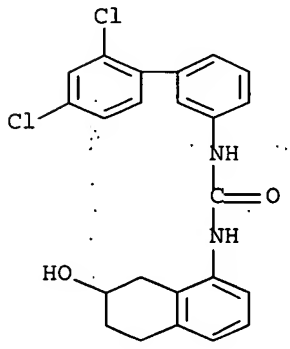
RN 711015-58-6 HCAPLUS

CN Urea, N-(2',3'-dichloro[1,1'-biphenyl]-3-yl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

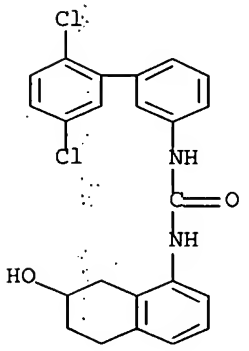


RN 711015-59-7 HCAPLUS

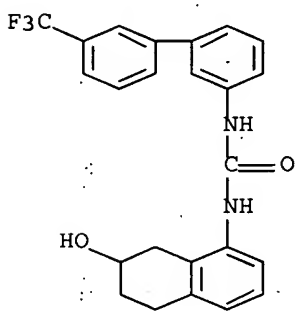
CN Urea, N-(2',4'-dichloro[1,1'-biphenyl]-3-yl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)



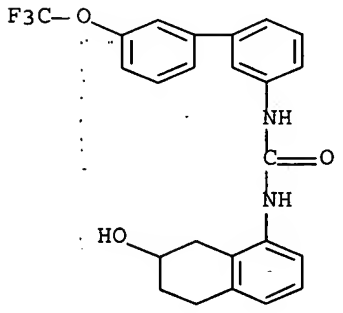
RN 711015-60-0 HCAPLUS
CN Urea, N-(2',5'-dichloro[1,1'-biphenyl]-3-yl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)



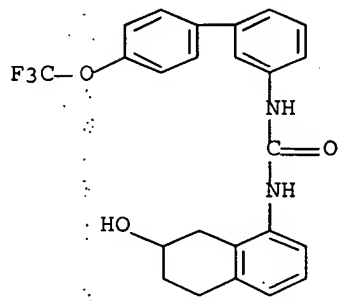
RN 711015-61-1 HCAPLUS
CN Urea, N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-N'-[3'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]- (CA INDEX NAME)



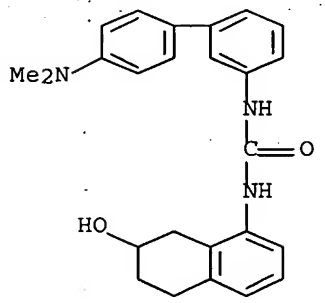
RN 711015-62-2 HCAPLUS
CN Urea, N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-N'-[3'-(trifluoromethoxy)[1,1'-biphenyl]-3-yl]- (CA INDEX NAME)



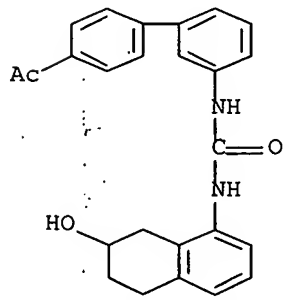
RN 711015-63-3 HCAPLUS
CN Urea, N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-N'-[4'-(trifluoromethoxy)[1,1'-biphenyl]-3-yl]- (CA INDEX NAME)



RN 711015-64-4 HCAPLUS
CN Urea, N-[4'-(dimethylamino)[1,1'-biphenyl]-3-yl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

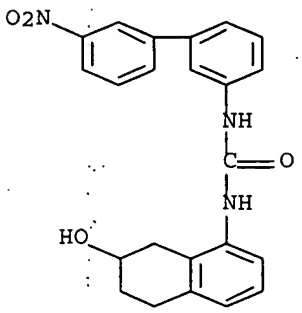


RN 711015-65-5 HCAPLUS
CN Urea, N-(4'-acetyl[1,1'-biphenyl]-3-yl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)



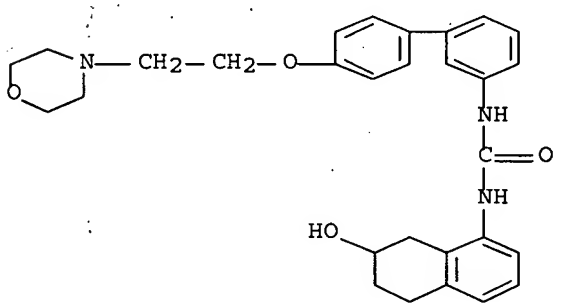
RN 711015-66-6 HCAPLUS

CN Urea, N-(3'-nitro[1,1'-biphenyl]-3-yl)-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)



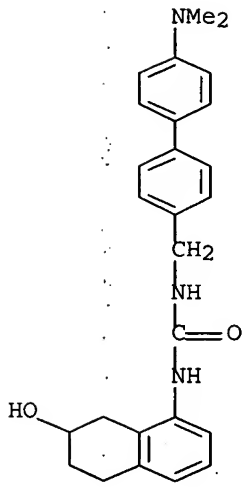
RN 711015-67-7 HCAPLUS

CN Urea, N-[4'-[2-(4-morpholinyl)ethoxy][1,1'-biphenyl]-3-yl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

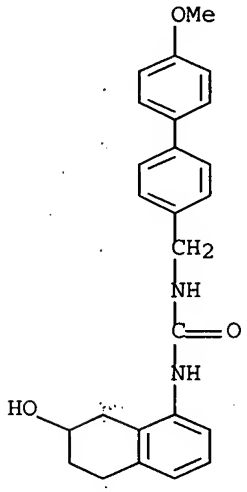


RN 711015-68-8 HCAPLUS

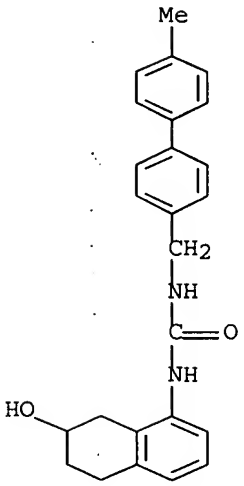
CN Urea, N-[[4'-(dimethylamino)[1,1'-biphenyl]-4-yl]methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)



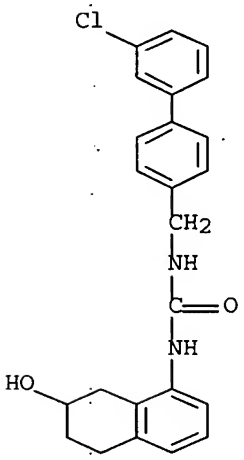
RN 711015-69-9 HCAPLUS
CN Urea, N-[(4'-methoxy[1,1'-biphenyl]-4-yl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)



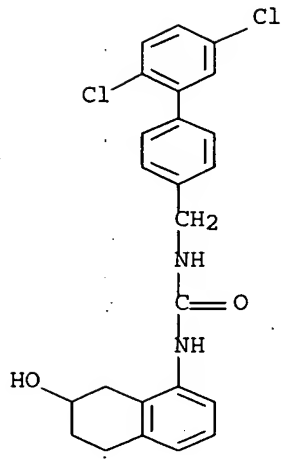
RN 711015-70-2 HCAPLUS
CN Urea, N-[(4'-methyl[1,1'-biphenyl]-4-yl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)



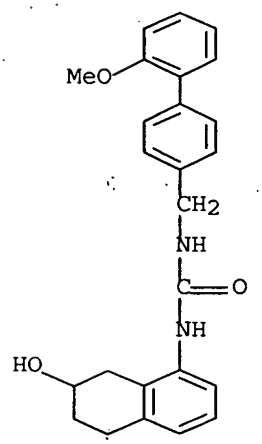
RN 711015-71-3 HCAPLUS
CN Urea, N-[(3'-chloro[1,1'-biphenyl]-4-yl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)



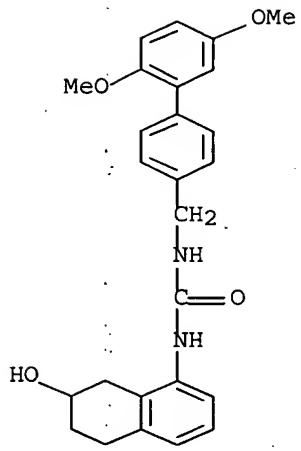
RN 711015-72-4 HCAPLUS
CN Urea, N-[(2',5'-dichloro[1,1'-biphenyl]-4-yl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)



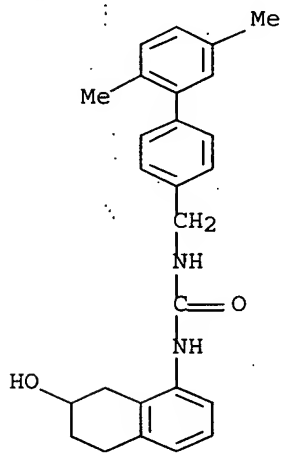
RN 711015-73-5 HCAPLUS
CN Urea, N-[(2'-methoxy[1,1'-biphenyl]-4-yl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)



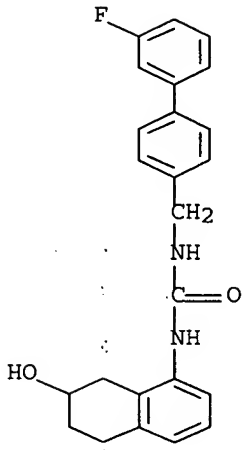
RN 711015-74-6 HCAPLUS
CN Urea, N-[(2',5'-dimethoxy[1,1'-biphenyl]-4-yl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)



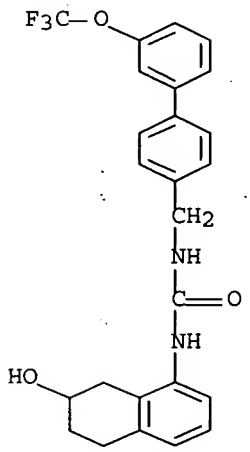
RN 711015-75-7 HCAPLUS
CN Urea, N-[(2',5'-dimethyl[1,1'-biphenyl]-4-yl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)



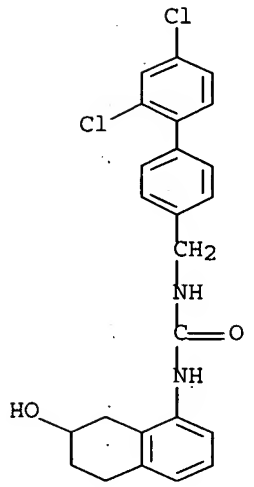
RN 711015-76-8 HCAPLUS
CN Urea, N-[(3'-fluoro[1,1'-biphenyl]-4-yl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)



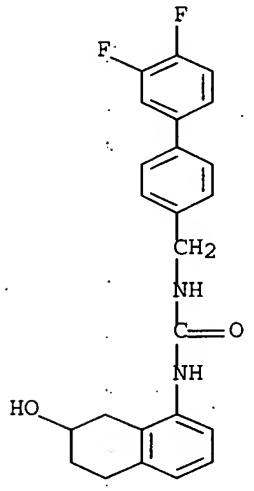
RN 711015-77-9 HCAPLUS
CN Urea, N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-N'-[[3'-(trifluoromethoxy)[1,1'-biphenyl]-4-yl]methyl]- (CA INDEX NAME)



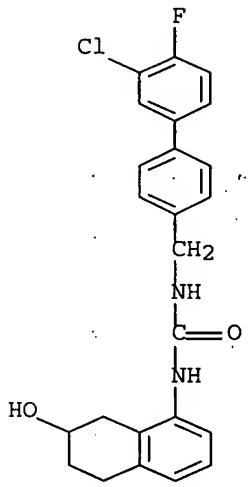
RN 711015-78-0 HCAPLUS
CN Urea, N-[(2',4'-dichloro[1,1'-biphenyl]-4-yl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)



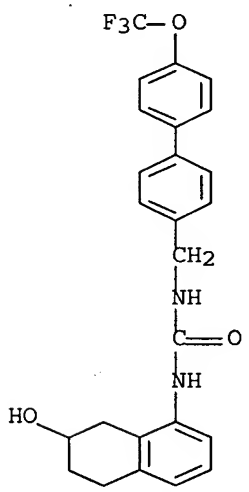
RN 711015-79-1 HCAPLUS
CN Urea, N-[(3',4'-difluoro[1,1'-biphenyl]-4-yl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)



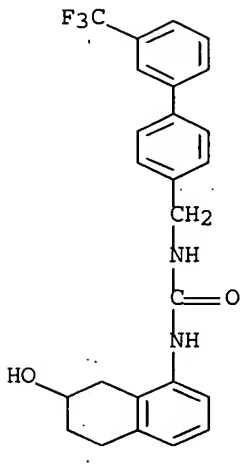
RN 711015-80-4 HCAPLUS
CN Urea, N-[(3'-chloro-4'-fluoro[1,1'-biphenyl]-4-yl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)



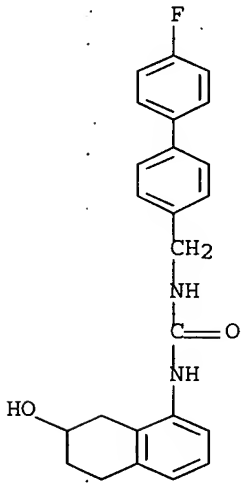
RN 711015-81-5 HCAPLUS
CN Urea, N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-N'-[[4'-(trifluoromethoxy)[1,1'-biphenyl]-4-yl]methyl]- (CA INDEX NAME)



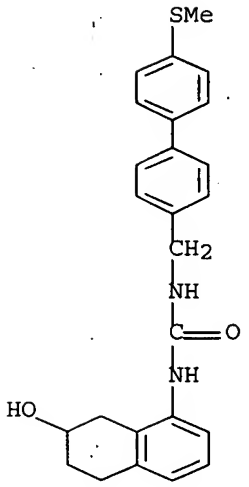
RN 711015-82-6 HCAPLUS
CN Urea, N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-N'-[[3'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]methyl]- (CA INDEX NAME)



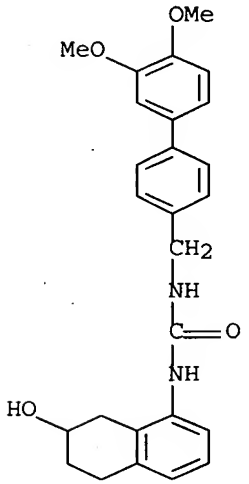
RN 711015-83-7 HCAPLUS
CN Urea, N-[(4'-fluoro[1,1'-biphenyl]-4-yl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)



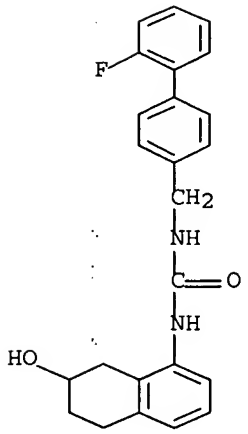
RN 711015-84-8 HCAPLUS
CN Urea, N-[[4'-(methylthio)[1,1'-biphenyl]-4-yl]methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)



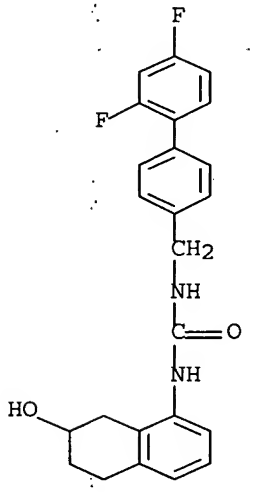
RN 711015-85-9 HCAPLUS
CN Urea, N-[(3',4'-dimethoxy[1,1'-biphenyl]-4-yl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)



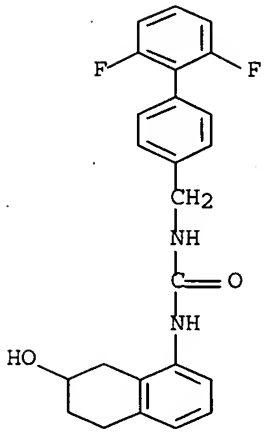
RN 711015-86-0 HCAPLUS
CN Urea, N-[(2'-fluoro[1,1'-biphenyl]-4-yl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)



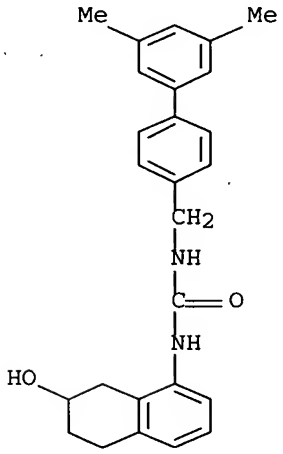
RN 711015-87-1 HCAPLUS
CN Urea, N-[(2',4'-difluoro[1,1'-biphenyl]-4-yl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)



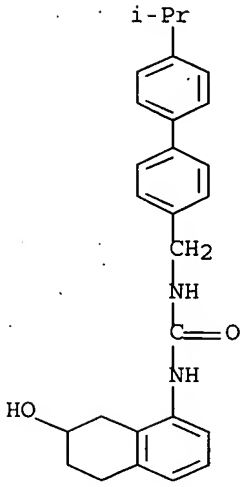
RN 711015-88-2 HCAPLUS
CN Urea, N-[(2',6'-difluoro[1,1'-biphenyl]-4-yl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)



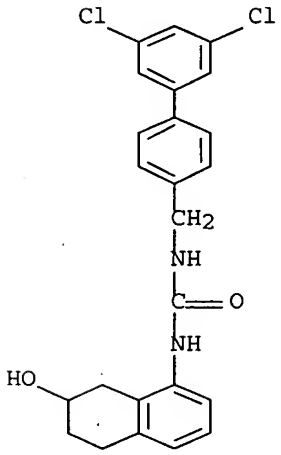
RN 711015-89-3 HCAPLUS
CN Urea, N-[(3',5'-dimethyl[1,1'-biphenyl]-4-yl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)



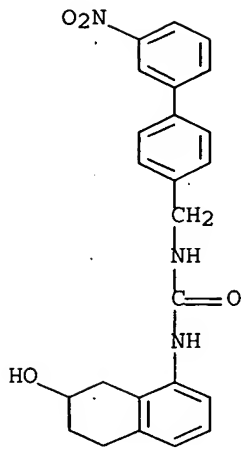
RN 711015-90-6 HCAPLUS
CN Urea, N-[[4'-(1-methylethyl)[1,1'-biphenyl]-4-yl]methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)



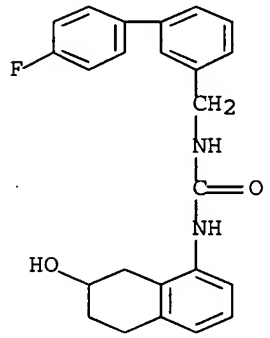
RN 711015-91-7 HCAPLUS
CN Urea, N-[(3',5'-dichloro[1,1'-biphenyl]-4-yl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)



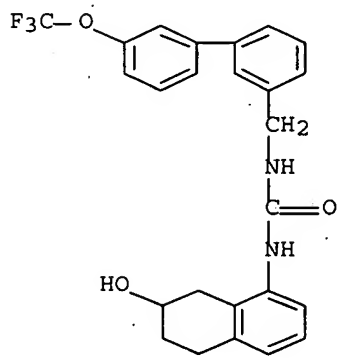
RN 711015-92-8 HCAPLUS
CN Urea, N-[(3'-nitro[1,1'-biphenyl]-4-yl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)



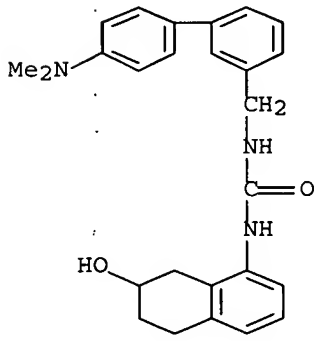
RN 711015-93-9 HCAPLUS
CN Urea, N-[(4'-fluoro[1,1'-biphenyl]-3-yl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)



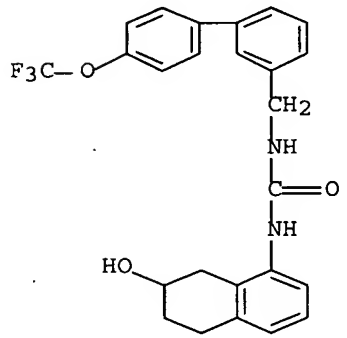
RN 711015-94-0 HCAPLUS
CN Urea, N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-N'-[[3'-(trifluoromethoxy)[1,1'-biphenyl]-3-yl]methyl]- (CA INDEX NAME)



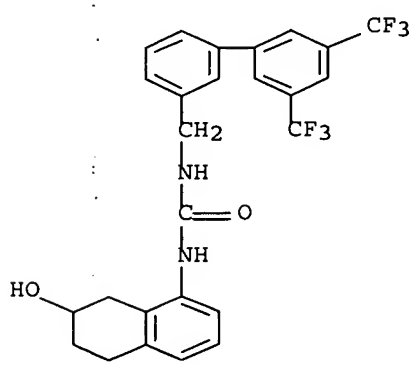
RN 711015-95-1 HCAPLUS
CN Urea, N-[[4'-(dimethylamino)[1,1'-biphenyl]-3-yl]methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)



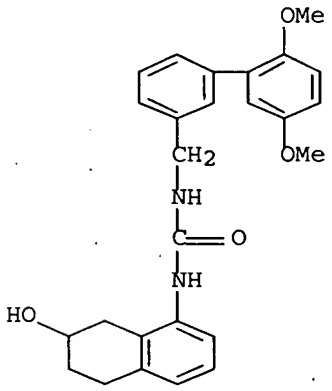
RN 711015-96-2 HCAPLUS
CN Urea, N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-N'-[[4'-(trifluoromethoxy)[1,1'-biphenyl]-3-yl]methyl]- (CA INDEX NAME)



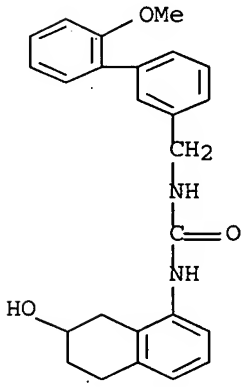
RN 711015-97-3 HCAPLUS
CN Urea, N-[[3',5'-bis(trifluoromethyl)[1,1'-biphenyl]-3-yl]methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)



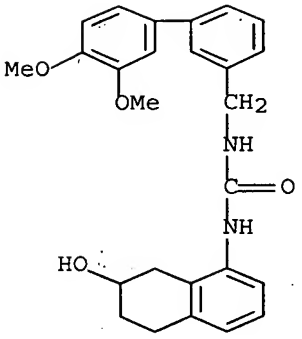
RN 711015-98-4 HCAPLUS
CN Urea, N-[(2',5'-dimethoxy[1,1'-biphenyl]-3-yl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)



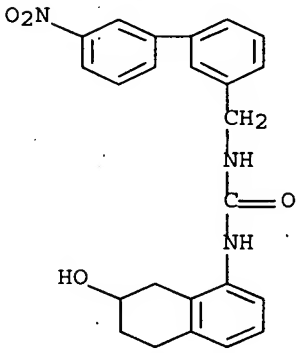
RN 711015-99-5 HCAPLUS
CN Urea, N-[(2'-methoxy[1,1'-biphenyl]-3-yl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)



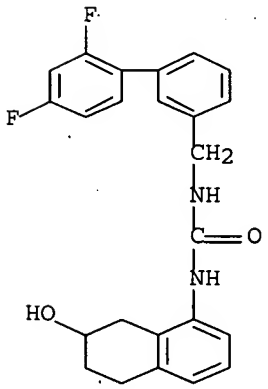
RN 711016-00-1 HCAPLUS
CN Urea, N-[(3',4'-dimethoxy[1,1'-biphenyl]-3-yl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)



RN 711016-01-2 HCAPLUS
CN Urea, N-[(3'-nitro[1,1'-biphenyl]-3-yl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

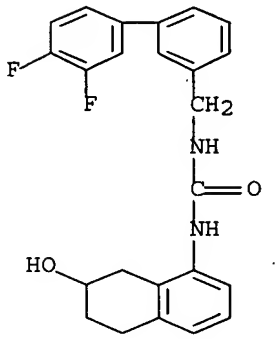


RN 711016-02-3 HCAPLUS
CN Urea, N-[(2',4'-difluoro[1,1'-biphenyl]-3-yl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)



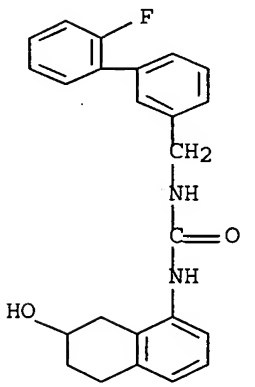
RN 711016-03-4 HCAPLUS

CN Urea, N-[(3',4'-difluoro[1,1'-biphenyl]-3-yl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)



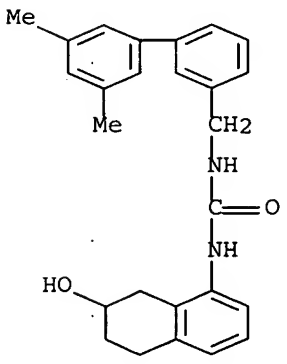
RN 711016-04-5 HCAPLUS

CN Urea, N-[(2'-fluoro[1,1'-biphenyl]-3-yl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

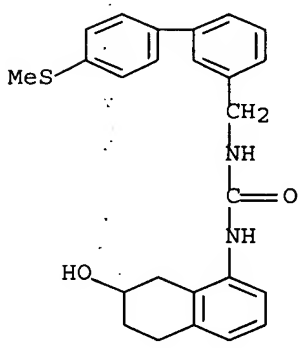


RN 711016-05-6 HCAPLUS

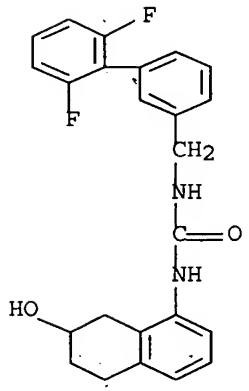
CN Urea, N-[(3',5'-dimethyl[1,1'-biphenyl]-3-yl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)



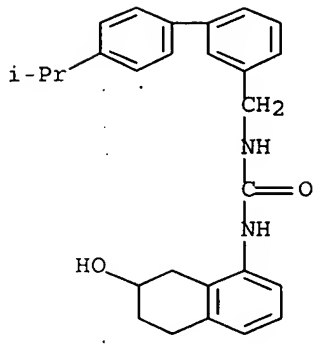
RN 711016-06-7 HCAPLUS
CN Urea, N-[[4'-(methylthio)[1,1'-biphenyl]-3-yl]methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)



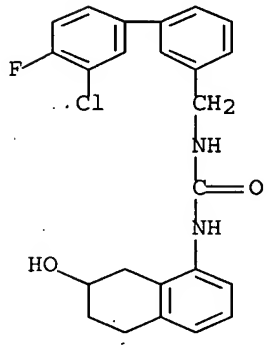
RN 711016-07-8 HCAPLUS
CN Urea, N-[(2',6'-difluoro[1,1'-biphenyl]-3-yl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)



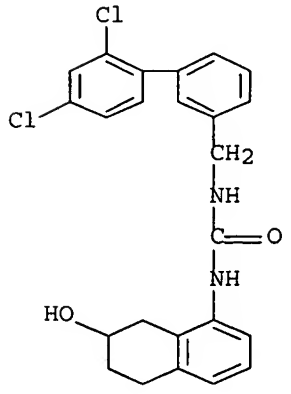
RN 711016-08-9 HCAPLUS
CN Urea, N-[[4'-(1-methylethyl)[1,1'-biphenyl]-3-yl]methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)



RN 711016-09-0 HCAPLUS
CN Urea, N-[(3'-chloro-4'-fluoro[1,1'-biphenyl]-3-yl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

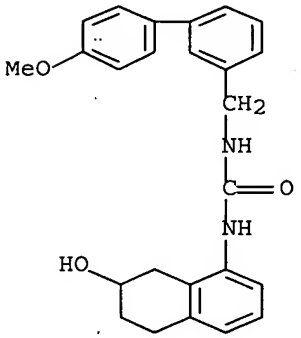


RN 711016-10-3 HCAPLUS
CN Urea, N-[(2',4'-dichloro[1,1'-biphenyl]-3-yl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)



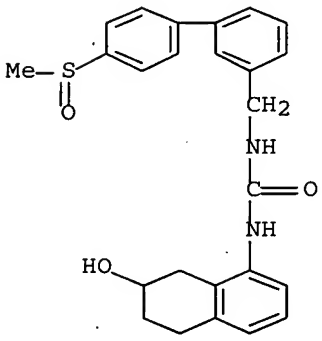
RN 711016-11-4 HCAPLUS

CN Urea, N-[(4'-methoxy[1,1'-biphenyl]-3-yl)methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)



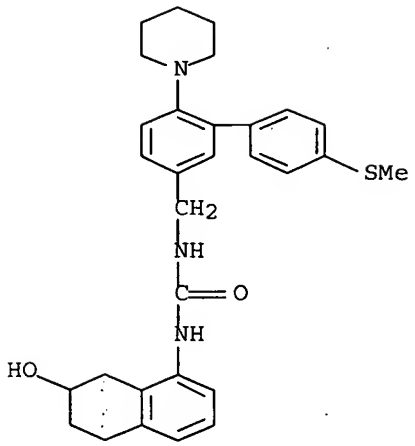
RN 711016-12-5 HCAPLUS

CN Urea, N-[[4'-(methylsulfinyl)[1,1'-biphenyl]-3-yl]methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

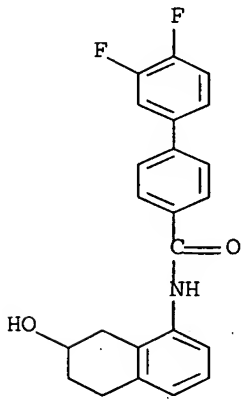


RN 711016-14-7 HCAPLUS

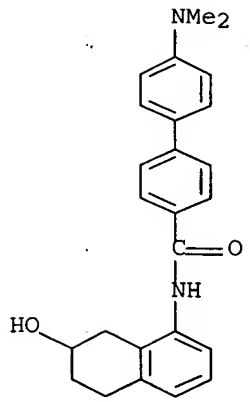
CN Urea, N-[[4'-(methylthio)-6-(1-piperidinyl)[1,1'-biphenyl]-3-yl]methyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)



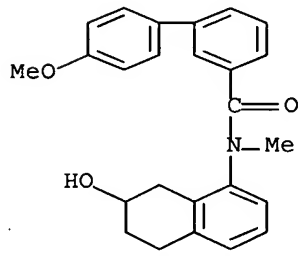
RN 711016-15-8 HCAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, 3',4'-difluoro-N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)



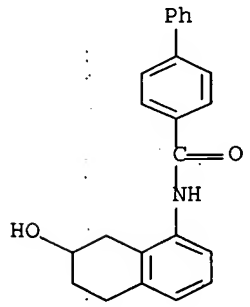
RN 711016-16-9 HCAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, 4'-(dimethylamino)-N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)



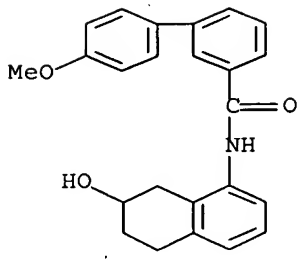
RN 711016-17-0 HCAPLUS
CN [1,1'-Biphenyl]-3-carboxamide, 4'-methoxy-N-methyl-N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)



RN 711016-18-1 HCAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)



RN 711016-19-2 HCAPLUS
CN [1,1'-Biphenyl]-3-carboxamide, 4'-methoxy-N-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)



IC ICM C07C275-32
ICS C07C275-42; C07C323-44; C07C275-40; C07C275-38; C07D295-08; C07C317-32; C07D295-12; C07C233-75; C07C237-40; C07C235-42; A61K031-16; A61P013-00; A61P029-00
CC 25-24 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
Section cross-reference(s): 1
IT **711015-38-2P 711015-39-3P 711015-40-6P**
711015-41-7P 711015-43-9P 711015-44-0P
711015-45-1P 711015-46-2P 711015-47-3P
711015-48-4P 711015-49-5P 711015-50-8P
711015-51-9P 711015-52-0P 711015-53-1P
711015-54-2P 711015-55-3P 711015-56-4P
711015-57-5P 711015-58-6P 711015-59-7P
711015-60-0P 711015-61-1P 711015-62-2P
711015-63-3P 711015-64-4P 711015-65-5P
711015-66-6P 711015-67-7P 711015-68-8P
711015-69-9P 711015-70-2P 711015-71-3P
711015-72-4P 711015-73-5P 711015-74-6P
711015-75-7P 711015-76-8P 711015-77-9P
711015-78-0P 711015-79-1P 711015-80-4P
711015-81-5P 711015-82-6P 711015-83-7P
711015-84-8P 711015-85-9P 711015-86-0P
711015-87-1P 711015-88-2P 711015-89-3P
711015-90-6P 711015-91-7P 711015-92-8P
711015-93-9P 711015-94-0P 711015-95-1P
711015-96-2P 711015-97-3P 711015-98-4P
711015-99-5P 711016-00-1P 711016-01-2P
711016-02-3P 711016-03-4P 711016-04-5P
711016-05-6P 711016-06-7P 711016-07-8P
711016-08-9P 711016-09-0P 711016-10-3P
711016-11-4P 711016-12-5P 711016-13-6P
711016-14-7P 711016-15-8P 711016-16-9P
711016-17-0P 711016-18-1P 711016-19-2P
711016-20-5P 711016-21-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tetrahydronaphthalene derivs. as vaniloid receptor antagonists)

L9 ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:133223 HCAPLUS Full-text
DOCUMENT NUMBER: 138:169972
TITLE: Preparation of substituted N-naphthyl-N'-phenylureas and N-substituted naphthylacetamides as vanilloid receptor 1 (VR1) antagonists
INVENTOR(S): Yura, Takeshi; Mogi, Munet; Ikegami, Yuka; Masuda,

Tsutoma; Kokubo, Toshio; Urbahns, Klaus; Lowinger, Timothy B.; Yoshida, Nagahiro; Freitag, Joachim; Meier, Heinrich; Wittka-Nopper, Reilinde; Marumo, Makiko; Shiroo, Masahiro; Tajimi, Masaomi; Takeshita, Keisuke; Moriwaki, Toshuda; Tsukimi, Yasuhiro

PATENT ASSIGNEE(S): Bayer AG, Germany

SOURCE: PCT Int. Appl., 186 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003014064	A1	20030220	WO 2002-EP8493	20020731
WO 2003014064	A8	20031127		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
JP 2003055209	A	20030226	JP 2001-232503	20010731
CA 2455754	A1	20030220	CA 2002-2455754	20020731
AU 2002325381	A1	20030224	AU 2002-325381	20020731
EP 1414788	A1	20040506	EP 2002-758413	20020731
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2005501873	T	20050120	JP 2003-524319	20020731
US 2004259875	A1	20041223	US 2004-485481	20040726

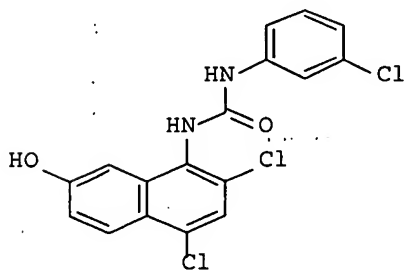
PRIORITY APPLN. INFO.:

JP 2001-232503	A	20010731
JP 2001-392310	A	20011225
WO 2002-EP8493	W	20020731

OTHER SOURCE(S): MARPAT 138:169972

ED Entered STN: 21 Feb 2003

GI



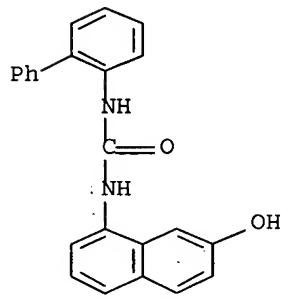
I

AB The title compds. R7Q(Y)C(O)NXR6 [X = (un)substituted Ph, cycloalkyl optionally fused by benzene, thienyl, quinolyl, etc.; Q = CH, N; R6, R7 = H, Me; Y = substituted 1-naphthyl] or their salts which have vanilloid receptor 1 (VR1) antagonistic activity, and therefore are useful for the prophylaxis and treatment of diseases associated with VR1 activity, in particular for the treatment of urinary incontinence, overactive bladder, chronic pain, neuropathic pain, postoperative pain, rheumatoid arthritic pain, neuralgia, neuropathies, algesia, nerve injury, ischemia, neurodegeneration, stroke, incontinence and/or inflammatory disorders, were prepared Thus, reacting 8-amino-5,7-dichloro-2-naphthol (preparation given) with 3-chlorophenyl isocyanate in 1,4-dioxane afforded 39% I which showed IC50 of ≤ 10 nM for VR1.

IT **497149-47-0P 497150-13-7P 497150-15-9P**
497150-16-0P 497150-17-1P 497150-18-2P
497150-19-3P 497150-35-3P 497150-36-4P
497150-42-2P 497150-43-3P 497150-44-4P
497150-46-6P 497150-47-7P 497150-54-6P
497150-55-7P 497150-56-8P 497150-83-1P
497150-94-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted N-naphthyl-N'-phenylureas and N-substituted naphthylacetamides as vanilloid receptor 1 (VR1) antagonists)

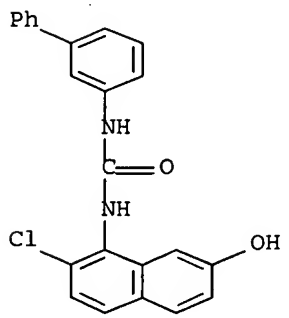
RN 497149-47-0 HCAPLUS

CN Urea, N-[1,1'-biphenyl]-2-yl-N'-(7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)

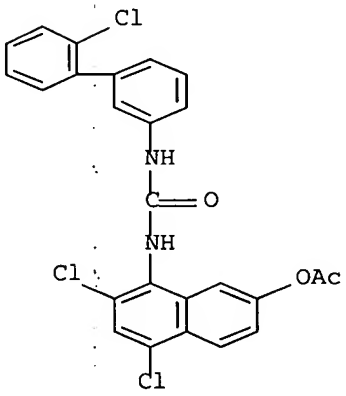


RN 497150-13-7 HCAPLUS

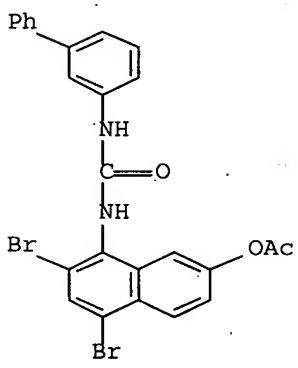
CN Urea, N-[1,1'-biphenyl]-3-yl-N'-(2-chloro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)



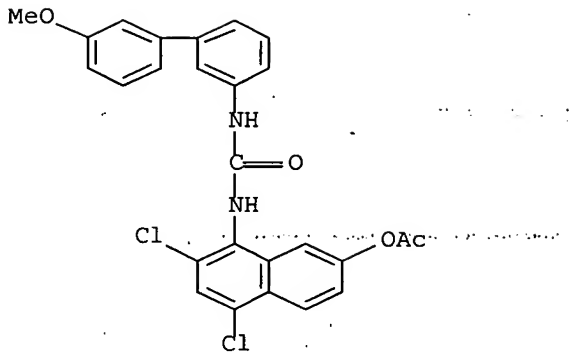
RN 497150-15-9 HCAPLUS
CN Urea, N-[7-(acetyloxy)-2,4-dichloro-1-naphthalenyl]-N'-(2'-chloro[1,1'-biphenyl]-3-yl)- (CA INDEX NAME)



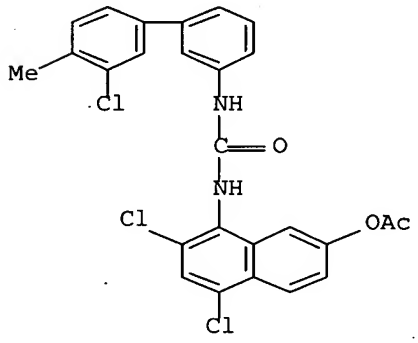
RN 497150-16-0 HCAPLUS
CN Urea, N-[7-(acetyloxy)-2,4-dibromo-1-naphthalenyl]-N'-[1,1'-biphenyl]-3-yl- (CA INDEX NAME)



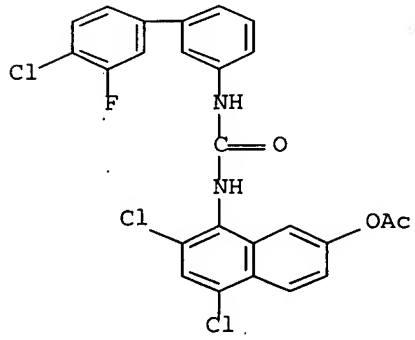
RN 497150-17-1 HCAPLUS
CN Urea, N-[7-(acetyloxy)-2,4-dichloro-1-naphthalenyl]-N'-(3'-methoxy[1,1'-biphenyl]-3-yl)- (CA INDEX NAME)



RN 497150-18-2 HCAPLUS
CN Urea, N-[7-(acetyloxy)-2,4-dichloro-1-naphthalenyl]-N'-(3'-chloro-4'-methyl[1,1'-biphenyl]-3-yl)- (CA INDEX NAME)

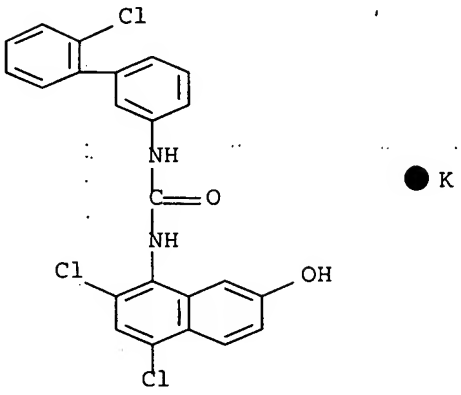


RN 497150-19-3 HCAPLUS
CN Urea, N-[7-(acetyloxy)-2,4-dichloro-1-naphthalenyl]-N'-(4'-chloro-3'-fluoro[1,1'-biphenyl]-3-yl)- (CA INDEX NAME)

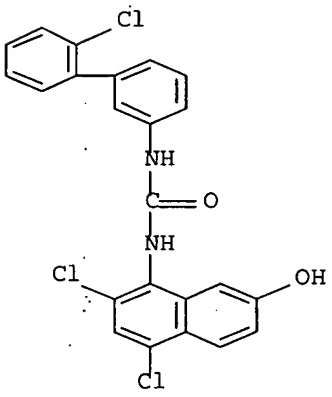


RN 497150-35-3 HCAPLUS
CN Urea, N-(2'-chloro[1,1'-biphenyl]-3-yl)-N'-(2,4-dichloro-7-hydroxy-1-

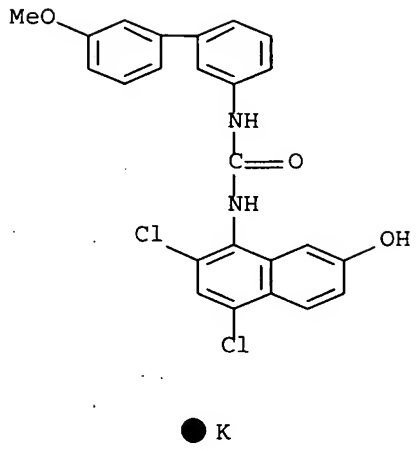
naphthalenyl)-, monopotassium salt (9CI) (CA INDEX NAME)



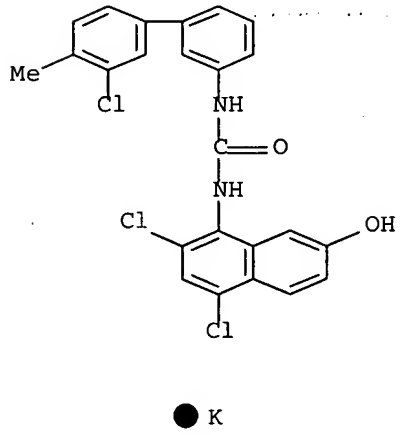
RN 497150-36-4 HCAPLUS
CN Urea, N-(2'-chloro[1,1'-biphenyl]-3-yl)-N'-(2,4-dichloro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)



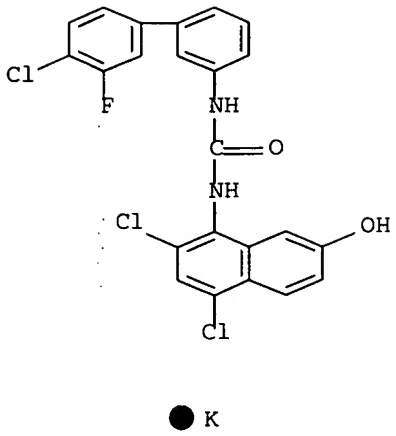
RN 497150-42-2 HCAPLUS
CN Urea, N-(2,4-dichloro-7-hydroxy-1-naphthalenyl)-N'-(3'-methoxy[1,1'-biphenyl]-3-yl)-, monopotassium salt (9CI) (CA INDEX NAME)



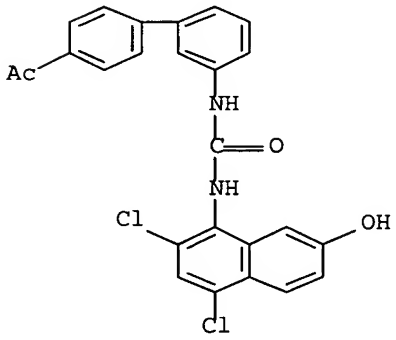
RN 497150-43-3 HCAPLUS
CN Urea, N-(3'-chloro-4'-methyl[1,1'-biphenyl]-3-yl)-N'-(2,4-dichloro-7-hydroxy-1-naphthalenyl)-, monopotassium salt (9CI) (CA INDEX NAME)



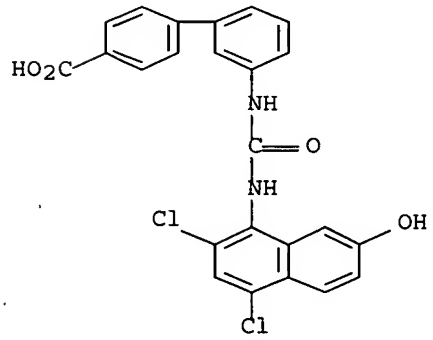
RN 497150-44-4 HCAPLUS
CN Urea, N-(4'-chloro-3'-fluoro[1,1'-biphenyl]-3-yl)-N'-(2,4-dichloro-7-hydroxy-1-naphthalenyl)-, monopotassium salt (9CI) (CA INDEX NAME)



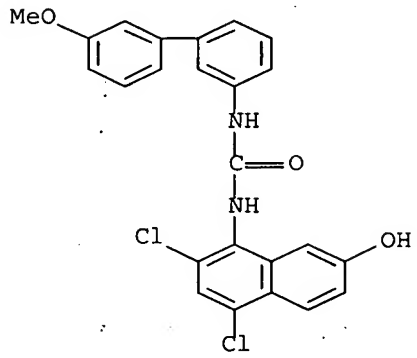
RN 497150-46-6 HCAPLUS
CN Urea, N-(4'-acetyl[1,1'-biphenyl]-3-yl)-N'-(2,4-dichloro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)



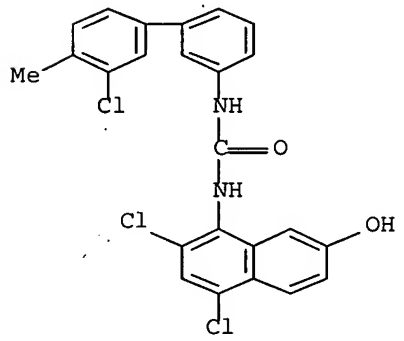
RN 497150-47-7 HCAPLUS
CN [1,1'-Biphenyl]-4-carboxylic acid, 3'-[[[(2,4-dichloro-7-hydroxy-1-naphthalenyl)amino]carbonyl]amino]- (CA INDEX NAME)



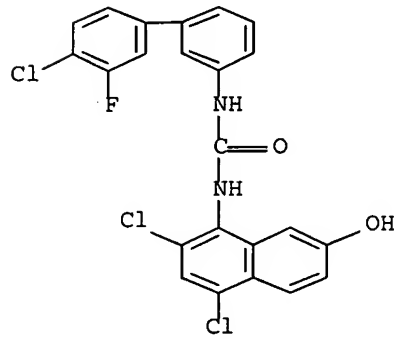
RN 497150-54-6 HCAPLUS
CN Urea, N-(2,4-dichloro-7-hydroxy-1-naphthalenyl)-N'-(3'-methoxy[1,1'-biphenyl]-3-yl)- (CA INDEX NAME)



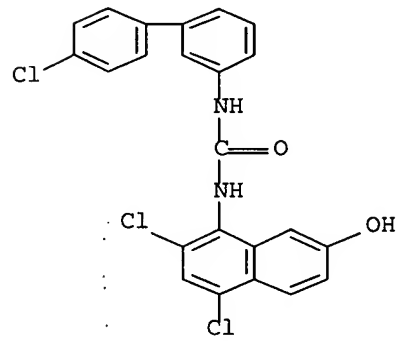
RN 497150-55-7 HCAPLUS
CN Urea, N-(3'-chloro-4'-methyl[1,1'-biphenyl]-3-yl)-N'-(2,4-dichloro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)



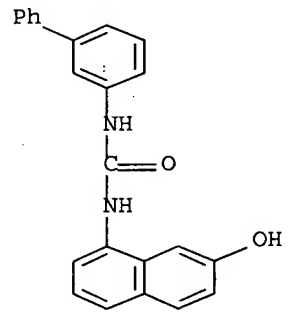
RN 497150-56-8 HCAPLUS
CN Urea, N-(4'-chloro-3'-fluoro[1,1'-biphenyl]-3-yl)-N'-(2,4-dichloro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)



RN 497150-83-1 HCAPLUS
CN Urea, N-(4'-chloro[1,1'-biphenyl]-3-yl)-N'-(2,4-dichloro-7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)



RN 497150-94-4 HCAPLUS
CN Urea, N-[1,1'-biphenyl]-3-yl-N'-(7-hydroxy-1-naphthalenyl)- (CA INDEX NAME)



IC ICM C07C235-38
ICS C07C275-32; C07C275-34; C07C275-36; C07C275-38; C07C275-40; C07C275-42; C07C311-08; C07C311-47; C07C323-44; C07D209-88; C07D215-38; C07D235-10; C07D239-69; C07D261-14; C07D261-16; C07D263-10; C07D285-06; C07D295-135; C07D307-88

CC 25-24 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
Section cross-reference(s): 1

IT 199584-96-8P 199929-52-7P 391937-38-5P 497148-29-5P 497148-30-8P
497148-31-9P 497148-32-0P 497148-33-1P 497148-34-2P 497148-35-3P
497148-36-4P 497148-37-5P 497148-38-6P 497148-39-7P 497148-40-0P
497148-41-1P 497148-42-2P 497148-43-3P 497148-44-4P 497148-45-5P
497148-46-6P 497148-47-7P 497148-48-8P 497148-49-9P 497148-50-2P
497148-51-3P 497148-52-4P 497148-53-5P 497148-54-6P 497148-55-7P
497148-56-8P 497148-57-9P 497148-58-0P 497148-59-1P 497148-60-4P
497148-61-5P 497148-62-6P 497148-63-7P 497148-64-8P 497148-65-9P
497148-66-0P 497148-67-1P 497148-68-2P 497148-69-3P 497148-70-6P
497148-71-7P 497148-72-8P 497148-73-9P 497148-74-0P 497148-75-1P

497148-76-2P 497148-79-5P 497148-82-0P 497148-84-2P 497148-85-3P
497148-86-4P 497148-87-5P 497148-88-6P 497148-90-0P 497148-91-1P
497148-92-2P 497148-93-3P 497148-94-4P 497148-95-5P 497148-96-6P
497148-97-7P 497148-98-8P 497149-00-5P 497149-01-6P 497149-02-7P
497149-04-9P 497149-05-0P 497149-06-1P 497149-07-2P 497149-08-3P
497149-09-4P 497149-11-8P 497149-12-9P 497149-14-1P 497149-15-2P
497149-16-3P 497149-17-4P 497149-18-5P 497149-19-6P 497149-20-9P
497149-21-0P 497149-22-1P 497149-24-3P 497149-25-4P 497149-26-5P
497149-27-6P 497149-28-7P 497149-29-8P 497149-30-1P 497149-31-2P
497149-32-3P 497149-33-4P 497149-34-5P 497149-35-6P 497149-36-7P
497149-37-8P 497149-38-9P 497149-39-0P 497149-40-3P 497149-41-4P
497149-42-5P 497149-43-6P 497149-44-7P 497149-45-8P 497149-46-9P
497149-47-0P 497149-48-1P 497149-49-2P 497149-50-5P
497149-51-6P 497149-52-7P 497149-53-8P 497149-54-9P 497149-55-0P
497149-56-1P 497149-57-2P 497149-58-3P 497149-59-4P 497149-60-7P
497149-61-8P 497149-62-9P 497149-63-0P 497149-64-1P 497149-66-3P
497149-67-4P 497149-68-5P 497149-69-6P 497149-70-9P 497149-71-0P
497149-72-1P 497149-73-2P 497149-74-3P 497149-75-4P 497149-76-5P
497149-77-6P 497149-78-7P 497149-79-8P 497149-80-1P 497149-81-2P
497149-82-3P 497149-83-4P 497149-84-5P 497149-85-6P 497149-86-7P
497149-87-8P 497149-88-9P 497149-89-0P 497149-90-3P 497149-91-4P
497149-92-5P 497149-93-6P 497149-94-7P 497149-95-8P 497149-96-9P
497149-97-0P 497149-98-1P 497149-99-2P 497150-00-2P 497150-01-3P
497150-02-4P 497150-03-5P 497150-04-6P 497150-05-7P 497150-06-8P
497150-07-9P 497150-08-0P 497150-09-1P 497150-10-4P 497150-11-5P
497150-12-6P **497150-13-7P** 497150-14-8P **497150-15-9P**
497150-16-0P **497150-17-1P** **497150-18-2P**
497150-19-3P 497150-20-6P 497150-21-7P 497150-22-8P
497150-23-9P 497150-24-0P 497150-25-1P 497150-26-2P 497150-27-3P
497150-28-4P 497150-29-5P 497150-30-8P 497150-31-9P 497150-34-2P
497150-35-3P **497150-36-4P** 497150-38-6P 497150-40-0P
497150-42-2P **497150-43-3P** **497150-44-4P**
497150-45-5P **497150-46-6P** **497150-47-7P** 497150-48-8P
497150-49-9P 497150-50-2P 497150-52-4P **497150-54-6P**
497150-55-7P **497150-56-8P** 497150-57-9P 497150-58-0P
497150-59-1P 497150-60-4P 497150-61-5P 497150-62-6P 497150-63-7P
497150-64-8P 497150-65-9P 497150-66-0P 497150-67-1P 497150-68-2P
497150-69-3P 497150-70-6P 497150-71-7P 497150-72-8P 497150-73-9P
497150-74-0P 497150-75-1P 497150-76-2P 497150-77-3P 497150-78-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted N-naphthyl-N'-phenylureas and N-substituted naphthylacetamides as vanilloid receptor 1 (VR1) antagonists)

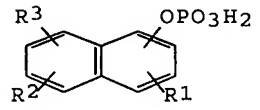
IT 497150-79-5P 497150-80-8P 497150-81-9P 497150-82-0P
497150-83-1P 497150-84-2P 497150-85-3P 497150-86-4P
497150-87-5P 497150-88-6P 497150-89-7P 497150-90-0P 497150-91-1P
497150-92-2P 497150-93-3P **497150-94-4P** 497150-95-5P
497150-96-6P 497150-97-7P 497150-98-8P 497150-99-9P 497151-00-5P
497151-01-6P 497151-02-7P 497151-03-8P 497151-04-9P 497151-05-0P
497151-06-1P 497151-07-2P 497151-08-3P 497151-09-4P 497151-10-7P
497151-11-8P 497151-12-9P 497151-13-0P 497151-14-1P 497151-15-2P
497151-16-3P 497151-17-4P 497151-18-5P 497151-19-6P 497151-20-9P
497151-21-0P 497151-22-1P 497151-24-3P 497151-26-5P 497151-27-6P
497151-29-8P 497151-30-1P 497151-31-2P 497151-32-3P 497151-33-4P
497151-34-5P 497151-35-6P 497151-36-7P 497151-37-8P 497151-38-9P
497151-39-0P 497151-40-3P 497151-41-4P 497151-42-5P 497151-79-8P
497155-47-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)
(preparation of substituted N-naphthyl-N'-phenylureas and N-substituted naphthylacetamides as vanilloid receptor 1 (VR1) antagonists)
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

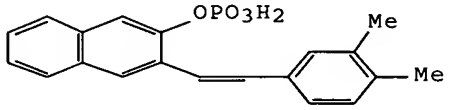
L9 ANSWER 5 OF 6 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1992:592072 HCAPLUS Full-text
DOCUMENT NUMBER: 117:192072
TITLE: Preparation of naphthol phosphates for detection of nucleic acids
INVENTOR(S): Fujita, Satoshi; Kagiyama, Naoto; Momiyama, Masayoshi
PATENT ASSIGNEE(S): Aisin Seiki K. K., Japan
SOURCE: Brit. UK Pat. Appl., 19 pp.
CODEN: BAXXDU
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2250991	A	19920624	GB 1991-27232	19911223
GB 2250991	B	19940810		
JP 04222600	A	19920812	JP 1990-413201	19901221
US 5484700	A	19960116	US 1991-806189	19911213
DE 4142076	A1	19920709	DE 1991-4142076	19911219
DE 4142076	C2	19960328		

PRIORITY APPLN. INFO.: JP 1990-413201 A 19901221
OTHER SOURCE(S): MARPAT 117:192072
ED Entered STN: 15 Nov 1992
GI

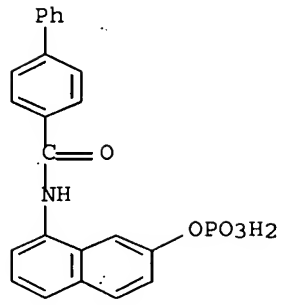


I



II

AB Title compds. [I; one of R1 - R3 = A, the others = H, halo, alkyl, alkoxy, PhO, aminoacetyl, cyano, alkoxycarbonyl; A = CONHR, NHCOR, CH:CHR, CO2R, C(OR4):NR; R = (substituted) alkyl, alkoxy, PhO, (hetero)aryl; R4 = alkoxy, PhO; with provisos], were prepared Thus, 2-acetoxy-3- formylnaphthalene (preparation given) in THF was added to a mixture of 3,4-dimethylbenzyl triphenylphosphonium chloride (preparation given) and NaOEt in THF to give 25% 2-acetoxy-3-(3,4-dimethylstyryl)naphthalene. The latter was stirred with CaCO3 in EtOH to give 90% 3-(3,4-dimethylstyryl)-2- naphthol. This was treated with POCl3 in pyridine followed by ice quenching to give title compound II. II successfully detected digoxigenin-labeled DNA at the 0.4 pg level.
IT **144077-60-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, for DNA detection)
RN 144077-60-1 HCAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, N-[7-(phosphonooxy)-1-naphthalenyl]- (CA INDEX NAME)

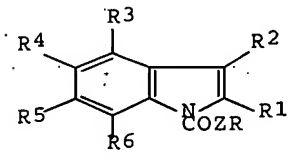


IC ICM C07F009-12
ICS C07F009-6541; C12Q001-42; C12Q001-68
CC 29-7 (Organometallic and Organometalloidal Compounds)
Section cross-reference(s): 9
IT 144077-56-5P 144077-57-6P 144077-58-7P 144077-59-8P
144077-60-1P 144077-61-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, for DNA detection)

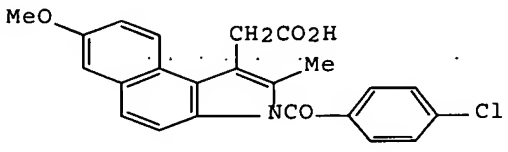
L9 ANSWER 6 OF 6 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1979:491500 HCAPLUS Full-text
DOCUMENT NUMBER: 91:91500
TITLE: Anellated indole derivatives
INVENTOR(S): Boltze, Karl Heinz; Opitz, Wolfgang; Raddatz, Siegfried; Seidel, Peter Rudolf; Jacobi, Haireddin; Dell, Hans Dieter; Schoellnhammer, Guenter
PATENT ASSIGNEE(S): Troponwerke G.m.b.H. und Co. K.-G., Fed. Rep. Ger.
SOURCE: Ger. Offen., 95 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2740836	A1	19790322	DE 1977-2740836	19770910
PRIORITY APPLN. INFO.:			DE 1977-2740836 A	19770910

ED Entered STN: 12 May 1984
GI



I



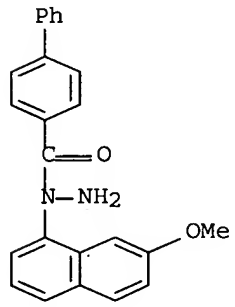
II

AB The indole derivs. I [R = (substituted) Ph or heterocyclyl; R1 = R2 = alkyl, (esterified) carboxyalkyl, (substituted) Ph; Z = bond, CH2CH2; R3R4, R4R5, or R5R6 = 5- or 6-membered ring optionally containing 1-3 S, O, and/or N atoms] and their salts were prepared for use as antiphlogistics (no data). Thus, 6,2-MeOC10H6N(NH2)COC6H4Cl-4 reacted with levulinic acid to give 80% II.

IT **70489-00-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclocondensation of, with carbonyl compds., indole derivs. from)

RN 70489-00-8 HCAPLUS

CN [1,1'-Biphenyl]-4-carboxylic acid, 1-(7-methoxy-1-naphthalenyl)hydrazide, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

IC C07D491-04; C07D498-04; C07D487-04; C07D495-04

CC 27-11 (Heterocyclic Compounds (One Hetero Atom))

IT 70488-93-6P 70488-94-7P 70488-95-8P 70488-96-9P 70488-97-0P
70488-98-1P 70488-99-2P **70489-00-8P** 70489-01-9P
70489-02-0P 70489-03-1P 70489-04-2P 70489-05-3P 70489-06-4P
70489-07-5P 70489-08-6P 70489-09-7P 70489-10-0P 70507-13-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclocondensation of, with carbonyl compds., indole derivs. from)

******* INVENTOR RESULTS *******

```
d his l36

     (FILE 'HCAPLUS' ENTERED AT 16:21:29 ON 26 NOV 2007)
               ---------
L36           16 S L35 NOT L9

=> d que l36

L6           105 SEA FILE=REGISTRY SUB=L3 SSS FUL L4
L9             6 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L6
L10 (         35)SEA FILE=HCAPLUS ABB=ON  PLU=ON  "TAJIMI MASAOMI"/AU
L11 (         50)SEA FILE=HCAPLUS ABB=ON  PLU=ON  "KOKUBO TOSHIO"/AU
L12 (         35)SEA FILE=HCAPLUS ABB=ON  PLU=ON  "SHIROO MASAHIRO"/AU
L13 (         33)SEA FILE=HCAPLUS ABB=ON  PLU=ON  ("TSUKIMI Y"/AU OR "TSUKIMI
                   YASUHIRO"/AU)
L14 (         32)SEA FILE=HCAPLUS ABB=ON  PLU=ON  "YURA TAKESHI"/AU
L15 (         63)SEA FILE=HCAPLUS ABB=ON  PLU=ON  "URBAHNS KLAUS"/AU
L16 (        214)SEA FILE=HCAPLUS ABB=ON  PLU=ON  "YAMAMOTO NORIYUKI"/AU
L17 (         14)SEA FILE=HCAPLUS ABB=ON  PLU=ON  "MOGI MUNETO"/AU
L18 (         63)SEA FILE=HCAPLUS ABB=ON  PLU=ON  "FUJISHIMA HIROSHI"/AU
L19 (         99)SEA FILE=HCAPLUS ABB=ON  PLU=ON  "MASUDA TSUTOMU"/AU
L20 (         22)SEA FILE=HCAPLUS ABB=ON  PLU=ON  ("YOSHIDA NAGAHIRO"/AU OR
                   "YOSHIDA NAGAHIRO H"/AU)
L21 (         24)SEA FILE=HCAPLUS ABB=ON  PLU=ON  "MORIWAKI TOSHIYA"/AU
L22 (         19)SEA FILE=HCAPLUS ABB=ON  PLU=ON  L10 AND ((L11 OR L12 OR L13
                   OR L14 OR L15 OR L16 OR L17 OR L18 OR L19 OR L20 OR L21))
L23 (         14)SEA FILE=HCAPLUS ABB=ON  PLU=ON  L11 AND ((L12 OR L13 OR L14
                   OR L15 OR L16 OR L17 OR L18 OR L19 OR L20 OR L21))
L24 (         10)SEA FILE=HCAPLUS ABB=ON  PLU=ON  L12 AND ((L13 OR L14 OR L15
                   OR L16 OR L17 OR L18 OR L19 OR L20 OR L21))
L25 (         15)SEA FILE=HCAPLUS ABB=ON  PLU=ON  L13 AND ((L14 OR L15 OR L16
                   OR L17 OR L18 OR L19 OR L20 OR L21))
L26 (         19)SEA FILE=HCAPLUS ABB=ON  PLU=ON  L14 AND ((L15 OR L16 OR L17
                   OR L18 OR L19 OR L20 OR L21))
L27 (         21)SEA FILE=HCAPLUS ABB=ON  PLU=ON  L15 AND ((L16 OR L17 OR L18
                   OR L19 OR L20 OR L21))
L28 (          9)SEA FILE=HCAPLUS ABB=ON  PLU=ON  L16 AND ((L17 OR L18 OR L19
                   OR L20 OR L21))
L29 (         11)SEA FILE=HCAPLUS ABB=ON  PLU=ON  L17 AND ((L18 OR L19 OR L20
                   OR L21))
L30 (          4)SEA FILE=HCAPLUS ABB=ON  PLU=ON  L18 AND ((L19 OR L20 OR L21))

L31 (         37)SEA FILE=HCAPLUS ABB=ON  PLU=ON  (L22 OR L23 OR L24 OR L25 OR
                   L26 OR L27 OR L28 OR L29 OR L30)
L32 (       1022)SEA FILE=HCAPLUS ABB=ON  PLU=ON  ("BAYER HEALTHCARE"/CO OR
                   "BAYER HEALTHCARE A G"/PA OR "BAYER HEALTHCARE A G"/CS OR
                   "BAYER HEALTHCARE A G"/CO OR "BAYER HEALTHCARE A G GERMANY"/PA
                   OR "BAYER HEALTHCARE A G GERMANY"/CS OR "BAYER HEALTHCARE
                   AG"/PA OR "BAYER HEALTHCARE AG"/CS OR "BAYER HEALTHCARE AG"/CO
                   OR "BAYER HEALTHCARE AG APRATHER WEG"/CO OR "BAYER HEALTHCARE
                   AG BAYER SCHERING PHARMA"/CO OR "BAYER HEALTHCARE AG BAYER
                   SCHERING PHARMA GLOBAL DRUG DISCOVERY"/CO OR "BAYER HEALTHCARE
                   AG BAYER SCHERING PHARMA GLOBAL DRUG DISCOVERY WUPPERTAL D
                   42096 GERMANY"/CS OR "BAYER HEALTHCARE AG COLOGNE D 50739
                   GERMANY"/CS OR "BAYER HEALTHCARE AG GERMANY"/PA OR "BAYER
                   HEALTHCARE AG GERMANY"/CS)
L33 (         15)SEA FILE=HCAPLUS ABB=ON  PLU=ON  L32 AND L31
L34 (          9)SEA FILE=HCAPLUS ABB=ON  PLU=ON  L31 AND ((BLADDER? OR
```

```
            UROLOG?) (W) DISORDER?)/BI
L35         17 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L33 OR L34
L36         16 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L35 NOT L9


=> d l36 ibib ab 1-16

L36  ANSWER 1 OF 16  HCAPLUS  COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER:         2005:1170872  HCAPLUS  Full-text
DOCUMENT NUMBER:          143:440424
TITLE:                    Preparation of benzoxazinylurea analogs as VR1
                          vanilloid receptor antagonists
INVENTOR(S):              Fujishima, Hiroshi; Mogi, Muneto;
                          Yuasa, Hiroaki; Taijimi, Masaomi; Yamamoto,
                          Noriyuki; Hayashi, Fumihiko; Tsukimi,
                          Yasuhiro; Gupta, Jang
PATENT ASSIGNEE(S):       Bayer Healthcare AG, Germany
SOURCE:                   PCT Int. Appl., 53 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.           KIND   DATE        APPLICATION NO.          DATE
     ---------------      ----   --------    --------------------     --------
     WO 2005103018         A1    20051103    WO 2005-EP3632           20050407
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ,
             LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA,
             NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL,
             SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA,
             ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
             EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
             RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
             MR, NE, SN, TD, TG
     CA 2563494            A1    20051103    CA 2005-2563494          20050407
     EP 1740557            A1    20070110    EP 2005-716548           20050407
         R:  AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
             IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR
     JP 2007533673         T     20071122    JP 2007-508757           20050407
PRIORITY APPLN. INFO.:                       EP 2004-9274         A   20040420
                                             WO 2005-EP3632       W   20050407
OTHER SOURCE(S):          CASREACT 143:440424; MARPAT 143:440424
AB   The invention is related to ureas (I), tautomers, stereoisomers, and salts
     thereof [wherein Y = (CH2)n; n = 0-4; R1 = (un)substituted 3-8 membered
     (un)saturated ring; R2 = H, (un)substituted alk(en/yn)yl, cycloalkyl, etc.;
     NR1R2 = 5-12 membered (un)substituted (un)saturated cyclic ring; R3 = H,
     alk(en/yn)yl; each R4 = independently H, nO2, OH, SH, CN, etc.; m = 1-3; X =
     O, CH2, S, NH, N-alkyl] which are useful as active ingredients of
     pharmaceutical prepns. Compds. I have an excellent activity as VR1
     antagonists. E.g., a 4-step synthesis, starting from 2-amino-4- nitrophenol,
     was given for urea II. Capsaicin-induced Ca2+ influx in the human VR1-
     transfected CHO cell line in the presence of II was 24 nM. I are useful for
     the prophylaxis and treatment of diseases associated with VR1 activity, in
     particular for the treatment of urol. disorder or disease, such as detrusor
     overactivity (overactive bladder), urinary incontinence, neurogenic detrusor
```

overactivity (detrusor hyperflexia), idiopathic detrusor overactivity (detrusor instability), benign prostatic hyperplasia, and lower urinary tract symptoms; chronic pain, neuropathic pain, postoperative pain, rheumatoid arthritic pain, neuralgia, neuropathies, algesia, nerve injury, ischemia, neurodegeneration, stroke; and respiratory diseases and inflammatory disorders such as asthma, chronic obstructive pulmonary (or airways) disease (COPD), common cold, cough, sneeze, bronchitis including acute and chronic bronchitis, bronchiolitis, rhinitis, allergic rhinitis, vasomotor rhinitis, mucositis, sinusitis, allergy, disorders associated with exogenous irritants such as tobacco smoke, smog, high levels of atmospheric SO2 and noxious gases in the workplace, and airways hyperreactivity, milk product intolerance, Loffler's pneumonia, emphysema, cystic fibrosis, bronchiectasis, pulmonary fibrosis, pneumoconiosis, collagen vascular disease, granulomatous disease, laryngitis, pharyngitis, pneumonia, pleuritis, persistent asthma and chronic asthmatic bronchitis.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L36 ANSWER 2 OF 16 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:547600 HCAPLUS Full-text
DOCUMENT NUMBER: 143:59848
TITLE: Preparation of aminomethyl chromane derivatives as beta-3 adrenoreceptor agonists
INVENTOR(S): Boyer, Stephen J.; Hashimoto, Kentaro; Roelle, Thomas; Sandner, Peter; Stelte-Ludwig, Beatrix; Tinel, Hanna; Henninger, Kerstin; Concepcion, Arnel; Sakurai, Osamu; Hirai, Kanako; Inoue, Tadashi; Mochizuki, Yuki; Nunami, Noriko; Taijimi, Masaomi; **Yamamoto, Noriyuki; Tsukimi, Yasuhiro**
PATENT ASSIGNEE(S): **Bayer Healthcare A.-G., Germany**
SOURCE: PCT Int. Appl., 79 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2005056544	A1	20050623	WO 2004-EP13677		20041202
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
US 2005222247	A1	20051006	US 2004-996230		20041123
CA 2548922	A1	20050623	CA 2004-2548922		20041202
EP 1694664	A1	20060830	EP 2004-803429		20041202
R: DE, ES, FR, GB, IT					
PRIORITY APPLN. INFO.:			EP 2003-28781	A	20031213
			WO 2004-EP13677	W	20041202

OTHER SOURCE(S): MARPAT 143:59848
AB Title compds. I [R1 = H, alkyl; X = O, NR2; R2 = H, alkyl; Ar1 = (un)substituted Ph, 5-14 membered heteroaryl containing 1-3 heteroatoms

selected from O, S, or N; Ar2 = (un)substituted Ph, 5-6-membered- heteroaryl containing 1-2 heteroatoms selected from O, S, or N] and their pharmaceutically acceptable salts, are prepared and disclosed as beta-3 adrenoreceptor agonists. Thus, e.g., II was prepared by etherification of tert-Bu (2S)-2-{[tert-butyl-(dimethyl)silyl]oxy}-3-phenoxypropyl{[(2R)-6-iodo-3,4-dihydro-2H-chromen-2-yl]methyl}carbamate (preparation given) with Me salicylate followed by deprotection and subsequent hydrolysis of the Me ester. The agonistic activity of I towards β3-adrenoceptor was evaluated by measurement of cAMP production in SK-N-MC cells and it was revealed that selected compds. of the invention possessed EC50 values in the range of 14 up to 270 nM. I as beta-3 adrenoreceptor agonist should prove useful in the treatment of **urol. disorders** such as, but not limited to, overactive bladder and urinary incontinence. Pharmaceutical comprns. comprising I are disclosed.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L36 ANSWER 3 OF 16 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:429401 HCAPLUS Full-text
DOCUMENT NUMBER: 142:463618
TITLE: Preparation of 1,2,3,4-tetrahydroquinolinylurea derivatives as vanilloid receptor antagonists
INVENTOR(S): Bouchon, Axel; Diedrichs, Nicole; Hermann, Achim; Lustig, Klemens; Meier, Heinrich; Pernerstorfer, Josef; Reissmueller, Elke; **Mogi, Muneto**; **Fujishima, Hiroshi**; **Tajimi, Masaomi**; **Yamamoto, Noriyuki**
PATENT ASSIGNEE(S): **Bayer Healthcare A.-G., Germany**
SOURCE: PCT Int. Appl., 64 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005044802	A2	20050519	WO 2004-EP12051	20041026
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2545109	A1	20050519	CA 2004-2545109	20041026
EP 1685112	A2	20060802	EP 2004-790836	20041026
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
JP 2007523888	T	20070823	JP 2006-538691	20041026
US 2007213363	A1	20070913	US 2007-578413	20070119

PRIORITY APPLN. INFO.: EP 2003-25575 A 20031108
WO 2004-EP12051 W 20041026
OTHER SOURCE(S): CASREACT 142:463618; MARPAT 142:463618

AB This invention relates to 1,2,3,4-tetrahydroquinolinylurea derivs. (I) and salts thereof [wherein m, p = 0-3; X = bond, O, N(R10) (wherein R10 = H, C1-6 alkyl); with the proviso that when m = 0, then X = a bond; RA = RB = H, or RA

and RB together form a carbonylgroup with the carbon-atom to which they are connected; R1 = each (un)substituted aryl or heteroaryl; R2 = C1-6 alkylcarbonyl, C1-6 alkylsulfonyl, H, HO, aryl, heteroaryl, C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, C3-8 cycloalkyl, arylsulfonyl, or heteroaryl sulfonyl, wherein said alkyl, alkenyl or alkynyl are optionally substituted] which are useful as active ingredients of pharmaceutical prepns. The 1,2,3,4-tetrahydroquinolinylurea derivs. of the present invention have vanilloid receptor (VR1) antagonistic activity (no data). These compds. can be used for the prophylaxis and treatment of diseases associated with VR1 activity, in particular for the treatment of urol. diseases or disorders, such as detrusor overactivity (overactive bladder), urinary incontinence, neurogenic detrusor overactivity (detrusor hyperflexia), idiopathic detrusor overactivity (detrusor instability), benign prostatic hyperplasia, and lower urinary tract symptoms; pain such as chronic pain, neuropathic pain, postoperative pain, rheumatoid arthritic pain, neuralgia, neuropathies, algesia, nerve injury, ischemia, neurodegeneration, and stroke; and inflammatory disorders such as asthma and chronic obstructive pulmonary (or airways) disease (COPD). Thus, 5-amino-3-hydroxy-3,4-dihydroquinolin-2(1H)-one > (300 mg, 1.68 mmol) was dissolved in EtOAc and cooled to 0° and 4-trifluoromethylbenzyl isocyanate (339 mg, 1.68 mmol) was added slowly with stirring. The reaction mixture was stirred for 1 h at room temperature and the insol. product was filtered and dried in vacuo to give 16% N-(3-Hydroxy-2-oxo-1,2,3,4- tetrahydroquinolin-5-yl)-N'-[4-(trifluoromethyl)benzyl]urea (103 mg).

L36 ANSWER 4 OF 16 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:429388 HCAPLUS Full-text
DOCUMENT NUMBER: 142:463465
TITLE: Preparation of bicyclic amide, carbamate or urea derivatives as vanilloid receptor modulators
INVENTOR(S): **Mogi, Muneto; Fujishima, Hiroshi; Tajimi, Masaomi; Yamamoto, Noriyuki; Urbahns, Klaus**; Hayashi, Fumihiko; **Tsukimi, Yasuhiro**; Gupta, Jang; Yuasa, Hiroaki
PATENT ASSIGNEE(S): **Bayer Healthcare A.-G., Germany**
SOURCE: PCT Int. Appl., 63 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005044786	A1	20050519	WO 2004-EP12050	20041026
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2545100	A1	20050519	CA 2004-2545100	20041026
EP 1687262	A1	20060809	EP 2004-790835	20041026
R: DE, ES, FR, GB, IT				
JP 2007511479	T	20070510	JP 2006-538690	20041026

PRIORITY APPLN. INFO.: EP 2003-25571 A 20031108
EP 2003-27003 A 20031122
WO 2004-EP12050 W 20041026

OTHER SOURCE(S): CASREACT 142:463465; MARPAT 142:463465

AB This invention relates to bicyclic amide, carbamate or urea derivs. of formula A-NHCO-Y-(CH2)m -X-(CH2)p-R1 and salts thereof [A = Q7, Q8; wherein Q1, Q4 = direct bond, methylene; Q2 = CHR2, or CO; Q3 = CHR3 or CO (wherein R2, R3 = H, HO, C1-6 alkoxy, C1-6 alkanoyloxy or (un)substituted 1-6 alkyl); with the proviso that Q1 and Q4 can be direct bond t the same time; R2 = R3 ≠ H; when Q = direct nd, then R3 = HO, C1-6 alkoxy, or C1-6 alkanoyloxy; Q5 = CH or R5 (wherein R5 = HO, C1-6 alkoxy, C1-6 alkanoyloxy, or (un)substituted C1-6 alkyl); Q6 = CH or CR6 (wherein R6 = HO, C1-6 alkoxy, C1-6 alkanoyloxy, or (un)substituted C1-6 alkyl); with the proviso that Q5 ≠ Q6 = CH; m = 0-3; p = 0; 1; X = a bond, O, NR4 (wherein R4 = H, C1-6 alkyl), with the proviso that when m = 0, then X = a bond; Y = CH2, O or NH; R1 = each (un)substituted aryl or heteroaryl] which are useful as active ingredients of pharmaceutical prepns. The bicyclic amide, carbamate or urea derivs. of the resent invention has vanilloid receptor (VR1) antagonistic activity (no data). These compds. can be used for the prophylaxis and treatment of diseases associated with VR1 activity, in particular for the treatment of urol. diseases or disorders such as detrusor overactivity (overactive bladder), urinary incontinence, neurogenic detrusor overactivity (detrusor hyperflexia), idiopathic detrusor overactivity (detrusor instability), benign prostatic hyperplasia, and lower urinary tract symptoms; pain such as chronic pain, neuropathic pain, postoperative pain, rheumatoid arthritic pain, neuralgia, neuropathies, algesia, nerve injury, ischemia, neurodegeneration, and stroke; and inflammatory disorders such as asthma and chronic obstructive pulmonary (or airways) disease (COPD). Thus, a mixture of 70.0 mg 7-amino-1,2,3,4-tetrahydronaphthalen-2-ol and 95.0 mg 4-chloro-3-trifluoromethylphenyl isocyanate in 10 mL DMF was stirred at 50° for 2 h, concentrated under reduced pressure, and purified by silica gel chromatog. to give 49.9 mg N-[4-chloro-3-(trifluoromethyl)phenyl]-N'- (7-hydroxy-5,6,7,8-tetrahydronaphthalen-2-yl)urea.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L36 ANSWER 5 OF 16 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:395602 HCAPLUS Full-text
DOCUMENT NUMBER: 142:442335
TITLE: Agents for regulation of human metastin recognizing receptors and use in treating **urological disorders**
INVENTOR(S): **Yamamoto, Noriyuki**; Matsumoto, Hiroko; Hayashi, Fumihiko; **Tajimi, Masaomi**
PATENT ASSIGNEE(S): **Bayer Healthcare A.-G., Germany**
SOURCE: PCT Int. Appl., 65 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005040833	A1	20050506	WO 2004-EP11250	20041006

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,

TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: EP 2003-23850 A 20031021

AB Reagents which regulate human metastin recognizing receptor and reagents which bind to human metastin recognizing receptor gene products can play a role in preventing, ameliorating, or correcting dysfunctions or diseases including, but not limited to, **urol. disorder** or disease such as detrusor overactivity (overactive bladder), urinary incontinence, neurogenic detrusor overactivity (detrusor hyperflexia), idiopathic detrusor overactivity (detrusor instability), benign prostatic hyperplasia, and lower urinary tract symptoms. In addition to the reagents a method of screening for the agents and pharmaceutical compns. containing the reagents are also claimed.

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L36 ANSWER 6 OF 16 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:395272 HCAPLUS Full-text
DOCUMENT NUMBER: 142:447019
TITLE: Preparation of tetrahydronaphthalene and urea derivatives as VR1 antagonists for the prophylaxis and treatment of diseases associated with VR1 activity, such as urological diseases, pain and inflammatory diseases
INVENTOR(S): Bouchon, Axel; Diedrichs, Nicole; Hermann, Achim; Lustig, Klemens; Meier, Heinrich; Pernerstorfer, Josef; Reissmueller, Elke; De Vry, Jean; **Mogi, Muneto; Urbahns, Klaus; Yura, Takeshi; Fujishima, Hiroshi; Tajimi, Masaomi; Yamamoto, Noriyuki;** Yuasa, Hiroaki; Gupta, Jang; **Tsukimi, Yasuhiro**; Hayashi, Fumihiko
PATENT ASSIGNEE(S): **Bayer Healthcare Ag, Germany**
SOURCE: PCT Int. Appl., 76 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005040119	A1	20050506	WO 2004-EP10606	20040922
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2540647	A1	20050506	CA 2004-2540647	20040922
EP 1670761	A1	20060621	EP 2004-765478	20040922
R: DE, ES, FR, GB, IT				

JP 2007508255	T	20070405	JP 2006-530003		20040922
US 2007167458	A1	20070719	US 2006-574122		20061122
PRIORITY APPLN. INFO.:			EP 2003-22235	A	20031001
			EP 2003-25570	A	20031108
			WO 2004-EP10606	W	20040922

OTHER SOURCE(S): MARPAT 142:447019

AB This invention relates to title compds. of formula A-NH-CO-E (I)[wherein A = 7-hydroxy-5,6,7,8-tetrahydronaphthalen-1-yl, 5,6-(un)substituted naphthalen-1-yl, indan-1-yl, etc.; E = (un)substituted piperidin-4-yl, piperazin-4-yl] and tautomeric or stereoisomers and salts thereof, which are useful as active ingredients of pharmaceutical prepns. I have been synthesized as VR1 antagonists, and can be used for the prophylaxis and treatment of diseases associated with VR1 activity, in particular for the treatment of urol. disorders or diseases, pain and inflammatory disorders or diseases. Thus, acylation of ((7S)-7-Hydroxy-5,6,7,8-tetrahydronaphthalen-1-yl)amine with 1-(2-Chloro-4-trifluoromethylphenyl)piperidine-4-carboxylic acid gave II in 28% yield. The effects of the compds. were examined in the following several assays and pharmacol. tests: measurement of capsaicin-induced Ca2+ influx in a human VR1-transfected CHO cell line and in primary cultured rat dorsal root ganglia neurons, resp., measurement of capsaicin-induced bladder contraction, measurement of overactive bladder in anesthetized cystitis rats, measurement of acute pain, persistent pain, neuropathic pain, inflammatory pain and diabetic neuropathic pain (only the first assay had data). II showed an IC50 ≤ 0.1 μM in the first assay. Specifically disclosed applications of I include the treatment of detrusor overactivity (overactive bladder), urinary incontinence, neurogenic detrusor overactivity (detrusor hyperflexia), idiopathic detrusor overactivity (detrusor instability), benign prostatic hyperplasia, and lower urinary tract symptoms; chronic pain, neuropathic pain, postoperative pain, rheumatoid arthritic pain, neuralgia, neuropathies, algesia, nerve injury, ischemia, neurodegeneration, stroke, and inflammatory disorders such as asthma and chronic obstructive pulmonary (or airways) disease (COPD).

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L36 ANSWER 7 OF 16 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:	2005:395257 HCAPLUS Full-text
DOCUMENT NUMBER:	142:447018
TITLE:	Preparation of tetrahydronaphthalene and urea derivatives as VR1 antagonists for the prophylaxis and treatment of diseases associated with VR1 activity, such as urological diseases, pain and inflammatory diseases
INVENTOR(S):	Bouchon, Axel; Diedrichs, Nicole; Hermann, Achim; Lustig, Klemens; Meier, Heinrich; Pernerstorfer, Josef; Reissmueller, Elke; **Mogi, Muneto**; **Yura, Takeshi**; **Fujishima, Hiroshi**; Seki, Masaomi; Koriyama, Yuji; Yasoshima, Kayo; Misawa, Keiko; **Tajimi, Masaomi**; **Yamamoto, Noriyuki**; **Urbahns, Klaus**; Hayashi, Fumihiko; **Tsukimi, Yasuhiro**; Gupta, Jang
PATENT ASSIGNEE(S):	**Bayer Healthcare Ag, Germany**
SOURCE:	PCT Int. Appl., 149 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005040100	A1	20050506	WO 2004-EP11008	20041002
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2542494	A1	20050506	CA 2004-2542494	20041002
EP 1678123	A1	20060712	EP 2004-765763	20041002
R: DE, ES, FR, GB, IT				
JP 2007509846	T	20070419	JP 2006-534634	20041002
PRIORITY APPLN. INFO.:			EP 2003-23287 A	20031015
			EP 2003-23288 A	20031015
			EP 2003-25572 A	20031108
			EP 2003-25573 A	20031108
			WO 2004-EP11008 W	20041002

OTHER SOURCE(S): CASREACT 142:447018; MARPAT 142:447018

AB This invention relates to title compds. of formula A-NH-CO-E (I) [wherein A = 7-hydroxy-5,6,7,8-tetrahydronaphthalen-1-yl, 5,8- dihydrotetranaphthalen-1-yl; indan-4-yl, inden-4-yl, etc.; E =cycloalkyl optionally fused by aryl, (un)substituted Ph, hetero/aryl, NH-(CH2)n-R4, etc.; n = 0-6; R4 = (un)substituted aryl] and tautomeric or stereoisomers and salts thereof, which are useful as active ingredients of pharmaceutical prepns. I have been synthesized as VR1 antagonists, and can be used for the prophylaxis and treatment of diseases associated with VR1 activity, in particular for the treatment of **urol. disorders** or diseases, pain and inflammatory disorders or diseases. Thus, reacting (6-Ethoxy-5,8-dihydronaphthalen-1-yl)amine (preparation given) with 4-Chloro-3-trifluoromethylbenzene isocyanate gave II. The effects of the compds. were examined in the following several assays and pharmacol. tests: measurement of capsaicin-induced Ca2+ influx in a human VR1-transfected CHO cell line and in primary cultured rat dorsal root ganglia neurons, resp., measurement of capsaicin-induced bladder contraction, measurement of overactive bladder in anesthetized cystitis rats, measurement of acute pain, persistent pain, neuropathic pain, inflammatory pain and diabetic neuropathic pain (only the 1st assay had data). II showed an IC50 in the range of 0.1 to 0.6 μM in the 1st assay. Specifically disclosed applications of I include the treatment of detrusor overactivity (overactive bladder), urinary incontinence, neurogenic detrusor overactivity (detrusor hyperflexia), idiopathic detrusor overactivity (detrusor instability), benign prostatic hyperplasia, and lower urinary tract symptoms; chronic pain, neuropathic pain, postoperative pain, rheumatoid arthritic pain, neuralgia, neuropathies, algesia, nerve injury, ischemia, neurodegeneration, stroke, and inflammatory disorders such as asthma and chronic obstructive pulmonary (or airways) disease (COPD).

REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L36 ANSWER 8 OF 16 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:395089 HCAPLUS Full-text

DOCUMENT NUMBER: 142:447221

TITLE: Preparation of 5-substituted 2-((phenylmethyl)thio)-4-phenyl-4H-1,2,4-triazole derivatives as GABA-agonists for the treatment of urinary incontinence

INVENTOR(S): Bauser, Marcus; Krueger, Joachim; Meier, Heinrich; Voehringer, Verena; Beyreuther, Bettina; **Mogi, Muneto**; Marumo, Makiko; Tsuno, Naoki; Shimizu, Haruka; **Fujishima, Hiroshi**; Yuasa, Hiroaki; Hayashi, Mayumi; Umeda, Masaomi; Iwata, Atsuko
PATENT ASSIGNEE(S): **Bayer Healthcare A.-G., Germany**
SOURCE: PCT Int. Appl., 113 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005039569	A1	20050506	WO 2004-EP11101	20041005
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2542682	A1	20050506	CA 2004-2542682	20041005
EP 1677786	A1	20060712	EP 2004-790125	20041005
R: DE, ES, FR, GB, IT				
JP 2007509045	T	20070412	JP 2006-534642	20041005

PRIORITY APPLN. INFO.: EP 2003-23701 A 20031018
WO 2004-EP11101 W 20041005
OTHER SOURCE(S): CASREACT 142:447221; MARPAT 142:447221

AB Title compds. I [R1 = alkoxy, amino, alkylamino, etc.; R2 = acyl, alkyl, etc.; R3-4 = H, halo, CN, etc.; R5 = H, OH, alkoxy, etc.; R6-7 = H, morpholino, etc.; X = divalent alkyl, NH, SO0-2] are prepared For instance, 3-(3-cyclopropyl-5-thioxo-1,5-dihydro-4H-1,2,4-triazol-4-yl)benzoic acid is reacted with bromodiphenylmethane (DMF, K2CO3, 60°, 16 h) to give 3-(3-(benzyhydrylsulfanyl)-5-cyclopropyl[1,2,4]triazol-4-yl)benzoic acid (II). II exhibits activity in a GABAb assay with an IC50 > 0.1 μM and ≤ 0.5 μM. I are useful for the treatment of overactive bladder, urinary incontinence such as urge urinary incontinence, benign prostatic hyperplasia (BPH), chronic pain, neuropathic pain, postoperative pain, rheumatoid arthritic pain, neuralgia, neuropathies, algesia, or nerve injury.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L36 ANSWER 9 OF 16 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:817708 HCAPLUS Full-text
DOCUMENT NUMBER: 141:314354
TITLE: Preparation of 2-Phenoxy- and 2-phenylsulfomamide derivatives with CCR3 antagonistic activity for the treatment of asthma and other inflammatory or immunological disorders
INVENTOR(S): Li, Yingfu; Bacon, Kevin; Sugimoto, Hiromi; Fukushima, Keiko; Hashimoto, Kentaro; Marumo, Makiko; **Moriwaki, Toshiya**; Nunami, Noriko; Tsuno, Naoki; **Urbahns, Klaus**; **Yoshida, Nagahiro**

PATENT ASSIGNEE(S): **Bayer Healthcare A.-G., Germany**
SOURCE: PCT Int. Appl., 93 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004084898	A1	20041007	WO 2004-EP2496	20040311
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004224807	A1	20041007	AU 2004-224807	20040311
CA 2520225	A1	20041007	CA 2004-2520225	20040311
EP 1608374	A1	20051228	EP 2004-719389	20040311
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				
BR 2004008682	A	20060328	BR 2004-8682	20040311
CN 1802159	A	20060712	CN 2004-80013585	20040311
JP 2006523627	T	20061019	JP 2006-504635	20040311
NO 2005004878	A	20051021	NO 2005-4878	20051021
IN 2005CN02728	A	20070608	IN 2005-CN2728	20051021
US 2007155825	A1	20070705	US 2006-550482	20061013

PRIORITY APPLN. INFO.: EP 2003-6293 A 20030324
WO 2004-EP2496 W 20040311

OTHER SOURCE(S): MARPAT 141:314354

AB Title compds. I [X = O, S; R1 = H, halo, OH, NO2, etc.; R2 = H, halo, OH, NO2, CN, alkoxy, etc.; R3 = H, halo, OH, NO2, CN, etc.; R4 = amino, etc.] are prepared For instance, 5-cyano-2-(3,5-dichlorophenoxy)-N-(2-(dimethylamino)ethyl)-N-[2-(2,5-dioxopyrrolidin-1- yl)ethyl]benzenesulfonamide is prepared in 3 steps from N,N-dimethylethane- 1,2-diamine, 5-cyano-2-(3,5-dichlorophenoxy)phenylsulfonyl chloride (preparation given) and pyrrolidine. Compds. of the invention exhibit 100 fold selectivity toward the CCR3 receptor compared to CCR1, CCR5, CCR7, CCR8 and CXCR1. I are useful in the treatment of diseases associated with CCR3 activity, e.g., asthma, atopic dermatitis, allergic rhinitis and other inflammatory/immunol. disorders.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L36 ANSWER 10 OF 16 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:696338 HCAPLUS Full-text
DOCUMENT NUMBER: 141:225165
TITLE: Preparation of hydroxytetrahydronaphthalenylurea derivatives as VR1 antagonists for the prophylaxis and treatment of diseases associated with VR1 activity, such as urological diseases, pain and inflammatory diseases
INVENTOR(S): **Yura, Takeshi; Mogi, Muneto; Fujishima, Hiroshi; Urbahns, Klaus; Masuda, Tsutomu; Tsukimi, Yasuhiro;**

Tajimi, Masaomi; Yamamoto, Noriyuki;
Yoshida, Nagahiro; Moriwaki, Toshiya
PATENT ASSIGNEE(S): Bayer Healthcare AG, Germany; et al.
SOURCE: PCT Int. Appl., 57 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004072020	A1	20040826	WO 2004-EP1055	20040205
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2515418	A1	20040826	CA 2004-2515418	20040205
EP 1594836	A1	20051116	EP 2004-708355	20040205
EP 1594836	B1	20070919		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006517556	T	20060727	JP 2006-501742	20040205
US 2007027187	A1	20070201	US 2004-545556	20040205

PRIORITY APPLN. INFO.: EP 2003-2672 A 20030212
WO 2004-EP1055 W 20040205

OTHER SOURCE(S): MARPAT 141:225165

AB This invention relates to hydroxytetrahydronaphthalenylurea derivs. of formula I; wherein A = (CH2)n; n is 1-6; R1 is H or alkyl; R2, R3 and R4 are independently H, halo, hydroxy, (di)alkylamino, cycloalkylamino, alkoxycarbonyl, Ph, benzyl, sulfonamide, alkanoyl(amino), (alkyl)carbamoyl, cyano(alkyl), (un)substituted alkoxy, phenoxy, or alkylthio; X is O, S, NR5; R5 is H, benzyl or alkyl, and tautomeric or stereoisomers and physiol. acceptable salts thereof, which are useful as active ingredients of pharmaceutical prepns. The compds. have been synthesized as VR1 antagonists, and can be used for the prophylaxis and treatment of diseases associated with VR1 activity, in particular for the treatment of **urol. disorders** or diseases, pain and inflammatory disorders or diseases. Thus, urea II and its enantiomers were prepared in several steps from 8-amino-2-naphthol and 2-(4-fluorophenoxy)ethylamine. The effects of the compds. were examined in the following several assays and pharmacol. tests: measurement of capsaicin-induced Ca2+ influx in a human VR1-transfected CHO cell line and in primary cultured rat dorsal root ganglia neurons, resp., measurement of capsaicin-induced bladder contraction, measurement of overactive bladder in anesthetized cystitis rats, measurement of acute pain, persistent pain, neuropathic pain, inflammatory pain and diabetic neuropathic pain (only the 1st assay had data). II and its two enantiomers all showed ≤ 0.1 μM of IC50 in the 1st assay. Specifically disclosed applications of I include the treatment of urinary incontinence, urge urinary incontinence, overactive bladder, chronic pain, neuropathic pain, postoperative pain, rheumatoid arthritic pain, neuralgia, neuropathies, algesia, nerve injury, ischemia, neurodegeneration, stroke, inflammatory disorders, asthma and COPD.

L36 ANSWER 11 OF 16 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:566611 HCAPLUS Full-text

DOCUMENT NUMBER: 141:123557
TITLE: Preparation of 4-phenyl-pyrimido[4,5-b]indoles as inhibitors of MKK7, MKK4 and treatment of related diseases
INVENTOR(S): Sato, Hiroki; Inoue, Tadashi; Ly, Tai-wei; Muramatsu, Aiko; Shimazaki, Makoto; **Urbahns, Klaus**; Gantner, Florian; Okigami, Hiromi; Bacon, Kevin B.; Komura, Hiroshi; **Yoshida, Nagahiro**; Tsuno, Naoki
PATENT ASSIGNEE(S): **Bayer Healthcare Ag, Germany**
SOURCE: PCT Int. Appl., 65 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004058764	A1	20040715	WO 2003-EP14194	20031213
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003300522	A1	20040722	AU 2003-300522	20031213
PRIORITY APPLN. INFO.:			EP 2002-29029 A	20021227
			WO 2003-EP14194 W	20031213

OTHER SOURCE(S): MARPAT 141:123557

AB 4-Phenyl-pyrimido[4,5-b]indoles I (R1 = H, halogen, CN, N3, NO2, NH2, alkylamino, alkyl, etc., R2 = H, OH, CN, NH2, CO2H, carbamoyl, alkyl, alkoxy, alkenyl, etc.; R3 = H, halogen, OH, CN, carbamoyl, alkyl, alkoxy, alkenyl, aminoalkyl, etc.) which are useful as an active ingredient of pharmaceutical prepns. Thus, 6-(benzyloxy)-4-chloro-9H-pyrimido[4,5- b]indole was treated with 4-methoxyphenylboronic acid, and Pd(OAC)2 to give 6-(benzyloxy)-4-(4-methoxyphenyl)-9H-pyrimido[4,5-b]indole which was deprotected using2, and Pd(OH)2 to give 4-(4-methoxyphenyl)-9H- pyrimido[4,5-b]indol-6-ol which was an inhibitor of both MKK7 and MKK4. The 4-phenyl-pyrimido[4,5-b]indoles of the present invention have MKK7 and MKK4 inhibitory activity, and can be used for the prophylaxis and treatment of diseases associated with MKK7 and MKK4 activity. Such diseases include, inflammatory and immunoregulatory disorders and diseases such as asthma, atopic dermatitis, rhinitis, allergic rhinitis, allergic diseases, COPD, septic shock, arthritis, joint diseases and myocardial injuries, as well as autoimmune pathologies such as rheumatoid arthritis, Graves' disease, and atherosclerosis as well as cancer.

L36 ANSWER 12 OF 16 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:515473 HCAPLUS Full-text
DOCUMENT NUMBER: 141:71358
TITLE: Preparation of tetrahydronaphthalene derivatives as vanilloid receptor antagonists
INVENTOR(S): **Tajimi, Masaomi; Kokubo, Toshio; Shiroo, Masahiro; Tsukimi, Yasuhiro; Yura, Takeshi; Yamamoto, Noriyuki;**

Mogi, Muneto; Fujishima, Hiroshi; Masuda, Tsutomu; Yoshida, Nagahiro; Moriwaki, Toshiya
PATENT ASSIGNEE(S): Bayer Healthcare Ag, Germany; Urbahns, Klaus
SOURCE: PCT Int. Appl., 63 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004052845	A1	20040624	WO 2003-EP13452	20031128
WO 2004052845	A8	20050609		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2508845	A1	20040624	CA 2003-2508845	20031128
AU 2003288200	A1	20040630	AU 2003-288200	20031128
EP 1572632	A1	20050914	EP 2003-780088	20031128
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006509017	T	20060316	JP 2004-557950	20031128
US 2006135505	A1	20060622	US 2005-537217	20051118

PRIORITY APPLN. INFO.: EP 2002-27528 A 20021209
WO 2003-EP13452 W 20031128

OTHER SOURCE(S): MARPAT 141:71358

AB The title compds. I [n = 0 - 6; R1 = H, alkyl; R2 = alkenyl, alkynyl, alkyl substituted by amino, etc.; R3 = H, alkenyl, alkynyl, alkyl optionally substituted by amino, etc.; or NR2R3 = heterocyclic ring (further details on said heterocyclic ring are given); R4 = H, halo, alkylthio, alkyl optionally substituted by mono-, di-, tri-halogen, etc.] are prepared The tetrahydronaphthalene derivs. of the present invention have excellent activity as VR1 antagonists and are useful for the prophylaxis and treatment of diseases associated with VR1 activity, in particular for the treatment of urinary incontinence, overactive bladder, chronic pain, neuropathic pain, postoperative pain, etc. The bioactivity of compds. of this invention was demonstrated.

L36 ANSWER 13 OF 16 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:290454 HCAPLUS Full-text
DOCUMENT NUMBER: 140:297515
TITLE: Use of vanilloid receptor antagonists for the treatment of urological disorder
INVENTOR(S): Shiroo, Masahiro; Yura, Takeshi; Yamamoto, Noriyuki; Tajimi, Masomi; Tsukimi, Yasuhiro
PATENT ASSIGNEE(S): Bayer Healthcare Ag, Germany
SOURCE: PCT Int. Appl., 29 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004028440	A2	20040408	WO 2003-EP10111	20030911
WO 2004028440	A3	20040715		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003273856	A1	20040419	AU 2003-273856	20030911
PRIORITY APPLN. INFO.:			EP 2002-21367 A	20020924
			WO 2003-EP10111 W	20030911

AB The invention relates to methods for treating **urol. disorders.** More particularly, this invention involves the use of a vanilloid receptor (VR1) antagonist for the prophylaxis and treatment of urinary incontinence and overactive bladder.

L36 ANSWER 14 OF 16 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:989726 HCAPLUS Full-text
DOCUMENT NUMBER: 140:28043
TITLE: Preparation of N-acylphenylalanines as prostaglandin I2 antagonists.
INVENTOR(S): **Urbahns, Klaus; Yamamoto, Noriyuki**; Yoshikawa, Satoru; Shimazaki, Makato; Sakurai, Osamu; Hirai, Kanako; Umeda, Masaomi; **Tajimi, Masaomi**
PATENT ASSIGNEE(S): Bayer Ag, Germany
SOURCE: Brit. UK Pat. Appl., 36 pp.
CODEN: BAXXDU
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2389582	A	20031217	GB 2002-13598	20020613
CA 2489249	A1	20031224	CA 2003-2489249	20030612
WO 2003106403	A1	20031224	WO 2003-EP6168	20030612
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003237928	A1	20031231	AU 2003-237928	20030612

EP 1515942	A1	20050323	EP 2003-735608		20030612
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
JP 2005529181	T	20050929	JP 2004-513237		20030612
US 2006135613	A1	20060622	US 2006-517646		20060127
PRIORITY APPLN. INFO.:			GB 2002-13598	A	20020613
			WO 2003-EP6168	W	20030612

OTHER SOURCE(S): MARPAT 140:28043

AB R1C6H4XCONH(CH2)mCHR2(CH2)nCO2H [m, n = 0-2; X = CH2CH2, CH:CH, C.tplbond.C; R1 = OR11, SR11, SOR11, SO2R11, NR12R13, CHR14R15; R11 = alkenyl, alkynyl, alkyl optionally substituted by aryl or heteroaryl; R12, R13 = H, R11; R12R13N = 5-7 membered saturated heterocyclyl optionally interrupted by O or NH; R14, R15 = H, alkenyl, alkynyl, alkyl, alkoxy optionally substituted by aryl or heteroaryl; R14R15CH = cycloalkyl optionally interrupted by NH or O, or R14R15CH = Ph optionally substituted by OH, halo, alkyl; R2 = H, cyano, alkoxy, alkenyl, alkynyl, cycloalkyl, or alkyl optionally substituted by amino, alkylamino, Ph], were prepared Thus, a mixture of tert-Bu 4-phenoxymethylcinnamnate (preparation given), CF3CO2H, and CH2Cl2 was allowed to stand for 2.5 h at room temperature; solvent was removed in vacuo and the residue in DMF was treated with phenylalanine Me ester, 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide, 1-hydroxybenzotriazole, and Et3N followed by stirring at room temperature overnight to obtain 88% N-(4-phenoxymethylcinnamoyl)phenylalnine Me ester. Saponification of the latter with LiOH in H2O/MeOH gave 86% N-(4- phenoxymethylcinnamoyl)phenylalanine.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L36 ANSWER 15 OF 16 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:989723 HCAPLUS Full-text

DOCUMENT NUMBER: 140:28042

TITLE: Preparation of N-naphthoylphenylalanines as prostaglandin I2 antagonists

INVENTOR(S): Shimazaki, Makato; Sakurai, Osamu; **Urbahns, Klaus**; **Yamamoto, Noriyuki**; Yoshikawa, Satoru; Umeda, Masaomi; **Tajimi, Masaomi**

PATENT ASSIGNEE(S): Bayer Ag, Germany

SOURCE: Brit. UK Pat. Appl., 26 pp.
CODEN: BAXXDU

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2389580	A	20031217	GB 2002-13488	20020612
CA 2489286	A1	20031224	CA 2003-2489286	20030530
WO 2003106402	A1	20031224	WO 2003-EP5705	20030530
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003238180	A1	20031231	AU 2003-238180	20030530
EP 1515941	A1	20050323	EP 2003-735507	20030530

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

JP 2005529180	T	20050929	JP 2004-513236	20030530
US 2006166989	A1	20060727	US 2005-517677	20050711
PRIORITY APPLN. INFO.:			GB 2002-13488 A	20020612
			WO 2003-EP5705 W	20030530

OTHER SOURCE(S): MARPAT 140:28042

AB Title compds. [I; m, n = 0-2; R1 = OR11, SR11, SOR11 SO2R11, NR12R13, CHR14R15; R11 = alkenyl, alkynyl alkyl optionally substituted by aryl or heteroaryl; R12, R13 H , R11; R12R13N = 5-7 membered saturated heterocyclyl interrupted by O or NH; R14, R15 H , alkenyl optionally substituted by aryl or heteroaryl, alkynyl optionally substituted by aryl or heteroaryl, alkyl optionally substituted by aryl or heteroaryl, alkoxy optionally substituted by aryl or heteroaryl; R14R15CH = cycloalkyl optionally interrupted by NH, or O, or R14R15CH = Ph optionally substituted by OH, halo or alkyl; R2 = H, cyano, alkoxy, alkenyl, alkynyl, cycloalkyl, alkyl optionally substituted by amino, alkylamino, Ph], were prepared for treatment of pain, inflammation, **urol. disorders**, hypotension, hemophilia, and hemorrhage (no data). Thus, 6-hydroxy-2-naphthoic acid, DL-phenylalanine Me ester, 1-hydroxybenzotriazole, Et3N, and 1-ethyl-3-(3- dimethylaminopropyl)carbodiimide hydrochloride were stirred overnight in DMF to give 85% N-(6-hydroxy-2-naphthoyl)phenylalanine Me ester. This was benzylated (76%) followed by saponification with LiOH in H2O/MeOH to give 82% N-(6-benzyloxy-2-naphthoyl)phenylalanine.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L36 ANSWER 16 OF 16 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:837138 HCAPLUS Full-text

DOCUMENT NUMBER: 139:318465

TITLE: Sequences of human transient receptor potential channel sequence homologs and uses in diagnosis, therapy and drug screening

INVENTOR(S): **Shiroo, Masahiro; Yamamoto, Noriyuki**; Hayashi, Fumihiko; Floeckner, Johannes; Reinemer, Peter; Encinas, Jeffrey; Watanabe, Shinichi; **Tajimi, Masaomi; Kokubo, Toshio**

PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Germany; **Bayer Healthcare AG**

SOURCE: PCT Int. Appl., 116 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003087158	A2	20031023	WO 2003-EP3713	20030410
WO 2003087158	A3	20040610		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2003226797	A1	20031027	AU 2003-226797	20030410

EP 1497328 A2 20050119 EP 2003-746288 20030410
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
JP 2006510343 T 20060330 JP 2003-584113 20030410
US 2005176010 A1 20050811 US 2005-511556 20050428
PRIORITY APPLN. INFO.: US 2002-372899P P 20020416
US 2002-375139P P 20020422
WO 2003-EP3713 W 20030410

AB The invention provides protein and cDNA sequences of novel human transient receptor potential channel sequence homologs. The invention also provides reagents and methods of regulating human transient receptor potential channel sequence homologs. Reagents that regulate human transient receptor potential channels and reagents which bind to human transient receptor potential channel gene products can play a role in preventing, ameliorating, or correcting dysfunctions or diseases including urinary incontinence, overactive bladder, benign prostatic hyperplasia, lower urinary tract syndromes, and CNS disorders.

```
=> d his nofile

     (FILE 'HOME' ENTERED AT 16:14:49 ON 26 NOV 2007)

     FILE 'REGISTRY' ENTERED AT 16:15:02 ON 26 NOV 2007
L1             STRUCTURE UPLOADED
               D
L2           3 SEA SSS SAM L1
               D SCAN
L3         106 SEA SSS FUL L1
L4             STRUCTURE UPLOADED
L5           6 SEA SUB=L3 SSS SAM L4
L6         105 SEA SUB=L3 SSS FUL L4
L7           1 SEA ABB=ON  PLU=ON  L3 NOT L6
               D SCAN

     FILE 'HCAPLUS' ENTERED AT 16:21:29 ON 26 NOV 2007
L8           1 SEA ABB=ON  PLU=ON  L7
L9           6 SEA ABB=ON  PLU=ON  L6
               D QUE L9
               D L9 IBIB ED ABS HITSTR HITIND 1-6
               ACT NAG482HCAIN/A
              ---------
L10 (       35)SEA ABB=ON  PLU=ON  "TAJIMI MASAOMI"/AU
L11 (       50)SEA ABB=ON  PLU=ON  "KOKUBO TOSHIO"/AU
L12 (       35)SEA ABB=ON  PLU=ON  "SHIROO MASAHIRO"/AU
L13 (       33)SEA ABB=ON  PLU=ON  ("TSUKIMI Y"/AU OR "TSUKIMI YASUHIRO"/AU)
L14 (       32)SEA ABB=ON  PLU=ON  "YURA TAKESHI"/AU
L15 (       63)SEA ABB=ON  PLU=ON  "URBAHNS KLAUS"/AU
L16 (      214)SEA ABB=ON  PLU=ON  "YAMAMOTO NORIYUKI"/AU
L17 (       14)SEA ABB=ON  PLU=ON  "MOGI MUNETO"/AU
L18 (       63)SEA ABB=ON  PLU=ON  "FUJISHIMA HIROSHI"/AU
L19 (       99)SEA ABB=ON  PLU=ON  "MASUDA TSUTOMU"/AU
L20 (       22)SEA ABB=ON  PLU=ON  ("YOSHIDA NAGAHIRO"/AU OR "YOSHIDA
               NAGAHIRO H"/AU)
L21 (       24)SEA ABB=ON  PLU=ON  "MORIWAKI TOSHIYA"/AU
L22 (       19)SEA ABB=ON  PLU=ON  L10 AND ((L11 OR L12 OR L13 OR L14 OR L15
               OR L16 OR L17 OR L18 OR L19 OR L20 OR L21))
L23 (       14)SEA ABB=ON  PLU=ON  L11 AND ((L12 OR L13 OR L14 OR L15 OR L16
               OR L17 OR L18 OR L19 OR L20 OR L21))
L24 (       10)SEA ABB=ON  PLU=ON  L12 AND ((L13 OR L14 OR L15 OR L16 OR L17
               OR L18 OR L19 OR L20 OR L21))
L25 (       15)SEA ABB=ON  PLU=ON  L13 AND ((L14 OR L15 OR L16 OR L17 OR L18
               OR L19 OR L20 OR L21))
L26 (       19)SEA ABB=ON  PLU=ON  L14 AND ((L15 OR L16 OR L17 OR L18 OR L19
               OR L20 OR L21))
L27 (       21)SEA ABB=ON  PLU=ON  L15 AND ((L16 OR L17 OR L18 OR L19 OR L20
               OR L21))
L28 (        9)SEA ABB=ON  PLU=ON  L16 AND ((L17 OR L18 OR L19 OR L20 OR
               L21))
L29 (       11)SEA ABB=ON  PLU=ON  L17 AND ((L18 OR L19 OR L20 OR L21))
L30 (        4)SEA ABB=ON  PLU=ON  L18 AND ((L19 OR L20 OR L21))
L31 (       37)SEA ABB=ON  PLU=ON  (L22 OR L23 OR L24 OR L25 OR L26 OR L27 OR
               L28 OR L29 OR L30)
L32 (     1022)SEA ABB=ON  PLU=ON  ("BAYER HEALTHCARE"/CO OR "BAYER HEALTHCARE
                A G"/PA OR "BAYER HEALTHCARE A G"/CS OR "BAYER HEALTHCARE A
               G"/CO OR "BAYER HEALTHCARE A G GERMANY"/PA OR "BAYER HEALTHCARE
                A G GERMANY"/CS OR "BAYER HEALTHCARE AG"/PA OR "BAYER
```

```
                HEALTHCARE AG"/CS OR "BAYER HEALTHCARE AG"/CO OR "BAYER
                HEALTHCARE AG APRATHER WEG"/CO OR "BAYER HEALTHCARE AG BAYER
                SCHERING PHARMA"/CO OR "BAYER HEALTHCARE AG BAYER SCHERING
                PHARMA GLOBAL DRUG DISCOVERY"/CO OR "BAYER HEALTHCARE AG BAYER
                SCHERING PHARMA GLOBAL DRUG DISCOVERY WUPPERTAL D 42096
                GERMANY"/CS OR "BAYER HEALTHCARE AG COLOGNE D 50739 GERMANY"/CS
                 OR "BAYER HEALTHCARE AG GERMANY"/PA OR "BAYER HEALTHCARE AG
                GERMANY"/CS)
L33 (        15)SEA ABB=ON  PLU=ON  L32 AND L31
L34 (         9)SEA ABB=ON  PLU=ON  L31 AND ((BLADDER? OR UROLOG?) (W)
                DISORDER?)/BI
L35          17 SEA ABB=ON  PLU=ON  L33 OR L34
                ---------
L36          16 SEA ABB=ON  PLU=ON  L35 NOT L9
                D QUE L36
                D L36 IBIB AB 1-16
```